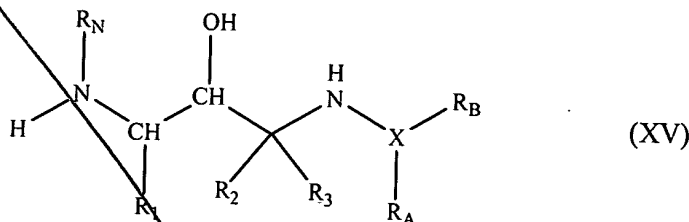


## WE CLAIM:

1. A substituted amine of formula (XV)



where  $\text{R}_1$  is:

- (I)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $\text{C}_1\text{-C}_7$  alkyl (optionally substituted with  $\text{C}_1\text{-C}_3$  alkyl and  $\text{C}_1\text{-C}_3$  alkoxy),  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy,  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl, and  $-\text{OC}=\text{O NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,
- (II)  $-\text{CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,
- (III)  $-\text{CH}_2\text{-CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,
- (IV)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,
- (V)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,
- (VI)  $-(\text{CH}_2)_{n1}\text{-(R}_{1\text{-aryl}})$  where  $n_1$  is zero or one and where  $\text{R}_{1\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:
- (A)  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ , and  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,
- (B)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two or three of -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

10 (I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

15 (L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n<sub>1</sub></sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

20 pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

25 indolinyl,

pyridazinyl,

pyrazinyl,

isoquinolyl,

quinazolinyl,

30 quinoxalinyl,

phthalazinyl,

imidazolyl,

isoxazolyl,

5

pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyll,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,

10

furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,

15

triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,

20

naphthyridinyl,  
cinnolinyll,  
carbazolyl,  
beta-carbolinyll,

25

isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyll,  
isoindolinyll,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,

30

isobenzothieryl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,

5

benzodioxolyl,

triazinyl,

phenoxazinyl,

phenothiazinyl,

pteridinyl,

benzothiazolyl,

imidazopyridinyl,

imidazothiazolyl,

10

dihydrobenzisoxazinyl,

benzisoxazinyl,

benzoxazinyl,

dihydrobenzisoethiazinyl,

benzopyranyl,

benzothiopyranyl,

15

coumarinyl,

isocoumarinyl,

chromonyl,

chromanonyl,

pyridinyl-N-oxide,

20

tetrahydroquinolinyl

dihydroquinolinyl

dihydroquinolinonyl

dihydroisoquinolinonyl

dihydrocoumarinyl

25

dihydroisocoumarinyl

isoindolinonyl

benzodioxanyl

benzoxazolinonyl

pyrrolyl N-oxide,

30

pyrimidinyl N-oxide,

pyridazinyl N-oxide,

pyrazinyl N-oxide,

quinolinyl N-oxide,

indolyl N-oxide,



indoliny N-oxide,  
 isoquinolyl N-oxide,  
 quinazolinyl N-oxide,  
 quinoxaliny N-oxide,  
 5 phthalaziny N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 10 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 15 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyrany S-oxide, and  
 20 benzothiopyrany S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

25 (1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1-C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -  
 30 Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1-C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -

Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

5 three of -F, (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

10 or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

above, (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined

15 above, or (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen; or

20 (VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

25 piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

30 piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,

homopiperidinyl,

homomorpholiny,  
 homothiomorpholiny,  
 homothiomorpholiny S,S-dioxide,  
 oxazolidinony,  
 dihydropyrazoly,  
 dihydropyrroly,  
 dihydropyraziny,  
 dihydropyridiny,  
 dihydropyrimidiny,  
 dihydrofury,  
 dihydropyrany,  
 tetrahydrothienyl S-oxide,  
 tetrahydrothienyl S,S-dioxide, and  
 homothiomorpholiny S-oxide,

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_1$ -heterocycle group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three or four:

(1)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

(3)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(5)  $C_1$ - $C_6$  alkoxy,

(6) - $C_1$ - $C_6$  alkoxy optionally substituted with one, two, or three -F,

- (7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,  
 (8)  $-OH$ ,  
 (9)  $-C\equiv N$ ,  
 (10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two  
 5 or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  
 $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

- (11)  $-CO-(C_1-C_4 \text{ alkyl})$ ,  
 (12)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 above,

- 10 (13)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 above,

- (14)  $-SO_2-(C_1-C_4 \text{ alkyl})$ , or  
 (15)  $=O$ , with the proviso that when  $n_1$  is zero  $R_{1-\text{heterocycle}}$  is  
 not bonded to the carbon chain by nitrogen,

15 where  $R_2$  is:

- (I)  $-H$ ,  
 (II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents  
 selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  
 20  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-\text{aryl}}$  or  $R_{1-\text{heteroaryl}}$  where  $R_{1-\text{aryl}}$  and  $R_{1-\text{heteroaryl}}$   
 are as defined above;

- (IV)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted  
 with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-$   
 25  $SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(V)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with  
 one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-$   
 $C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl, or

- (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or  
 30 three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  
 $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl;

where  $R_3$  is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds; or

10 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  
15 -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

20 (ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

25 (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,

30 (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above;

where R<sub>N</sub> is:

(I)  $R_{N-1}-X_N$  where  $X_N$  is selected from the group consisting of:

(A)  $-\text{CO}-$ ,

(B)  $-\text{SO}_2-$ ,

(C)  $-(\text{CR}'\text{R}'')_{1-6}$  where  $\text{R}'$  and  $\text{R}''$  are the same or different and are

5  $-\text{H}$  and  $\text{C}_1\text{-C}_4$  alkyl,

(D)  $-\text{CO}-(\text{CR}'\text{R}'')_{1-6}-X_{N-1}$  where  $X_{N-1}$  is selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $-\text{NR}'-$  and where  $\text{R}'$  and  $\text{R}''$  are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

10 (A)  $R_{N\text{-aryl}}$  where  $R_{N\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

15 (1)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(2)  $-\text{OH}$ ,

(3)  $-\text{NO}_2$ ,

20 (4)  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,

(5)  $-\text{CO}-\text{OH}$ ,

(6)  $-\text{C}\equiv\text{N}$ ,

(7)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are the same or different and are selected from the group consisting of:

25 (a)  $-\text{H}$ ,

(b)  $-\text{C}_1\text{-C}_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i)  $-\text{OH}$ , and

(ii)  $-\text{NH}_2$ ,

30 (c)  $-\text{C}_1\text{-C}_6$  alkyl optionally substituted with one, two, or three  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

(d)  $-\text{C}_3\text{-C}_7$  cycloalkyl,

(e)  $-(\text{C}_1\text{-C}_2 \text{ alkyl})-(\text{C}_3\text{-C}_7 \text{ cycloalkyl})$ ,

- (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,  
 (g)  $-C_2-C_6 \text{ alkenyl}$  with one or two double bonds,  
 (h)  $-C_2-C_6 \text{ alkynyl}$  with one or two triple bonds,  
 (i)  $-C_1-C_6 \text{ alkyl chain}$  with one double bond and one  
 5 triple bond,  
 (j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and  
 (k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,  
 (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,  
 (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl}$  with one, two or three  
 10 double bonds),  
 (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl}$  with one, two or three  
 triple bonds),  
 (11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,  
 (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,  
 15 (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined  
 above,  
 (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as  
 defined above,  
 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the  
 20 group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,  
 homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,  
 homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is  
 optionally substituted with one, two, three, or four of  $C_1-C_6 \text{ alkyl}$ ,  
 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the  
 25 group consisting of:  
 (a)  $C_1-C_6 \text{ alkyl}$ ,  
 (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined  
 above,  
 (c)  $C_2-C_6 \text{ alkenyl}$  containing one or two double  
 30 bonds,  
 (d)  $C_2-C_6 \text{ alkynyl}$  containing one or two triple  
 bonds,  
 (e)  $C_3-C_7 \text{ cycloalkyl}$ , and

(f)  $-(CH_2)_{0-2}-(R_{1-\text{heteroaryl}})$  where  $R_{1-\text{heteroaryl}}$  is as

defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as

defined above,

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(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be

the same or different and is as defined above,

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(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can

be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same

or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$

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can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the

same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

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(28)  $-(CH_2)_{0-4}-O-R(O)-(OR_{N-\text{aryl}-1})_2$  where  $R_{N-\text{aryl}-1}$  is  $-H$  or

$C_1-C_4 \text{ alkyl}$ ,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined

above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined

25

above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined

above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

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(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with

one, two, three, four, or five of  $-F$ ),

(35)  $C_3-C_7 \text{ cycloalkyl}$ ,



(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyll,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,

benzofuranyl,

furanyl,

thienyl,

pyrrolyl,

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oxadiazolyl,

thiadiazolyl,

triazolyl,

tetrazolyl,

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oxazolopyridinyl,

imidazopyridinyl,

isothiazolyl,

naphthyridinyl,

cinnolinyl,

carbazolyl,

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beta-carbolinyl,

isochromanlyl,

chromanyl,

tetrahydroisoquinolinyl,

isoindolinyl,

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isobenzotetrahydrofuranyl,

isobenzotetrahydrothienyl,

isobenzothienyl,

benzoxazolyl,

pyridopyridinyl,

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benzotetrahydrofuranyl,

benzotetrahydrothienyl,

purinyl,

benzodioxolyl,

triazinyl,

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phenoxazinyl,

phenothiazinyl,

pteridinyl,

benzothiazolyl,

imidazopyridinyl,

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imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl,  
dihydroquinolinyl,  
dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
dihydrocoumarinyl,  
dihydroisocoumarinyl,  
isoindolinonyl,  
benzodioxanyl,  
benzoxazolinonyl,  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,

5 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 10 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide

15 where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_N$ -heteroaryl group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

20 (1)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (2) -OH,  
 (3) -NO<sub>2</sub>,  
 (4) -F, -Cl, -Br, or -I,  
 (5) -CO-OH,  
 (6) -C $\equiv$ N,  
 (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

30 (a) -H,  
 (b) - $C_1$ - $C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and  
 (ii) -NH<sub>2</sub>,

- (c)  $-C_1-C_6$  alkyl optionally substituted with one, two, or three  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,
- (d)  $-C_3-C_7$  cycloalkyl,
- (e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,
- (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,
- (g)  $-C_2-C_6$  alkenyl with one or two double bonds,
- (h)  $-C_2-C_6$  alkynyl with one or two triple bonds,
- (i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,
- (j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,
- (k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,
- (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,
- (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,
- (11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,
- (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,
- (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,
- (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,
- (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:
- (a)  $C_1-C_6$  alkyl,
- (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,
- (c)  $C_2-C_6$  alkenyl containing one or two double bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and

(f) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-heteroaryl</sub>) where R<sub>1-heteroaryl</sub> is as

5 defined above,

(17) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as

defined above,

(18) -(CH<sub>2</sub>)<sub>0-4</sub>-SO-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(19) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>12</sub> alkyl),

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(20) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(21) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> can be

the same or different and is as defined above,

(22) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can

be the same or different and is as defined above,

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(23) -(CH<sub>2</sub>)<sub>0-4</sub>-N-CS-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same

or different and is as defined above,

(24) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-CO-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub>

can be the same or different and are as defined above,

(25) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> can be the

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same or different and are as defined above,

(26) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(27) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(28) -(CH<sub>2</sub>)<sub>0-4</sub>-O-P(O)-(OR<sub>N-aryl-1</sub>)<sub>2</sub>, where R<sub>N-aryl-1</sub> is -H or

C<sub>1</sub>-C<sub>4</sub> alkyl,

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(29) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined

above,

(30) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CS-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined

above,

(31) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

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(32) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub>-COOH where R<sub>N-5</sub> is as defined

above,

(33) -(CH<sub>2</sub>)<sub>0-4</sub>-S-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(34) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with

one, two, three, four, or five of -F),

(35) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(C) R<sub>N-aryl</sub>-W-R<sub>N-aryl</sub>, where R<sub>N-aryl</sub> can be the same or different,

(D) R<sub>N-aryl</sub>-W-R<sub>N-heteroaryl</sub>,

(E) R<sub>N-aryl</sub>-W-R<sub>N-1-heterocycle</sub>, wherein R<sub>N-1-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above

(F) R<sub>N-heteroaryl</sub>-W-R<sub>N-aryl</sub>,

(G) R<sub>N-heteroaryl</sub>-W-R<sub>N-heteroaryl</sub>,

(H) R<sub>N-heteroaryl</sub>-W-R<sub>N-1-heterocycle</sub>,

(I) R<sub>N-heterocycle</sub>-W-R<sub>N-aryl</sub>, wherein R<sub>N-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above, and R<sub>N-aryl</sub> is as defined above,

(J) R<sub>N-heterocycle</sub>-W-R<sub>N-heteroaryl</sub>, and

(K) R<sub>N-heterocycle</sub>-W-R<sub>N-1-heterocycle</sub>.

where W is

(1) -(CH<sub>2</sub>)<sub>0-4</sub>,

(2) -O-,

(3) -S(O)<sub>0-2</sub>,

(4) -N(R<sub>N-5</sub>)- where R<sub>N-5</sub> is as defined above, or

(5) -CO-;

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one three substituents selected from the group consisting of:

(A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

5 (H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

10 (K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are as defined above,

15 (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

20 (III)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B)  $-\text{C}_1-\text{C}_6$  alkoxy,

(C)  $-\text{C}_1-\text{C}_6$  thioalkoxy,

25 (D)  $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is -H,  $\text{C}_1-\text{C}_6$  alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

30 (H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,



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as defined above,

10

-F, -Cl, -Br, or -I),

substituted with one, two, or three of substituents selected from the group consisting of:

15

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

20

and are as defined above,

25

(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

30

as defined above,

(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different

ove,

(I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(J)  $\text{-NH-CO-O-R}_{N-8}$  where  $\text{R}_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and

(L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P)  $-\text{O}-(\text{C}_1\text{-C}_6 \text{ alkyl optionally substituted with one, two, or three of } -\text{F}, -\text{Cl}, -\text{Br}, \text{ or } -\text{I}),$

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1\text{-C}_6 \text{ alkyl}),$  and

(R)  $-\text{F},$  or  $-\text{Cl},$

5 (V)  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N-10}})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-aryl}}$  and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, where  $\text{R}_{\text{N-10}}$  is selected from the group consisting of:

(A)  $-\text{H},$

(B)  $\text{C}_1\text{-C}_6 \text{ alkyl},$

(C)  $\text{C}_3\text{-C}_7 \text{ cycloalkyl},$

10 (D)  $\text{C}_2\text{-C}_6 \text{ alkenyl with one double bond},$

(E)  $\text{C}_2\text{-C}_6 \text{ alkynyl with one triple bond},$

(F)  $\text{R}_{1\text{-aryl}}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above, and

(G)  $\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above, or

(VI)  $-\text{CO}-(\text{C}_3\text{-C}_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one  
15 or two substituents selected from the group consisting of:

(A)  $-(\text{CH}_2)_{0-4}-\text{OH},$

(B)  $-(\text{CH}_2)_{0-4}-\text{C}_1\text{-C}_6 \text{ alkoxy},$

(C)  $-(\text{CH}_2)_{0-4}-\text{C}_1\text{-C}_6 \text{ thioalkoxy},$

(D)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is  $-\text{H}, \text{C}_1\text{-C}_6 \text{ alkyl}$  or phenyl,

20 (E)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1\text{-C}_8 \text{ alkyl}),$

(H)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or  
25 different and are as defined above,

(I)  $-(\text{CH}_2)_{0-4}-\text{NH}-\text{CO}-(\text{C}_1\text{-C}_6 \text{ alkyl}),$

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or  
different and are as defined above,

30 (L)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1\text{-C}_6 \text{ alkyl}),$

(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, or three of } -F, -Cl, -Br, \text{ or } -I)$ ,

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R)  $-F$ , or  $-Cl$ ;

where  $R_A$  is:

(I)  $-C_1-C_{10}$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-OC=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-S(=O)_{0-2} R_{1-a}$  where  $R_{1-a}$  is as defined above,  $-NR_{1-a}C=O NR_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-C=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, and  $-S(=O)_2 NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-CO-OH$ ,  $-CO-O-(C_1-C_4 \text{ alkyl})$ , and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-x}$  and  $R_{A-y}$  are

(A)  $-H$ ,

(B)  $C_1-C_4$  alkyl optionally substituted with one or two  $-OH$ ,

(C)  $C_1-C_4$  alkoxy optionally substituted with one, two, or three of  $-F$ ,

(D)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(E)  $C_2-C_6$  alkenyl containing one or two double bonds,

(F)  $C_2-C_6$  alkynyl containing one or two triple bonds, or

(G) phenyl,

and where  $R_{A-x}$  and  $R_{A-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-SO_2-$ , and  $-NR_{N-2}-$  and  $R_{A-aryl}$  is the same as  $R_{N-aryl}$ ,

(IV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$  is the same as  $\text{R}_{\text{N-heteroaryl}}$  and  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(V)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

5 (VI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

10 (VIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(IX)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$  is defined as  $\text{R}_{\text{I-heterocycle}}$ , and where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

15 (XI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

20 (XIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XV)  $-\text{[C(R}_{\text{A-1}})(\text{R}_{\text{A-2}})]_{1-3}-\text{CO-N-(R}_{\text{A-1}})_2$  where  $\text{R}_{\text{A-1}}$  and  $\text{R}_{\text{A-2}}$  are the same or different and are selected from the group consisting of:

25 (A) -H,

(B)  $-\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

30 (C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined for R<sub>1-aryl</sub>,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(J) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

15 (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A'-aryl</sub> where R<sub>A-4</sub> is -O-, -S- or -NR<sub>A-5</sub>- where R<sub>A-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>A'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-4</sub> and R<sub>A-heteroaryl</sub> are as defined above, and

(O) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

20 and where R<sub>A-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
25 above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (F)  $-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(G)  $-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

(H)  $-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

10 (K)  $-(C_1-C_4 \text{ alkyl})-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above, or

(XVI)  $-\text{CH}(R_{A-aryl})_2$  where  $R_{A-aryl}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(R_{A-heteroaryl})_2$  where  $R_{A-heteroaryl}$  are the same or different and are as defined above,

(XVIII)  $-\text{CH}(R_{A-aryl})(R_{A-heteroaryl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

(XIX)  $-\text{cyclopentyl}$ ,  $-\text{cyclohexyl}$ , or  $-\text{cycloheptyl}$  ring fused to  $R_{A-aryl}$ ,  $R_{A-heteroaryl}$ ,  $R_{A-heterocycle}$  where  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$  are as defined above where one carbon of cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  is optionally replaced with  $NH$ ,  $NR_{N-5}$ ,  $O$ , or  $S(=O)_{0-2}$ , and where cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  can be optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-F$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $=O$ , or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-aryl}$  where  $R_{A-aryl}$  is as defined above and  $R_{A-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  and  $R_{A-6}$  is as defined above,

(XXIII)  $-CH(-R_{A-aryl} \text{ or } R_{A-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

5 (XXIV)  $-CH(-CH_2-OH)-CH(-OH)-micro-NO_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-H$ ,

10 (XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above; or

(XXX)

$-C=OC(HR_6)NHR_7$ , where  $R_6$  and  $R_7$  are as defined below,

$-C=OR_7$ , where  $R_7$  is as defined below,

15  $-C=OOR_7$ , where  $R_7$  is as defined below, or

$-SOOR_7$  where  $R_7$  is as defined below,

wherein  $R_6$  is:

hydrogen,

$C_1 - C_3$  alkyl,

phenyl,

20 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

25 alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

30 aminoalkyl,

(N-protected)aminoalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

guanidinoalkyl,

lower alkenyl,

heterocyclic,

(heterocyclic)alkyl),

5

arylthioalkyl,

arylsulfonyalkyl,

(heterocyclic)thioalkyl,

(heterocyclic)sulfonylalkyl,

(heterocyclic)oxyalkyl,

10

arylalkoxyalkyl,

arylthioalkoxyalkyl,

arylalkylsulfonylalkyl,

(heterocyclic)alkoxyalkyl,

(heterocyclic)thioalkoxyalkyl,

15

(heterocyclic)alkylsulfonylalkyl,

cycloalkyloxyalkyl,

cycloalkylthioalkyl,

cycloalkylsulfonylalkyl,

cycloalkylalkoxyalkyl,

20

cycloalkylthioalkoxyalkyl,

cycloalkylalkylsulfonylalkyl,

aminocarbonyl,

alkylaminocarbonyl,

dialkylaminocarbonyl,

25

aroalkyl,

(heterocyclic)carbonylalkyl,

polyhydroxyalkyl,

aminocarbonylalkyl,

alkylaminocarbonylalkyl,

30

dialkylaminocarbonylalkyl,

aryloxyalkyl, or

alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and



tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

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wherein R<sub>7</sub> is:

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C<sub>1</sub> - C<sub>3</sub> alkyl,

phenyl,

thioalkoxyalkyl,

(aryl)alkyl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

aminoalkyl,

(N-protected)aminocalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

guanidinoalkyl,

lower alkenyl,

heterocyclic,

(heterocyclic)alkyl),

arylthioalkyl,

arylsulfonyalkyl,

(heterocyclic)thioalkyl,

(heterocyclic)sulfonylalkyl,

(heterocyclic)oxyalkyl,

arylalkoxyalkyl,

arylthioalkoxyalkyl,

arylalkylsulfonylalkyl,

(heterocyclic))alkoxyalkyl,  
 (heterocyclic)thioalkoxyalkyl,  
 (heterocyclic)alkylsulfonylalkyl,  
 cycloalkyloxyalkyl,  
 cycloalkylthioalkyl,  
 cycloalkylsulfonylalkyl,  
 cycloalkylalkoxyalkyl,  
 cycloalkylthioalkoxyalkyl,  
 cycloalkylalkylsulfonylalkyl,  
 aminocarbonyl,  
 alkylaminocarbonyl,  
 dialkylaminocarbonyl,  
 aroylalkyl,  
 (heterocyclic)carbonylalkyl,  
 polyhydroxyalkyl,  
 aminocarbonylalkyl,  
 alkylaminocarbonylalkyl,  
 dialkylaminocarbonylalkyl,  
 aryloxyalkyl, or  
 alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
 tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
 one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
 dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
 COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

where X is -N, or -O, with the proviso that when X is O, R<sub>B</sub> is absent;

and when X is N,

R<sub>B</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents  
 selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub> where R<sub>B-x</sub> and R<sub>B-y</sub> are

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -

F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

and where R<sub>B-x</sub> and R<sub>B-y</sub> are taken together with the carbon to

which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub> where R<sub>N-2</sub> is as defined above, and R<sub>B-aryl</sub> is the same as R<sub>N-aryl</sub> and is defined above

(IV) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub>, R<sub>B-x</sub>, and R<sub>B-y</sub> are as defined above,

(V) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>-R<sub>B-aryl</sub> where R<sub>B-aryl</sub>, R<sub>B-x</sub>, and R<sub>B-y</sub> are as defined above,

(VI) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-aryl</sub>, R<sub>B-heteroaryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(VII) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub>-R<sub>B-aryl</sub> where R<sub>B-heteroaryl</sub>, R<sub>B-aryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(VIII) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(IX)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}\text{-R}_{\text{B-aryl}}\text{-R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$  is defined as  $\text{R}_{\text{1-heterocycle}}$ , and where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}\text{-R}_{\text{B-heteroaryl}}\text{-R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

5 (XI)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}\text{-R}_{\text{B-heterocycle}}\text{-R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}\text{-R}_{\text{B-heterocycle}}\text{-R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

10 (XIII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}\text{-R}_{\text{B-heterocycle}}\text{-R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}\text{-R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XV)  $-[\text{C}(\text{R}_{\text{B-1}})(\text{R}_{\text{B-2}})]_{1-3}\text{-CO-N}(\text{R}_{\text{B-3}})_2$  where  $\text{R}_{\text{B-1}}$  and  $\text{R}_{\text{B-2}}$  are the same or different and are selected from the group consisting of:

15 (A) -H,

(B)  $\text{-C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

20 (C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(E)  $-(\text{CH}_2)_{1-2}\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,

25 (F)  $-(\text{CH}_2)_{0-4}\text{-C}_3\text{-C}_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(G)  $-(C_1-C_4 \text{ alkyl})-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above for  $R_1$ .

aryl,

(H)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,

5 (J)  $-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(K)  $-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,

(M)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B'\text{-aryl}}$  where  $R_{B-4}$  is  $-O-$ ,  $-S-$  or  $-NR_{B-5}-$  where  $R_{B-5}$  is  $C_1-C_6$  alkyl, and where  $R_{B'\text{-aryl}}$  is defined above,

10 (N)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B\text{-heteroaryl}}$  where  $R_{B-4}$  and  $R_{B\text{-heteroaryl}}$  are as defined above, and

(O)  $-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,

and where  $R_{B-3}$  is the same or different and is:

(A)  $-H$ ,

15 (B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

20 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

25 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30 (F)  $-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,

(G)  $-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(H)  $-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(K)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined

above, or

(XVI)  $-\text{CH}(R_{B\text{-aryl}})_2$  where  $R_{B\text{-aryl}}$  are the same or different and are as  
5 defined above,

(XVII)  $-\text{CH}(R_{B\text{-heteroaryl}})_2$  where  $R_{B\text{-heteroaryl}}$  are the same or different and are  
as defined above,

(XVIII)  $-\text{CH}(R_{B\text{-aryl}})(R_{B\text{-heteroaryl}})$  where  $R_{B\text{-aryl}}$  and  $R_{B\text{-heteroaryl}}$  are as  
defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{B\text{-aryl}}$  or  $R_{B\text{-heteroaryl}}$  or  $R_{B\text{-heterocycle}}$  where  $R_{B\text{-aryl}}$  or  $R_{B\text{-heteroaryl}}$  or  $R_{B\text{-heterocycle}}$  are as defined above where  
10 one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH,  
NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be  
optionally substituted with one or two  $-C_1-C_3$  alkyl, -F, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$   
15 alkoxy, =O, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally  
substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl, and  $-NR_{1-a}R_{1-b}$   
where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally  
20 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl, and  $-NR_{1-a}R_{1-b}$   
where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-R_{B\text{-aryl}}$  where  $R_{B\text{-aryl}}$  is as defined above  
25 and  $R_{C-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{B-6}-(CH_2)_{0-1}-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  and  $R_{C-6}$  is  
as defined above,

(XXIII)  $-\text{CH}(-R_{B\text{-aryl}} \text{ or } R_{B\text{-heteroaryl}})-CO-O(C_1-C_4 \text{ alkyl})$  where  $R_{B\text{-aryl}}$  and  
 $R_{B\text{-heteroaryl}}$  are as defined above,

(XXIV)  $-\text{CH}(-CH_2-OH)-CH(-OH)-\text{micro-NO}_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-O-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII) -H, or

(XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above;

or a pharmaceutically acceptable salt thereof.

5 2. A substituted amine according to claim 1

where  $R_1$  is:

$-(CH_2)_{0-1}-(R_{1-aryl})$ , or

$-(CH_2)_{n1}-(R_{1-heteroaryl})$ ;

where  $R_N$  is:

10  $R_{N-1}-X_N$ , where  $X_N$  is selected from the group consisting of:

$-CO-$ , and

$-SO_2-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

$-R_{N-aryl}$  and

15  $-R_{N-heteroaryl}$ , or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl}$ ;

where  $R_A$  is:

$-C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

20  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$ ,

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-}$

heterocycle;

25 where  $X$  is  $-N$  or  $-O$ , with the proviso that when  $X$  is  $O$ ,  $R_B$  is absent;

and when  $X$  is  $N$ ,

$R_B$  is:

$-C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

30  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$ ,

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-}$

heterocycle.

3. A substituted amine according to claim 2

where  $R_1$  is:

$-(CH_2)-(R_{1-aryl})$ , or

$-(CH_2)-(R_{1-heteroaryl})$ ;

where  $R_2$  is  $-H$ ;

where  $R_3$  is  $-H$ ;

where  $R_N$  is:

$R_{N-1}-X_N$  where  $X_N$  is:

$-CO-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

$-R_{N-aryl}$ , and

$-R_{N-heteroaryl}$ ;

where  $R_A$  is:

$-C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$ ,

-cyclopentyl or -cyclohexyl ring fused to  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-}$

heterocycle;

where  $X$  is  $-N$  or  $-O$ , with the proviso that when  $X$  is  $O$ ,  $R_B$  is absent;

and when  $X$  is  $N$ ,

$R_B$  is:

$-C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$ ,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}$ ,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}$ ,

-cyclopentyl or -cyclohexyl ring fused to  $R_{B-aryl}$  or  $R_{B-heteroaryl}$  or  $R_{B-}$

heterocycle.

4. A substituted amine according to claim 3,

where  $R_A$  is:



$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl},$

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl},$  or

-cyclopentyl or -cyclohexyl ring fused to a  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-}$

heterocycle; and

5

where  $R_B$  is:

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl},$

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl},$  or

-cyclopentyl or -cyclohexyl ring fused to  $R_{B-aryl}$  or  $R_{B-heteroaryl}$  or  $R_{B-}$

heterocycle.

10

5. A substituted amine according to claim 1 where  $R_1$  is

$-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl.

6. A substituted amine according to claim 1 where  $R_1$  is

15  $-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl substituted with two -F.

7. A substituted amine according to claim 6 where the -F substitution is 3,5-difluorobenzyl.

20

8. A substituted amine according to claim 1 where  $R_2$  is -H.

9. A substituted amine according to claim 1 where  $R_3$  is -H.

10. A substituted amine according to claim 1 where  $R_N$  is

25

$R_{N-1}-X_N$ , where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3-.

11. A substituted amine according to claim 10 where  $R_{N-2}$  and  $R_{N-3}$  are the same and are C<sub>3</sub> alkyl.

30

12. A substituted amine according to claim 1 where  $R_N$  is

$R_{N-1}-X_N$  where  $X_N$  is -CO-, and where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on the phenyl is 1,3,5-.

13. A substituted amine according to claim 12 where  $R_{N-2}$  and  $R_{N-3}$  are the same and are  $C_3$  alkyl.

5 14. A substituted amine according to claim 1 where  $R_N$  is  $R_{N-1}-X_N-$ , where  $X_N$  is  $-CO-$ , and where  $R_{N-1}$  is  $R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is substituted with one  $-CO-NR_{N-2}R_{N-3}$ .

10 15. A substituted amine according to claim 14 where  $R_{N-2}$  and  $R_{N-3}$  are the same and are  $C_3$  alkyl.

16. A substituted amine according to claim 1 where  $R_A$  is:

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-aryl}$  is phenyl,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

15  $-cyclopentyl$  or  $-cyclohexyl$  ring fused to a  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$ .

17. A substituted amine according to claim 16 where  $R_A$  is:

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-aryl}$  is phenyl.

20 18. A substituted amine according to claim 17 where phenyl is substituted in the 3-position or 3,5-positions.

19. A substituted amine according to claim 16 where  $R_A$  is

$-(CH_2)-R_{A-heteroaryl}$ .

25

20. A substituted amine according to claim 16 where  $R_A$  is:

$-(CH_2)-R_{A-heterocycle}$ .

21. A substituted amine according to claim 16 where  $R_A$  is:

30  $-cyclohexyl$  ring fused to a phenyl ring.

22. A substituted amine according to claim 1 where  $R_B$  is:

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$  where  $R_{B-aryl}$  is phenyl,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}$ ,

~~-cyclopentyl or -cyclohexyl ring fused to a  $R_{B-aryl}$  or  $R_{B-heteroaryl}$  or  $R_{B-heterocycle}$ .~~

23. A substituted amine according to claim 22 where  $R_B$  is:

~~$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$  where  $R_{B-aryl}$  is phenyl.~~

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24. A substituted amine according to claim 23 where phenyl is substituted in the 3-position or 3,5-positions.

25. A substituted amine according to claim 22 where  $R_B$  is:

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~~$-(CH_2)-R_{B-heteroaryl}$ .~~

26. A substituted amine according to claim 22 where  $R_B$  is:

~~$-(CH_2)-R_{B-heterocycle}$ .~~

15

27. A substituted amine according to claim 22 where  $R_B$  is:

~~-cyclohexyl ring fused to a phenyl ring.~~

28. A substituted amine according to claim 1, where  $R_B$  is absent.

20

29. A substituted amine according to claim 1, chosen from the group consisting of:  
N-[1-(3,5-Difluoro-benzyl)-2-hydroxy-3-(N'-methyl-N'-phenyl-hydrazino)-propyl]-5-methyl-N',N'-dipropyl-isophthalamide,

N-{1-(3,5-Difluoro-benzyl)-2-hydroxy-3-[N'-methyl-N'-(4-methyl-pentanoyl)-hydrazino]-propyl}-5-methyl-N',N'-dipropyl-isophthalamide, and

25

N-[1-(3,5-Difluoro-benzyl)-2-hydroxy-3-phenoxyamino-propyl]-5-methyl-N',N'-dipropyl-isophthalamide.

30. A substituted amine according to claim 1 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic,

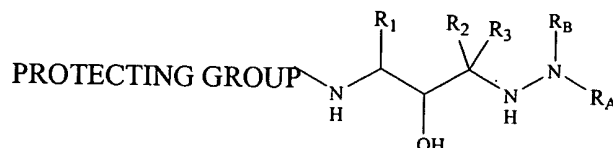
30

benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsyllic, carbonic, chlorobenzoic, citric, edetic, edisyllic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric,

methysulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

5

31. A protected compound of the formula (II)



II

10

where R<sub>1</sub> is:

(I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15

(II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

20

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

25

(VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

(A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, and C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30

(B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -

Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two or three of -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

5

imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyll,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,

10

benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,

15

oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,

20

imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,

25

beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,

30

isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,

5 benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
10 imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenziso­thiazinyl,  
15 benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
20 chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
25 dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
30 benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,

5 quinoliny N-oxide,  
 indolyl N-oxide,  
 indoliny N-oxide,  
 isoquinolyl N-oxide,  
 quinazolinyl N-oxide,  
 quinoxaliny N-oxide,  
 phthalazinyl N-oxide,  
 imidazolyl N-oxide,  
 10 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 15 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 20 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide,

25 where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

- 30 (1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  
 (2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,



(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

10 (9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

15 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen; or

20 (VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

25 thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

30 pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,  
 homopiperidiny,   
 homomorpholinyl,  
 homothiomorpholinyl,  
 5 homothiomorpholinyl S,S-dioxide,  
 oxazolidinonyl,  
 dihydropyrazolyl,  
 dihydropyrrolyl,  
 dihydropyrazinyl,  
 10 dihydropyridinyl,  
 dihydropyrimidinyl,  
 dihydrofuryl,  
 dihydropyranyl,  
 tetrahydrothienyl S-oxide,  
 15 tetrahydrothienyl S,S-dioxide, and  
 homothiomorpholinyl S-oxide,

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_1$ -  
 heterocycle group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group  
 replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with  
 20 one, two, three or four:

(1)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  
 -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

25 (2)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -  
 Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ -  
 $C_6$  alkyl,

30 (3)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -  
 Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ -  
 $C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(5)  $C_1$ - $C_6$  alkoxy,

(6)  $-C_1-C_6$  alkoxy optionally substituted with one, two, or three  $-F$ ,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

(8)  $-OH$ ,

5 (9)  $-C\equiv N$ ,

(10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(11)  $-CO-(C_1-C_4 \text{ alkyl})$ ,

10 (12)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(13)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(14)  $-SO_2-(C_1-C_4 \text{ alkyl})$ , or

15 (15)  $=O$ , with the proviso that when  $n_1$  is zero  $R_{1-\text{heterocycle}}$  is not bonded to the carbon chain by nitrogen;

where  $R_2$  is:

(I)  $-H$ ,

20 (II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-\text{aryl}}$  or  $R_{1-\text{heteroaryl}}$  where  $R_{1-\text{aryl}}$  and  $R_{1-\text{heteroaryl}}$  are as defined above;

25 (IV)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(V)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl, or

30 (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl;

where  $R_3$  is:

(I)-H,

(II)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents  
 5 selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds,

10 (V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds; or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-  $C_3$ - $C_7$  cycloalkyl, optionally substituted with one, two or  
 three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  
 $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are  
 15 attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally  
 where one carbon atom is replaced by a heteroatom selected from the group consisting of  
 -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is selected from the group consisting of:

(a) -H,

(b)  $C_1$ - $C_6$  alkyl optionally substituted with one  
 20 substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c)  $C_1$ - $C_6$  alkyl optionally substituted with one,  
 25 two, or three -F, -Cl, -Br, or -I,

(d)  $C_3$ - $C_7$  cycloalkyl,

(e) -( $C_1$ - $C_2$  alkyl)-( $C_3$ - $C_7$  cycloalkyl),

(f) -( $C_1$ - $C_6$  alkyl)-O-( $C_1$ - $C_3$  alkyl),

(g)  $C_2$ - $C_6$  alkenyl with one or two double bonds,

(h)  $C_2$ - $C_6$  alkynyl with one or two triple bonds,

30 (i)  $C_1$ - $C_6$  alkyl chain with one double bond and one  
 triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above;

where  $R_N$  is:

(I)  $R_{N-1}-X_N$  where  $X_N$  is selected from the group consisting of:

(A)  $-\text{CO}-$ ,

(B)  $-\text{SO}_2-$ ,

(C)  $-(\text{CR}'\text{R}'')_{1-6}$  where  $\text{R}'$  and  $\text{R}''$  are the same or different and are  $-\text{H}$  and  $\text{C}_1-\text{C}_4$  alkyl,

(D)  $-\text{CO}-(\text{CR}'\text{R}'')_{1-6}-X_{N-1}$  where  $X_{N-1}$  is selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $-\text{NR}'-$  and where  $\text{R}'$  and  $\text{R}''$  are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N\text{-aryl}}$  where  $R_{N\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $\text{C}_1-\text{C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(2)  $-\text{OH}$ ,

(3)  $-\text{NO}_2$ ,

(4)  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,

(5)  $-\text{CO}-\text{OH}$ ,

(6)  $-\text{C}\equiv\text{N}$ ,

(7)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are the same or different and are selected from the group consisting of:

(a)  $-\text{H}$ ,

(b)  $\text{C}_1-\text{C}_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i)  $-\text{OH}$ , and

(ii)  $-\text{NH}_2$ ,

(c)  $\text{C}_1-\text{C}_6$  alkyl optionally substituted with one, two, or three  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,  
(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and  
(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,  
(8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),  
(9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),  
(10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or three triple bonds),  
(11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
(12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above,  
(13) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,  
(14) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-heterocycle</sub> where R<sub>1-heterocycle</sub> is as defined above,  
(15) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C<sub>1</sub>-C<sub>6</sub> alkyl,  
(16) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> is selected from the group consisting of:  
(a) C<sub>1</sub>-C<sub>6</sub> alkyl,  
(b) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is as defined above,  
(c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,  
(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and

(f)  $-(CH_2)_{0-2}-(R_{1-\text{heteroaryl}})$  where R<sub>1-heteroaryl</sub> is as defined above,

5 defined above, (17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> are as

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

10 (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where R<sub>N-5</sub> can be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where R<sub>N-5</sub> can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where R<sub>N-5</sub> can be the same or different and is as defined above,

15 (24)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$  where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> can be the same or different and are as defined above,

20 (26)  $-(CH_2)_{0-4}-R_{N-4}$  where R<sub>N-4</sub> is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-\text{aryl-1}})_2$  where R<sub>N-aryl-1</sub> is -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where R<sub>N-5</sub> is as defined above,

25 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where R<sub>N-5</sub> is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where R<sub>N-5</sub> is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where R<sub>N-5</sub> is as defined above,

30 (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where R<sub>N-5</sub> is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, three, four, or five of -F),

(35) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,



benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
5 oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
10 imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
15 beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
20 isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
25 benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
30 phenoxazinyl,  
phenothiazinyl,  
pteridinyll,  
benzothiazolyl,  
imidazopyridinyl,

imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
5 dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
10 chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl,  
dihydroquinolinyl,  
15 dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
dihydrocoumarinyl,  
dihydroisocoumarinyl,  
isoindolinonyl,  
20 benzodioxanyl,  
benzoxazolinonyl,  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
25 pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
30 quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,

oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 5 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 10 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_N$ -  
 15 heteroaryl group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group  
 replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with  
 one, two, three, or four of:

(1)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or  
 three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I,  
 20 -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1$ - $C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 above,

(2) -OH,  
 (3)  $-NO_2$ ,  
 (4) -F, -Cl, -Br, or -I,  
 25 (5) -CO-OH,  
 (6)  $-C\equiv N$ ,  
 (7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the  
 same or different and are selected from the group consisting of:

(a) -H,  
 30 (b)  $-C_1$ - $C_6$  alkyl optionally substituted with one  
 substituent selected from the group consisting of:

(i) -OH, and  
 (ii)  $-NH_2$ ,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one,  
two, or three -F, -Cl, -Br, -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

5

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

triple bond,

10

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above,

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,

(8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),

(9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three

double bonds),

15

(10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or three

triple bonds),

(11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above,

(13) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

20

above,

(14) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-heterocycle</sub> where R<sub>1-heterocycle</sub> is as

defined above,

(15) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is selected from the

group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

25

homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,

homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is

optionally substituted with one, two, three, or four of C<sub>1</sub>-C<sub>6</sub> alkyl,

(16) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> is selected from the

group consisting of:

30

(a) C<sub>1</sub>-C<sub>6</sub> alkyl,

(b) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is as defined

above,

(c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double

bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and

(f) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-heteroaryl</sub>) where R<sub>1-heteroaryl</sub> is as defined above,

(17) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,

(18) -(CH<sub>2</sub>)<sub>0-4</sub>-SO-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(19) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>12</sub> alkyl),

(20) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(21) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> can be the same or different and is as defined above,

(22) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same or different and is as defined above,

(23) -(CH<sub>2</sub>)<sub>0-4</sub>-N-CS-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same or different and is as defined above,

(24) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-CO-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above,

(25) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> can be the same or different and are as defined above,

(26) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(27) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(28) -(CH<sub>2</sub>)<sub>0-4</sub>-O-P(O)-(OR<sub>N-aryl-1</sub>)<sub>2</sub> where R<sub>N-aryl-1</sub> is -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(29) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(30) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CS-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(31) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(32) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub>-COOH where R<sub>N-5</sub> is as defined above,

(33) -(CH<sub>2</sub>)<sub>0-4</sub>-S-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(34) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above, or

10 (39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(C) R<sub>N-aryl</sub>-W-R<sub>N-aryl</sub>, where R<sub>N-aryl</sub> can be the same or different,

(D) R<sub>N-aryl</sub>-W-R<sub>N-heteroaryl</sub>,

(E) R<sub>N-aryl</sub>-W-R<sub>N-1-heterocycle</sub>, wherein R<sub>N-1-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above

15 (F) R<sub>N-heteroaryl</sub>-W-R<sub>N-aryl</sub>,

(G) R<sub>N-heteroaryl</sub>-W-R<sub>N-heteroaryl</sub>,

(H) R<sub>N-heteroaryl</sub>-W-R<sub>N-1-heterocycle</sub>,

(I) R<sub>N-heterocycle</sub>-W-R<sub>N-aryl</sub>, wherein R<sub>N-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above, and R<sub>N-aryl</sub> is as defined above,

20 (J) R<sub>N-heterocycle</sub>-W-R<sub>N-heteroaryl</sub>, and

(K) R<sub>N-heterocycle</sub>-W-R<sub>N-1-heterocycle</sub>,

where W is

(5) -(CH<sub>2</sub>)<sub>0-4</sub>-,

(6) -O-,

25 (7) -S(O)<sub>0-2</sub>-,

(8) -N(R<sub>N-5</sub>)- where R<sub>N-5</sub> is as defined above, or

(5) -CO-;

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one three substituents selected from the group consisting of:

30 (A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

5 (H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and  
10 are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are  
as defined above,

15 (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of  
-F, -Cl, -Br, or -I),

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

20 (III)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B)  $-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

(C)  $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

25 (D)  $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is -H,  $\text{C}_1-\text{C}_6 \text{ alkyl}$  or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different  
and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

30 (H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different  
and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

5 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

10 (Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

(IV)  $-CO-(C_1-C_6 \text{ alkyl})-S-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three of substituents selected from the group consisting of:

(A) -OH,

15 (B)  $-C_1-C_6 \text{ alkoxy}$ ,

(C)  $-C_1-C_6 \text{ thioalkoxy}$ ,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

20 (F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

(H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

25 (J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

30 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,



(P)  $-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, or three of } -F, -Cl, -Br, \text{ or } -I),$

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl}),$  and

(R)  $-F, \text{ or } -Cl,$

5 (V)  $-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl})$  where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above, where  $R_{N-10}$  is selected from the group consisting of:

(A)  $-H,$

(B)  $C_1-C_6 \text{ alkyl},$

(C)  $C_3-C_7 \text{ cycloalkyl},$

10 (D)  $C_2-C_6 \text{ alkenyl with one double bond},$

(E)  $C_2-C_6 \text{ alkynyl with one triple bond},$

(F)  $R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(G)  $R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is as defined above, or

(VI)  $-CO-(C_3-C_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one  
15 or two substituents selected from the group consisting of:

(A)  $-(CH_2)_{0-4}-OH,$

(B)  $-(CH_2)_{0-4}-C_1-C_6 \text{ alkoxy},$

(C)  $-(CH_2)_{0-4}-C_1-C_6 \text{ thioalkoxy},$

(D)  $-(CH_2)_{0-4}-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H, C_1-C_6 \text{ alkyl or phenyl},$

20 (E)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-(CH_2)_{0-4}-SO_2-(C_1-C_8 \text{ alkyl}),$

(H)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or

25 different and are as defined above,

(I)  $-(CH_2)_{0-4}-NH-CO-(C_1-C_6 \text{ alkyl}),$

(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or

different and are as defined above,

30 (L)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl}),$

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of  
5 -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and

(R) -F, or -Cl;

where R<sub>A</sub> is:

10 (I) -C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -  
15 C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkCyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-  
20 O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub> where R<sub>A-x</sub> and R<sub>A-y</sub> are

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -  
25 F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

30 and where R<sub>A-x</sub> and R<sub>A-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>A-aryl</sub> is the same as R<sub>N-aryl</sub>,

(IV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$  is the same as  $\text{R}_{\text{N-heteroaryl}}$  and  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(V)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

5 (VI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

10 (VIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(IX)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$  is defined as  $\text{R}_{\text{I-heterocycle}}$ , and where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

15 (XI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

20 (XIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XV)  $[\text{C}(\text{R}_{\text{A-1}})(\text{R}_{\text{A-2}})]_{1-3}\text{-CO-N}(\text{R}_{\text{A-3}})_2$  where  $\text{R}_{\text{A-1}}$  and  $\text{R}_{\text{A-2}}$  are the same or different and are selected from the group consisting of:

25 (A) -H,

(B)  $\text{-C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

30 (C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined for R<sub>1-aryl</sub>,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(J) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

15 (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A'-aryl</sub> where R<sub>A-4</sub> is -O-, -S- or -NR<sub>A-5</sub>- where R<sub>A-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>A'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-4</sub> and R<sub>A-heteroaryl</sub> are as defined above, and

(O) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

20 and where R<sub>A-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
25 above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

- 5 (F)  $-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,  
 (G)  $-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,  
 (H)  $-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above,  
 (I)  $-(C_1-C_4 \text{ alkyl})-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,  
 (J)  $-(C_1-C_4 \text{ alkyl})-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,  
 10 (K)  $-(C_1-C_4 \text{ alkyl})-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above, or

(XVI)  $-\text{CH}(R_{A-aryl})_2$  where  $R_{A-aryl}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(R_{A-heteroaryl})_2$  where  $R_{A-heteroaryl}$  are the same or different and are as defined above,

(XVIII)  $-\text{CH}(R_{A-aryl})(R_{A-heteroaryl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

(XIX)  $-\text{cyclopentyl}$ ,  $-\text{cyclohexyl}$ , or  $-\text{cycloheptyl}$  ring fused to  $R_{A-aryl}$ ,  $R_{A-heteroaryl}$ ,  $R_{A-heterocycle}$  where  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$  are as defined above where one carbon of cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  is optionally replaced with  $NH$ ,  $NR_{N-5}$ ,  $O$ , or  $S(=O)_{0-2}$ , and where cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  can be optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-F$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $=O$ , or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-aryl}$  where  $R_{A-aryl}$  is as defined above and  $R_{A-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  and  $R_{A-6}$  is as defined above,

(XXIII)  $-CH(-R_{A-aryl} \text{ or } R_{A-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

5 (XXIV)  $-CH(-CH_2-OH)-CH(-OH)-micro-NO_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-H$ ,

10 (XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above; or

(XXX)

$-C=OC(HR_6)NHR_7$ , where  $R_6$  and  $R_7$  are as defined below,

$-C=OR_7$ , where  $R_7$  is as defined below,

$-C=OOR_7$ , where  $R_7$  is as defined below, or

15  $-SOOR_7$  where  $R_7$  is as defined below,

wherein  $R_6$  is:

hydrogen,

$C_1 - C_3$  alkyl,

phenyl,

20 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

25 alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

30 aminoalkyl,

(N-protected)aminoalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

5      guanidinoalkyl,  
       lower alkenyl,  
       heterocyclic,  
       (heterocyclic)alkyl),  
 10      arylthioalkyl,  
       arylsulfonylalkyl,  
       (heterocyclic)thioalkyl,  
       (heterocyclic)sulfonylalkyl,  
       (heterocyclic)oxyalkyl,  
 15      arylalkoxyalkyl,  
       arylthioalkoxyalkyl,  
       arylalkylsulfonylalkyl,  
       (heterocyclic)alkoxyalkyl,  
       (heterocyclic)thioalkoxyalkyl,  
       (heterocyclic)alkylsulfonylalkyl,  
       cycloalkyloxyalkyl,  
       cycloalkylthioalkyl,  
       cycloalkylsulfonylalkyl,  
       cycloalkylalkoxyalkyl,  
 20      cycloalkylthioalkoxyalkyl,  
       cycloalkylalkylsulfonylalkyl,  
       aminocarbonyl,  
       alkylaminocarbonyl,  
       dialkylaminocarbonyl,  
 25      aroylalkyl,  
       (heterocyclic)carbonylalkyl,  
       polyhydroxyalkyl,  
       aminocarbonylalkyl,  
       alkylaminocarbonylalkyl,  
 30      dialkylaminocarbonylalkyl,  
       aryloxyalkyl, or  
       alkylsulfonylalkyl,  
       wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
       oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and

tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

5

wherein R<sub>7</sub> is:C<sub>1</sub> - C<sub>3</sub> alkyl,

phenyl,

thioalkoxyalkyl,

(aryl)alkyl,

10

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl,

aryloxyalkyl,

15

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

aminoalkyl,

(N-protected)aminocalkyl,

20

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

guanidinoalkyl,

lower alkenyl,

25

heterocyclic,

(heterocyclic)alkyl),

arylthioalkyl,

arylsulfonyalkyl,

(heterocyclic)thioalkyl,

30

(heterocyclic)sulfonylalkyl,

(heterocyclic)oxyalkyl,

arylalkoxyalkyl,

arylthioalkoxyalkyl,

arylalkylsulfonylalkyl,



(heterocyclic))alkoxyalkyl,  
 (heterocyclic)thioalkoxyalkyl,  
 (heterocyclic)alkylsulfonylalkyl,  
 cycloalkyloxyalkyl,  
 5 cycloalkylthioalkyl,  
 cycloalkylsulfonylalkyl,  
 cycloalkylalkoxyalkyl,  
 cycloalkylthioalkoxyalkyl,  
 cycloalkylalkylsulfonylalkyl,  
 10 aminocarbonyl,  
 alkylaminocarbonyl,  
 dialkylaminocarbonyl,  
 aroylalkyl,  
 (heterocyclic)carbonylalkyl,  
 15 polyhydroxyalkyl,  
 aminocarbonylalkyl,  
 alkylaminocarbonylalkyl,  
 dialkylaminocarbonylalkyl,  
 aryloxyalkyl, or  
 20 alkylsulfonylalkyl,  
 wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
 tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
 one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
 25 dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
 COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

where R<sub>B</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents  
 30 selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where  
 R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -

C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-CO-OH$ ,  $-CO-O-$  ( $C_1-C_4$  alkyl), and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are

(A) –H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

10 (C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -  
F,

(D)  $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7$  cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

15 (G) phenyl,

and where  $R_{B-x}$  and  $R_{B-y}$  are taken together with the carbon to

which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub> where R<sub>N-2</sub> is as defined above, and R<sub>B-aryl</sub> is the same as R<sub>N-aryl</sub> and is defined above

(IV)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$  is the same as  $\text{R}_{\text{N-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$ , and  $\text{R}_{\text{B-y}}$  are as defined above,

(V)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$ , and  $\text{R}_{\text{B-y}}$  are as defined above,

25 (VI)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}\text{-R}_{\text{B-aryl}}\text{-R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(VIII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(IX)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$  is defined as  $\text{R}_1$ -heterocycle, and where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XI)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

5 (XII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XIII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

10 (XIV)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XV)  $-[\text{C}(\text{R}_{\text{B-1}})(\text{R}_{\text{B-2}})]_{1-3}-\text{CO}-\text{N}-(\text{R}_{\text{B-3}})_2$  where  $\text{R}_{\text{B-1}}$  and  $\text{R}_{\text{B-2}}$  are the same or different and are selected from the group consisting of:

(A) -H,

15 (B)  $-\text{C}_1-\text{C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

20 (C)  $\text{C}_2-\text{C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

25 (D)  $\text{C}_2-\text{C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(E)  $-(\text{CH}_2)_{1-2}-\text{S}(\text{O})_{0-2}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

30 (F)  $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(G)  $-(\text{C}_1-\text{C}_4 \text{ alkyl})-\text{R}_{\text{B'-aryl}}$  where  $\text{R}_{\text{B'-aryl}}$  is as defined above for  $\text{R}_{1-aryl}$ ,

(H)  $-(\text{C}_1-\text{C}_4 \text{ alkyl})-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$  is as defined above,

- (I)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,  
 (J)  $-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,  
 (K)  $-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,  
 (M)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B'\text{-aryl}}$  where  $R_{B-4}$  is  $-O-$ ,  $-S-$  or  
 5  $-NR_{B-5}-$  where  $R_{B-5}$  is  $C_1-C_6$  alkyl, and where  $R_{B'\text{-aryl}}$  is defined above,  
 (N)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B\text{-heteroaryl}}$  where  $R_{B-4}$  and  $R_{B\text{-heteroaryl}}$   
 are as defined above, and  
 (O)  $-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,  
 and where  $R_{B-3}$  is the same or different and is:  
 10 (A)  $-H$ ,  
 (B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  
 $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as  
 defined above,  
 15 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
 alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$   
 where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  
 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally  
 20 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
 alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$   
 where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  
 (E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one,  
 two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-$   
 25  $I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  
 as defined above,  
 (F)  $-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,  
 (G)  $-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,  
 (H)  $-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,  
 30 (I)  $-(C_1-C_4 \text{ alkyl})-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,  
 (J)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,  
 (K)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined  
 above, or

(XVI)  $-\text{CH}(\text{R}_{\text{B-aryl}})_2$  where  $\text{R}_{\text{B-aryl}}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(\text{R}_{\text{B-heteroaryl}})_2$  where  $\text{R}_{\text{B-heteroaryl}}$  are the same or different and are as defined above,

5 (XVIII)  $-\text{CH}(\text{R}_{\text{B-aryl}})(\text{R}_{\text{B-heteroaryl}})$  where  $\text{R}_{\text{B-aryl}}$  and  $\text{R}_{\text{B-heteroaryl}}$  are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $\text{R}_{\text{B-aryl}}$  or  $\text{R}_{\text{B-heteroaryl}}$  or  $\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-aryl}}$  or  $\text{R}_{\text{B-heteroaryl}}$  or  $\text{R}_{\text{B-heterocycle}}$  are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with  $\text{NH}$ ,  
 10  $\text{NR}_{\text{N-5}}$ , O, or  $\text{S}(=\text{O})_{0-2}$ , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two  $-\text{C}_1-\text{C}_3$  alkyl,  $-\text{F}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $=\text{O}$ , or  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XX)  $\text{C}_2-\text{C}_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$   
 15 alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $\text{C}_2-\text{C}_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$   
 20 alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-aryl}}$  is as defined above and  $\text{R}_{\text{C-6}}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

(XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{B-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$  and  $\text{R}_{\text{C-6}}$  is as defined above,

25 (XXIII)  $-\text{CH}(-\text{R}_{\text{B-aryl}} \text{ or } \text{R}_{\text{B-heteroaryl}})-\text{CO}-\text{O}(\text{C}_1-\text{C}_4 \text{ alkyl})$  where  $\text{R}_{\text{B-aryl}}$  and  $\text{R}_{\text{B-heteroaryl}}$  are as defined above,

(XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{micro-NO}_2$ ,

(XXV)  $(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

30 (XXVIII)  $-\text{H}$ , or

(XXIX)  $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above; and

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N)-H.

32. A protected compound according to claim 31

where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>0-1</sub>-(R<sub>1-aryl</sub>), or

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>);

where R<sub>N</sub> is:

R<sub>N-1</sub>-X<sub>N</sub>-, where X<sub>N</sub> is selected from the group consisting of:

-CO-, and

-SO<sub>2</sub>-,

where R<sub>N-1</sub> is selected from the group consisting of:

-R<sub>N-aryl</sub>, and

-R<sub>N-heteroaryl</sub>, or

-CO-CH(-(CH<sub>2</sub>)<sub>0-2</sub>-O-R<sub>N-10</sub>)-(CH<sub>2</sub>)<sub>0-2</sub>-R<sub>N-aryl</sub>/R<sub>N-heteroaryl</sub>);

where R<sub>A</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}$ ,  
 $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}$ ,  
 $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}$ ,  
 -cyclopentyl or -cyclohexyl ring fused to  $\text{R}_{\text{A-aryl}}$  or  $\text{R}_{\text{A-heteroaryl}}$  or  $\text{R}_{\text{A-}}$

5 heterocycle;

where  $\text{R}_{\text{B}}$  is:

$-\text{C}_1-\text{C}_8$  alkyl,  
 $-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7)$  cycloalkyl,  
 $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}$ ,  
 $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}$ ,  
 $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}$ ,  
 -cyclopentyl or -cyclohexyl ring fused to  $\text{R}_{\text{A-aryl}}$  or  $\text{R}_{\text{A-heteroaryl}}$  or  $\text{R}_{\text{A-}}$

heterocycle.

15 33. A protected compound according to claim 34 ✓

where  $\text{R}_1$  is:

$-(\text{CH}_2)-(\text{R}_1\text{-aryl})$ , or  
 $-(\text{CH}_2)-(\text{R}_1\text{-heteroaryl})$ ;

where  $\text{R}_2$  is -H;

20 where  $\text{R}_3$  is -H;

where  $\text{R}_{\text{N}}$  is:

$\text{R}_{\text{N-1}}-\text{X}_{\text{N}}$  where  $\text{X}_{\text{N}}$  is:

$-\text{CO}-$ ,

where  $\text{R}_{\text{N-1}}$  is selected from the group consisting of:

25  $-\text{R}_{\text{N-aryl}}$ , and

$-\text{R}_{\text{N-heteroaryl}}$ ;

where  $\text{R}_{\text{A}}$  is:

$-\text{C}_1-\text{C}_8$  alkyl,  
 $-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7)$  cycloalkyl,  
 $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}$ ,  
 $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}$ ,  
 $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}$ , or  
 -cyclopentyl or -cyclohexyl ring fused to  $\text{R}_{\text{A-aryl}}$  or  $\text{R}_{\text{A-heteroaryl}}$  or  $\text{R}_{\text{A-}}$

heterocycle;

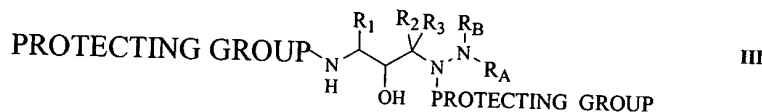
where  $R_B$  is:

- C<sub>1</sub>-C<sub>8</sub> alkyl,
- (CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,
- (CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>, or
- 5      -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub>.
- (CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heterocycle</sub>,
- cyclopentyl or -cyclohexyl ring fused to R<sub>B-aryl</sub> or R<sub>B-heteroaryl</sub> or R<sub>B-heterocycle</sub>.

- 10      34. A protected compound according to claim 34 where PROTECTING GROUP is *t*-butoxycarbonyl.

35. A protected compound according to claim 34 where PROTECTING GROUP is benzyloxycarbonyl.

- 15      36. A protected compound of the formula (III)



- 20      where  $R_1$  is:

- (I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 30



(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

(A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, and C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two or three of -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
5 indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoquinolyl,  
quinazolinyl,  
10 quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
15 oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
20 benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
25 oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
30 imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,

beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinoliny,  
5 isoindoliny,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
10 benzoxazolyl,  
pyridopyridiny,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
puriny,  
15 benzodioxolyl,  
triaziny,  
phenoxaziny,  
phenothiaziny,  
pteridiny,  
20 benzothiazolyl,  
imidazopyridiny,  
imidazothiazolyl,  
dihydrobenzisoxaziny,  
benzisoxaziny,  
25 benzoxaziny,  
dihydrobenzisothiaziny,  
benzopyranyl,  
benzothiopyranyl,  
coumariny,  
30 isocoumariny,  
chromony,  
chromanony,  
pyridiny-N-oxide,  
tetrahydroquinoliny  
dihydroquinoliny

5 dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
10 pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
15 isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
20 isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyll N-oxide,  
indazolyl N-oxide,  
25 benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
30 triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide, and  
benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n_1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

5 (1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(4)  $-F$ ,  $-Cl$ ,  $-Br$  or  $-I$ ,

(6)  $-C_1-C_6$  alkoxy optionally substituted with one, two, or three of  $-F$ ,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

(8)  $-OH$ ,

(9)  $-C\equiv N$ ,

(10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(11)  $-CO-(C_1-C_4 \text{ alkyl})$ ,

(12)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(13)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, or

(14)  $-SO_2-(C_1-C_4 \text{ alkyl})$ , with the proviso that when  $n_1$  is zero  $R_{1\text{-heteroaryl}}$  is not bonded to the carbon chain by nitrogen; or

(VIII)  $-(CH_2)_{n_1}-(R_{1\text{-heterocycle}})$  where  $n_1$  is as defined above and  $R_{1\text{-heterocycle}}$  is selected from the group consisting of:

morpholinyl,  
 thiomorpholinyl,  
 thiomorpholinyl S-oxide,  
 thiomorpholinyl S,S-dioxide,  
 5 piperazinyl,  
 homopiperazinyl,  
 pyrrolidinyl,  
 pyrrolinyl,  
 tetrahydropyranyl,  
 10 piperidinyl,  
 tetrahydrofuranyl,  
 tetrahydrothienyl,  
 homopiperidinyl,  
 homomorpholinyl,  
 15 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide,  
 oxazolidinonyl,  
 dihydropyrazolyl,  
 dihydropyrrolyl,  
 20 dihydropyrazinyl,  
 dihydropyridinyl,  
 dihydropyrimidinyl,  
 dihydrofuryl,  
 dihydropyranyl,  
 25 tetrahydrothienyl S-oxide,  
 tetrahydrothienyl S,S-dioxide, and  
 homothiomorpholinyl S-oxide,

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_1$ -  
 heterocycle group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group  
 30 replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with  
 one, two, three or four:

(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

10 (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(5) C<sub>1</sub>-C<sub>6</sub> alkoxy,

15 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

20 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or

(15) =O, with the proviso that when n<sub>1</sub> is zero R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;

30

where R<sub>2</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds; or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally

where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is selected from the group consisting of:

(a) -H,



(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above;

where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

(A) -CO-,

(B) -SO<sub>2</sub>-,

(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and are -H and C<sub>1</sub>-C<sub>4</sub> alkyl,

(D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where R<sub>N-1</sub> is selected from the group consisting of:

(A) R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I,

-OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) -OH,

(3)  $-NO_2$ ,

(4) -F, -Cl, -Br, -I,

(5)  $-CO-OH$ ,

(6)  $-C\equiv N$ ,

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $-C_1-C_6$  alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,

(d)  $-C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $-C_2-C_6$  alkenyl with one or two double bonds,

(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,

(i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,

(9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,

5 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,

10 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,

15 (c)  $C_2-C_6$  alkenyl containing one or two double bonds,

(d)  $C_2-C_6$  alkynyl containing one or two triple bonds,

(e)  $C_3-C_7$  cycloalkyl, and

20 (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

25 (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

30 (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

- (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,
- (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,
- (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,
- (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  $C_1-C_4$  alkyl,
- (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,
- (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -F)$ ,
- (35)  $C_3-C_7$  cycloalkyl,
- (36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- (37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or
- (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,
- (B)  $-R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is selected from the group consisting of:
- pyridinyl,
- pyrimidinyl,
- quinolinyl,
- benzothienyl,
- indolyl,

5 indolinyI,  
pyridazinyI,  
pyrazinyI,  
isoindolyI,  
isoquinolyI,  
quinazolinyl,  
quinoxalinyI,  
phthalazinyI,  
imidazolyl,  
10 isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyI,  
15 indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
20 thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
25 tetrazolyl,  
oxazolopyridinyI,  
imidazopyridinyI,  
isothiazolyl,  
naphthyridinyI,  
30 cinnolinyI,  
carbazolyl,  
beta-carbolinyI,  
isochromanyl,  
chromanyl,

5 tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
10 benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
15 phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
20 dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
25 benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl,  
30 pyridinyl-N-oxide,  
tetrahydroquinolinyl,  
dihydroquinolinyl,  
dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
dihydrocoumarinyl,

5 dihydroisocoumarinyl,  
 isoindolinonyl,  
 benzodioxanyl,  
 benzoxazolinonyl,  
 pyrrolyl N-oxide,  
 pyrimidinyl N-oxide,  
 pyridazinyl N-oxide,  
 pyrazinyl N-oxide,  
 10 quinolinyll N-oxide,  
 indolyl N-oxide,  
 indolinyl N-oxide,  
 isoquinolyl N-oxide,  
 quinazolinyl N-oxide,  
 quinoxalinyll N-oxide,  
 15 phthalazinyl N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 20 indolizinyll N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 25 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 30 benzothiopyranyl S,S-dioxide

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_{N\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

5

(2) -OH,

(3) -NO $_2$ ,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C $\equiv$ N,

10

(7) -(CH $_2$ ) $_{0-4}$ -CO-NR $_{N-2}$ R $_{N-3}$  where R $_{N-2}$  and R $_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b) - $C_1$ - $C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

15

(i) -OH, and

(ii) -NH $_2$ ,

(c) - $C_1$ - $C_6$  alkyl optionally substituted with one, two, or three -F, -Cl, -Br, -I,

20

(d) - $C_3$ - $C_7$  cycloalkyl,

(e) -( $C_1$ - $C_2$  alkyl)-( $C_3$ - $C_7$  cycloalkyl),

(f) -( $C_1$ - $C_6$  alkyl)-O-( $C_1$ - $C_3$  alkyl),

(g) - $C_2$ - $C_6$  alkenyl with one or two double bonds,

(h) - $C_2$ - $C_6$  alkynyl with one or two triple bonds,

25

triple bond,

(j) -R $_{1-aryl}$  where R $_{1-aryl}$  is as defined above,

(k) -R $_{1-heteroaryl}$  where R $_{1-heteroaryl}$  is as defined above,

(8) -(CH $_2$ ) $_{0-4}$ -CO-( $C_1$ - $C_{12}$  alkyl),

30

double bonds),

(9) -(CH $_2$ ) $_{0-4}$ -CO-( $C_2$ - $C_{12}$  alkenyl with one, two or three

(10) -(CH $_2$ ) $_{0-4}$ -CO-( $C_2$ - $C_{12}$  alkynyl with one, two or three

triple bonds),

(11) -(CH $_2$ ) $_{0-4}$ -CO-( $C_3$ - $C_7$  cycloalkyl),



(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,  
 (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined  
 above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as  
 5 defined above,

(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the  
 group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,  
 homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,  
 homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is  
 10 optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the  
 group consisting of:

(a)  $C_1-C_6$  alkyl,  
 (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined  
 15 above,  
 (c)  $C_2-C_6$  alkenyl containing one or two double  
 bonds,  
 (d)  $C_2-C_6$  alkynyl containing one or two triple  
 bonds,  
 20 (e)  $C_3-C_7$  cycloalkyl, and  
 (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as  
 defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as  
 defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,  
 (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,  
 (20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,  
 (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be  
 25 the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can  
 30 be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same  
 or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

5 (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  $C_1-C_4$  alkyl,

10 (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

15 (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -F)$ ,

(35)  $C_3-C_7$  cycloalkyl,

20 (36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

25 (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above, or

(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

30 (C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  can be the same or different,

(D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ ,

(E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , wherein  $R_{N-1-heterocycle}$  is the same as  $R_1$ -heterocycle, and  $R_1$ -heterocycle is as defined above

(F)  $R_{N-heteroaryl}-W-R_{N-aryl}$ ,

(G)  $R_{N\text{-heteroaryl}}\text{-W-}R_{N\text{-heteroaryl}}$ ,

(H)  $R_{N\text{-heteroaryl}}\text{-W-}R_{N\text{-I-heterocycle}}$ ,

(I)  $R_{N\text{-heterocycle}}\text{-W-}R_{N\text{-aryl}}$ , wherein  $R_{N\text{-heterocycle}}$  is the same as  $R_{I\text{-heterocycle}}$ , and  $R_{I\text{-heterocycle}}$  is as defined above, and  $R_{N\text{-aryl}}$  is as defined above,

5 (J)  $R_{N\text{-heterocycle}}\text{-W-}R_{N\text{-heteroaryl}}$ , and

(K)  $R_{N\text{-heterocycle}}\text{-W-}R_{N\text{-I-heterocycle}}$ ,

where W is

(9)  $\text{-(CH}_2\text{)}_{0-4}\text{-}$ ,

(10)  $\text{-O-}$ ,

10 (11)  $\text{-S(O)}_{0-2}\text{-}$ ,

(12)  $\text{-N(R}_{N-5}\text{)-}$  where  $R_{N-5}$  is as defined above, or

(5)  $\text{-CO-}$ ;

(II)  $\text{-CO-(C}_1\text{-C}_{10}\text{ alkyl)}$  where alkyl is optionally substituted with one three substituents selected from the group consisting of:

15 (A)  $\text{-OH}$ ,

(B)  $\text{-C}_1\text{-C}_6\text{ alkoxy}$ ,

(C)  $\text{-C}_1\text{-C}_6\text{ thioalkoxy}$ ,

(D)  $\text{-CO-O-}R_{N-8}$  where  $R_{N-8}$  is  $\text{-H}$ ,  $\text{C}_1\text{-C}_6\text{ alkyl}$  or  $\text{-phenyl}$ ,

20 (E)  $\text{-CO-NR}_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $\text{-CO-}R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $\text{-SO}_2\text{-(C}_1\text{-C}_8\text{ alkyl)}$ ,

(H)  $\text{-SO}_2\text{-NR}_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

25 (I)  $\text{-NH-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(J)  $\text{-NH-CO-O-}R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $\text{-NR}_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $\text{-}R_{N-4}$  where  $R_{N-4}$  is as defined above,

30 (M)  $\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(N)  $\text{-O-CO-NR}_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $\text{-O-(C}_1\text{-C}_5\text{ alkyl)-COOH}$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, or three of } -F, -Cl, -Br, \text{ or } -I),$

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl}),$  and

(R)  $-F,$  or  $-Cl,$

5 (III)  $-CO-(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A)  $-OH,$

(B)  $-C_1-C_6 \text{ alkoxy},$

(C)  $-C_1-C_6 \text{ thioalkoxy},$

10 (D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H,$   $C_1-C_6 \text{ alkyl}$  or  $-phenyl,$

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl}),$

15 (H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl}),$

(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

20 (K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl}),$

(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

25 (O)  $-O-(C_1-C_5 \text{ alkyl})-COOH,$

(P)  $-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, or three of } -F, -Cl, -Br, \text{ or } -I),$

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl}),$  and

(R)  $-F,$  or  $-Cl,$

30 (IV)  $-CO-(C_1-C_6 \text{ alkyl})-S-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three of substituents selected from the group consisting of:

(A)  $-OH,$

- (B)  $-C_1-C_6$  alkoxy,  
 (C)  $-C_1-C_6$  thioalkoxy,  
 (D)  $-\text{CO}-\text{O}-R_{N-8}$  where  $R_{N-8}$  is as defined above,  
 (E)  $-\text{CO}-\text{NR}_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different  
 5 and are as defined above,  
 (F)  $-\text{CO}-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
 (G)  $-\text{SO}_2-(C_1-C_8 \text{ alkyl})$ ,  
 (H)  $-\text{SO}_2-\text{NR}_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different  
 and are as defined above,  
 10 (I)  $-\text{NH}-\text{CO}-(C_1-C_6 \text{ alkyl})$ ,  
 (J)  $-\text{NH}-\text{CO}-\text{O}-R_{N-8}$  where  $R_{N-8}$  is as defined above,  
 (K)  $-\text{NR}_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and  
 are as defined above,  
 15 (L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
 (M)  $-\text{O}-\text{CO}-(C_1-C_6 \text{ alkyl})$ ,  
 (N)  $-\text{O}-\text{CO}-\text{NR}_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are  
 as defined above,  
 (O)  $-\text{O}-(C_1-C_5 \text{ alkyl})-\text{COOH}$ ,  
 (P)  $-\text{O}-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of  
 20  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ),  
 (Q)  $-\text{NH}-\text{SO}_2-(C_1-C_6 \text{ alkyl})$ , and  
 (R)  $-\text{F}$ , or  $-\text{Cl}$ ,  
 (V)  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-R_{N-10})-(\text{CH}_2)_{0-2}-R_{N-\text{aryl}}/R_{N-\text{heteroaryl}}$  where  $R_{N-\text{aryl}}$   
 and  $R_{N-\text{heteroaryl}}$  are as defined above, where  $R_{N-10}$  is selected from the group consisting of:  
 25 (A)  $-\text{H}$ ,  
 (B)  $C_1-C_6$  alkyl,  
 (C)  $C_3-C_7$  cycloalkyl,  
 (D)  $C_2-C_6$  alkenyl with one double bond,  
 (E)  $C_2-C_6$  alkynyl with one triple bond,  
 30 (F)  $R_{1-\text{aryl}}$  where  $R_{1-\text{aryl}}$  is as defined above, and  
 (G)  $R_{N-\text{heteroaryl}}$  where  $R_{N-\text{heteroaryl}}$  is as defined above, or  
 (VI)  $-\text{CO}-(C_3-C_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one  
 or two substituents selected from the group consisting of:

- (A)  $-(CH_2)_{0-4}-OH$ ,  
(B)  $-(CH_2)_{0-4}-C_1-C_6$  alkoxy,  
(C)  $-(CH_2)_{0-4}-C_1-C_6$  thioalkoxy,  
(D)  $-(CH_2)_{0-4}-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6$  alkyl or phenyl,  
5 (E)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,  
(F)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
(G)  $-(CH_2)_{0-4}-SO_2-(C_1-C_8$  alkyl),  
(H)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or  
10 different and are as defined above,  
(I)  $-(CH_2)_{0-4}-NH-CO-(C_1-C_6$  alkyl),  
(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,  
(K)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,  
15 (L)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
(M)  $-O-CO-(C_1-C_6$  alkyl),  
(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,  
(O)  $-O-(C_1-C_5$  alkyl)- $COOH$ ,  
20 (P)  $-O-(C_1-C_6$  alkyl optionally substituted with one, two, or three of  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ),  
(Q)  $-NH-SO_2-(C_1-C_6$  alkyl), and  
(R)  $-F$ , or  $-Cl$ ;

25 where  $R_A$  is:

- (I)  $-C_1-C_{10}$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-OC=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-S(=O)_{0-2} R_{1-a}$  where  
30  $R_{1-a}$  is as defined above,  $-NR_{1-a}C=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  $-C=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, and  $-S(=O)_2 NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkCyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-CO-OH$ ,  $-CO-O-(C_1-C_4)$  alkyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (III)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-x}$  and  $R_{A-y}$  are

(A)  $-H$ ,

(B)  $C_1-C_4$  alkyl optionally substituted with one or two  $-OH$ ,

(C)  $C_1-C_4$  alkoxy optionally substituted with one, two, or three of -

F,

10 (D)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(E)  $C_2-C_6$  alkenyl containing one or two double bonds,

(F)  $C_2-C_6$  alkynyl containing one or two triple bonds, or

(G) phenyl,

and where  $R_{A-x}$  and  $R_{A-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-SO_2-$ , and  $-NR_{N-2}-$  and  $R_{A-aryl}$  is the same as  $R_{N-aryl}$ ,

(IV)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is the same as  $R_{N-heteroaryl}$  and  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

20 (V)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}-R_{A-aryl}$  where  $R_{A-aryl}$ ,  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

(VI)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}-R_{A-heteroaryl}$  where  $R_{A-aryl}$ ,  $R_{A-heteroaryl}$ ,  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

25 (VII)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}-R_{A-aryl}$  where  $R_{A-heteroaryl}$ ,  $R_{A-aryl}$ ,  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

(VIII)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$ ,  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

(IX)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is defined as  $R_{1-heterocycle}$ , and where  $R_{A-aryl}$ ,  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

30 (X)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}-R_{A-heterocycle}$  where  $R_{A-heteroaryl}$ ,  $R_{A-heterocycle}$ ,  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

(XI)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}-R_{A-aryl}$  where  $R_{A-heterocycle}$ ,  $R_{A-aryl}$ ,  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

(XII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

5 (XIV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XV)  $-\text{[C(R}_{\text{A-1}})(\text{R}_{\text{A-2}})]_{1-3}-\text{CO-N-(R}_{\text{A-3}})_2$  where  $\text{R}_{\text{A-1}}$  and  $\text{R}_{\text{A-2}}$  are the same or different and are selected from the group consisting of:

(A) -H,

10 (B)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally  
15 substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally  
20 substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(E)  $-(\text{CH}_2)_{1-2}-\text{S(O)}_{0-2}-(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,

(F)  $-(\text{CH}_2)_{0-4}-\text{C}_3\text{-C}_7$  cycloalkyl, optionally substituted with one,  
25 two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(G)  $-(\text{C}_1\text{-C}_4 \text{ alkyl})-\text{R}_{\text{A}'\text{-aryl}}$  where  $\text{R}_{\text{A}'\text{-aryl}}$  is as defined for  $\text{R}_{1\text{-aryl}}$ ,

(H)  $-(\text{C}_1\text{-C}_4 \text{ alkyl})-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$  is as defined above,

(I)  $-(\text{C}_1\text{-C}_4 \text{ alkyl})-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$  is as defined above,

30 (J)  $-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$  is as defined above,

(K)  $-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$  is as defined above,

(M)  $-(\text{CH}_2)_{1-4}-\text{R}_{\text{A-4}}-(\text{CH}_2)_{0-4}-\text{R}_{\text{A}'\text{-aryl}}$  where  $\text{R}_{\text{A-4}}$  is -O-, -S- or  $-\text{NR}_{\text{A-5}}-$  where  $\text{R}_{\text{A-5}}$  is  $\text{C}_1\text{-C}_6$  alkyl, and where  $\text{R}_{\text{A}'\text{-aryl}}$  is defined above,



(N)  $-(CH_2)_{1-4}-R_{A-4}-(CH_2)_{0-4}-R_{A-heteroaryl}$  where  $R_{A-4}$  and  $R_{A-heteroaryl}$  are as defined above, and

(O)  $-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,  
and where  $R_{A-3}$  is the same or different and is:

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(A) -H,

(B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

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(C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

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(F)  $-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(G)  $-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

(H)  $-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above,

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(I)  $-(C_1-C_4 \text{ alkyl})-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

(K)  $-(C_1-C_4 \text{ alkyl})-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined

above, or

(XVI)  $-\text{CH}(R_{A-aryl})_2$  where  $R_{A-aryl}$  are the same or different and are as defined above,

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(XVII)  $-\text{CH}(R_{A-heteroaryl})_2$  where  $R_{A-heteroaryl}$  are the same or different and are as defined above,

(XVIII)  $-\text{CH}(\text{R}_{\text{A-aryl}})(\text{R}_{\text{A-heteroaryl}})$  where  $\text{R}_{\text{A-aryl}}$  and  $\text{R}_{\text{A-heteroaryl}}$  are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-aryl}}$  or  $\text{R}_{\text{A-heteroaryl}}$  or  $\text{R}_{\text{A-heterocycle}}$  are as defined above where  
 5 one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with  $\text{NH}$ ,  $\text{NR}_{\text{N-5}}$ ,  $\text{O}$ , or  $\text{S}(=\text{O})_{0.2}$ , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two  $-\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy,  $=\text{O}$ , or  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XX)  $\text{C}_2\text{-C}_{10}$  alkenyl containing one or two double bonds optionally  
 10 substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $\text{C}_2\text{-C}_{10}$  alkynyl containing one or two triple bonds optionally  
 15 substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy,  $-\text{O-phenyl}$ ,  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{A-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-aryl}}$  is as defined above and  $\text{R}_{\text{A-6}}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

(XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{A-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$  and  $\text{R}_{\text{A-6}}$  is  
 20 as defined above,

(XXIII)  $-\text{CH}(-\text{R}_{\text{A-aryl}} \text{ or } \text{R}_{\text{A-heteroaryl}})-\text{CO}-\text{O}(\text{C}_1\text{-C}_4 \text{ alkyl})$  where  $\text{R}_{\text{A-aryl}}$  and  $\text{R}_{\text{A-heteroaryl}}$  are as defined above,

(XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{micro-NO}_2$ ,

(XXV)  $(\text{C}_1\text{-C}_6 \text{ alkyl})-\text{O}-(\text{C}_1\text{-C}_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII)  $-\text{H}$ ,

(XXIX)  $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined  
 above; or

(XXX)

30  $-\text{C}=\text{OC}(\text{HR}_6)\text{NHR}_7$ , where  $\text{R}_6$  and  $\text{R}_7$  are as defined below,  
 $-\text{C}=\text{OR}_7$ , where  $\text{R}_7$  is as defined below,  
 $-\text{C}=\text{OOR}_7$ , where  $\text{R}_7$  is as defined below, or  
 $-\text{SOOR}_7$  where  $\text{R}_7$  is as defined below,

wherein R<sub>6</sub> is:

hydrogen,

C<sub>1</sub> - C<sub>3</sub> alkyl,

phenyl,

thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

aminoalkyl,

(N-protected)aminoalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

guanidinoalkyl,

lower alkenyl,

heterocyclic,

(heterocyclic)alkyl),

arylthioalkyl,

arylsulfonylalkyl,

(heterocyclic)thioalkyl,

(heterocyclic)sulfonylalkyl,

(heterocyclic)oxyalkyl,

arylalkoxyalkyl,

arylthioalkoxyalkyl,

arylalkylsulfonylalkyl,

(heterocyclic))alkoxyalkyl,

(heterocyclic)thioalkoxyalkyl,

(heterocyclic)alkylsulfonylalkyl,

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15  
cycloalkyloxyalkyl,  
cycloalkylthioalkyl,  
cycloalkylsulfonylalkyl,  
cycloalkylalkoxyalkyl,  
cycloalkylthioalkoxyalkyl,  
cycloalkylalkylsulfonylalkyl,  
aminocarbonyl,  
alkylaminocarbonyl,  
dialkylaminocarbonyl,  
aroalkyl,  
(heterocyclic)carbonylalkyl,  
polyhydroxyalkyl,  
aminocarbonylalkyl,  
alkylaminocarbonylalkyl,  
dialkylaminocarbonylalkyl,  
aryloxyalkyl, or  
alkylsulfonylalkyl,

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wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

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wherein R<sub>7</sub> is:  
C<sub>1</sub> - C<sub>3</sub> alkyl,  
phenyl,  
thioalkoxyalkyl,  
(aryl)alkyl,  
cycloalkyl,  
cycloalkylalkyl,  
hydroxyalkyl,  
alkoxyalkyl,  
aryloxyalkyl,  
haloalkyl,

carboxyalkyl,  
alkoxycarbonylalkyl,  
aminoalkyl,  
(N-protected)aminocalkyl,  
alkylaminoalkyl,  
((N-protected)(alkyl)amino)alkyl,  
dialkylaminoalkyl,  
guanidinoalkyl,  
lower alkenyl,  
heterocyclic,  
(heterocyclic)alkyl),  
arylthioalkyl,  
arylsulfonyalkyl,  
(heterocyclic)thioalkyl,  
(heterocyclic)sulfonylalkyl,  
(heterocyclic)oxyalkyl,  
arylalkoxyalkyl,  
arylthioalkoxyalkyl,  
arylalkylsulfonylalkyl,  
(heterocyclic)alkoxyalkyl,  
(heterocyclic)thioalkoxyalkyl,  
(heterocyclic)alkylsulfonylalkyl,  
cycloalkyloxyalkyl,  
cycloalkylthioalkyl,  
cycloalkylsulfonylalkyl,  
cycloalkylalkoxyalkyl,  
cycloalkylthioalkoxyalkyl,  
cycloalkylalkylsulfonylalkyl,  
aminocarbonyl,  
alkylaminocarbonyl,  
dialkylaminocarbonyl,  
aroylalkyl,  
(heterocyclic)carbonylalkyl,  
polyhydroxyalkyl,

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where  $R_B$  is:

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(A)  $-H,$

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -

**F,**

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(D)  $-(\text{CH}_2)_{0-4}-\text{C}_3-\text{C}_7$  cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

and where  $R_{B-x}$  and  $R_{B-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-SO_2-$ , and  $-NR_{N-2}$  where  $R_{N-2}$  is as defined above, and  $R_{B-aryl}$  is the same as  $R_{N-aryl}$  and is defined above

(IV)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}$  where  $R_{B-heteroaryl}$  is the same as  $R_{N-heteroaryl}$ ,  $R_{B-x}$ , and  $R_{B-y}$  are as defined above,

(V)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}-R_{B-aryl}$  where  $R_{B-aryl}$ ,  $R_{B-x}$ , and  $R_{B-y}$  are as defined above,

(VI)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}-R_{B-heteroaryl}$  where  $R_{B-aryl}$ ,  $R_{B-heteroaryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(VII)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}-R_{B-aryl}$  where  $R_{B-heteroaryl}$ ,  $R_{B-aryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(VIII)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}-R_{B-heteroaryl}$  where  $R_{B-heteroaryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(IX)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}-R_{B-heterocycle}$  where  $R_{B-heterocycle}$  is defined as  $R_{1-heterocycle}$ , and where  $R_{B-aryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(X)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}-R_{B-heterocycle}$  where  $R_{B-heteroaryl}$ ,  $R_{B-heterocycle}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(XI)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}-R_{B-aryl}$  where  $R_{B-heterocycle}$ ,  $R_{B-aryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(XII)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}-R_{B-heteroaryl}$  where  $R_{B-heterocycle}$ ,  $R_{B-heteroaryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(XIII)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}-R_{B-heterocycle}$  where  $R_{B-heterocycle}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(XIV)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}$  where  $R_{B-heterocycle}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(XV)  $-[C(R_{B-1})(R_{B-2})]_{1-3}-CO-N-(R_{B-3})_2$  where  $R_{B-1}$  and  $R_{B-2}$  are the same or different and are selected from the group consisting of:

(A)  $-H$ ,

(B)  $-C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B'-aryl</sub> where R<sub>B'-aryl</sub> is as defined above for R<sub>1</sub>.

aryl,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B-heterocycle</sub> where R<sub>B-heterocycle</sub> is as defined above,

(J) -R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is as defined above,

(K) -R<sub>B-heterocycle</sub> where R<sub>B-heterocycle</sub> is as defined above,

(M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>B-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>B'-aryl</sub> where R<sub>B-4</sub> is -O-, -S- or -NR<sub>B-5</sub>- where R<sub>B-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>B'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>B-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-4</sub> and R<sub>B-heteroaryl</sub> are as defined above, and

(O) -R<sub>B'-aryl</sub> where R<sub>B'-aryl</sub> is as defined above,

and where R<sub>B-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,



(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one,  
10 two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F) -R<sub>B'-aryl</sub> where R<sub>B'-aryl</sub> is as defined above,

(G) -R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is as defined above,

15 (H) -R<sub>B-heterocycle</sub> where R<sub>B-heterocycle</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B'-aryl</sub> where R<sub>B'-aryl</sub> is as defined above,

(J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is as defined above,

(K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B-heterocycle</sub> where R<sub>B-heterocycle</sub> is as defined

above, or

20 (XVI) -CH(R<sub>B-aryl</sub>)<sub>2</sub> where R<sub>B-aryl</sub> are the same or different and are as defined above,

(XVII) -CH(R<sub>B-heteroaryl</sub>)<sub>2</sub> where R<sub>B-heteroaryl</sub> are the same or different and are as defined above,

(XVIII) -CH(R<sub>B-aryl</sub>)(R<sub>B-heteroaryl</sub>) where R<sub>B-aryl</sub> and R<sub>B-heteroaryl</sub> are as  
25 defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>B-aryl</sub> or R<sub>B-heteroaryl</sub> or R<sub>B-heterocycle</sub> where R<sub>B-aryl</sub> or R<sub>B-heteroaryl</sub> or R<sub>B-heterocycle</sub> are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be  
30 optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub>

alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XXI) -(CH<sub>2</sub>)<sub>0-1</sub>-CHRC<sub>6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-RB-aryl where RB-aryl is as defined above and RC<sub>6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,

(XXII) -(CH<sub>2</sub>)<sub>0-1</sub>-CHRC<sub>6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-RB-heteroaryl where RB-heteroaryl and RC<sub>6</sub> is as defined above,

(XXIII) -CH(-RB-aryl or RB-heteroaryl)-CO-O(C<sub>1</sub>-C<sub>4</sub> alkyl) where RB-aryl and RB-heteroaryl are as defined above,

(XXIV) -CH(-CH<sub>2</sub>-OH)-CH(-OH)-micro-NO<sub>2</sub>,

(XXV) (C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl)-OH,

(XXVII) -CH<sub>2</sub>-NH-CH<sub>2</sub>-CH(-O-CH<sub>2</sub>-CH<sub>3</sub>)<sub>2</sub>,

(XXVIII) -H, or

(XXIX) -(CH<sub>2</sub>)<sub>0-6</sub>-C(=NR<sub>1-a</sub>)(NR<sub>1-a</sub>R<sub>1-b</sub>) where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above; and

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-

enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate,  $-\text{CH}-\text{CH}=\text{CH}_2$  and phenyl- $\text{C}(=\text{N})-\text{H}$ .

5

37. A protected compound according to claim 36

where  $\text{R}_1$  is:

$-(\text{CH}_2)_{0-1}-(\text{R}_1\text{-aryl})$ , or

$-(\text{CH}_2)_{n1}-(\text{R}_1\text{-heteroaryl})$ ;

10

where  $\text{R}_N$  is:

$\text{R}_{N-1}-\text{X}_N$ , where  $\text{X}_N$  is selected from the group consisting of:

$-\text{CO}-$ , and

$-\text{SO}_2-$ ,

where  $\text{R}_{N-1}$  is selected from the group consisting of:

15

$-\text{R}_N\text{-aryl}$ , and

$-\text{R}_N\text{-heteroaryl}$ , or

$-\text{CO}-\text{CH}((\text{CH}_2)_{0-2}-\text{O}-\text{R}_{N-10})-(\text{CH}_2)_{0-2}-\text{R}_N\text{-aryl}/\text{R}_N\text{-heteroaryl}$ ;

where  $\text{R}_A$  is:

$-\text{C}_1-\text{C}_8$  alkyl,

20

$-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7)$  cycloalkyl,

$-(\text{CR}_A\text{-xR}_A\text{-y})_{0-4}-\text{R}_A\text{-aryl}$ ,

$-(\text{CR}_A\text{-xR}_A\text{-y})_{0-4}-\text{R}_A\text{-heteroaryl}$ ,

$-(\text{CR}_A\text{-xR}_A\text{-y})_{0-4}-\text{R}_A\text{-heterocycle}$ ,

-cyclopentyl or -cyclohexyl ring fused to  $\text{R}_A\text{-aryl}$  or  $\text{R}_A\text{-heteroaryl}$  or  $\text{R}_A\text{-}$

25

heterocycle; and

where  $\text{R}_B$  is:

$-\text{C}_1-\text{C}_8$  alkyl,

$-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7)$  cycloalkyl,

$-(\text{CR}_A\text{-xR}_A\text{-y})_{0-4}-\text{R}_A\text{-aryl}$ ,

30

$-(\text{CR}_A\text{-xR}_A\text{-y})_{0-4}-\text{R}_A\text{-heteroaryl}$ ,

$-(\text{CR}_A\text{-xR}_A\text{-y})_{0-4}-\text{R}_A\text{-heterocycle}$ ,

-cyclopentyl or -cyclohexyl ring fused to  $\text{R}_A\text{-aryl}$  or  $\text{R}_A\text{-heteroaryl}$  or  $\text{R}_A\text{-heterocycle}$ .

38. A protected compound according to claim 37

where  $R_1$  is:

$-(CH_2)-(R_{1-aryl})$ , or

$-(CH_2)-(R_{1-heteroaryl})$ ;

where  $R_2$  is  $-H$ ;

5 where  $R_3$  is  $-H$ ;

where  $R_N$  is:

$R_{N-1}-X_N$  where  $X_N$  is:

$-CO-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

10  $-R_{N-aryl}$ , and

$-R_{N-heteroaryl}$ ;

where  $R_A$  is:

$-C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

15  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$ ,

$-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-}$

heterocycle;

20 where  $R_B$  is:

$-C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$ ,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}$ ,

25  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}$ ,

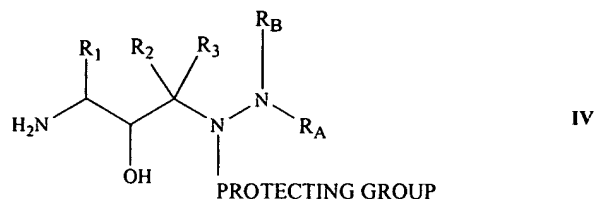
$-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{B-aryl}$  or  $R_{B-heteroaryl}$  or  $R_{B-}$

heterocycle.

39. A protected compound according to claim 36 where PROTECTING GROUP is *t*-  
30 butoxycarbonyl.

40. A protected compound according to claim 36 where PROTECTING GROUP is  
benzyloxycarbonyl.

41. A protected compound of the formula (IV)



5 where  $R_1$  is:

(I)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_7$  alkyl (optionally substituted with  $C_1$ - $C_3$  alkyl and  $C_1$ - $C_3$  alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-( $C_1$ - $C_6$  alkyl),

(III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-( $C_1$ - $C_6$  alkyl),

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl,

(VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

(A)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>, and  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(B)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1$ - $C_6$  alkyl,

(C)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -

Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two or three of

5 - F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three  
10 substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

15 (N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

20 quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

25 pyrazinyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

30 imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,  
indolizinyI,  
indazolyl,  
benzothiazolyl,  
5 benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
10 oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
15 imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyI,  
carbazolyl,  
20 beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyI,  
isoindolinyI,  
25 isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,  
benzoxazolyl,  
pyridopyridinyl,  
30 benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,

phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
5 imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoaxazinyl,  
benzisoaxazinyl,  
benzoxazinyl,  
10 dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
15 chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
20 dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
25 benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
30 pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,



5 quinazoliny N-oxide,  
 quinoxaliny N-oxide,  
 phthalaziny N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 10 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 15 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide,

20 where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the  
 parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$   
 group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted  
 with one, two, three or four of:

25 (1)  $C_1-C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  
 -C $\equiv$ N, -CF $_3$ ,  $C_1-C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -  
 Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1-C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1-$   
 $C_6$  alkyl,

30 (3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -  
 Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1-C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1-$   
 $C_6$  alkyl,

(4) -F, Cl, -Br or -I,  
(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or  
three of -F,

5 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two  
or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  
C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

10 (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
above, or

15 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is  
zero R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen; or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub>  
is selected from the group consisting of:

20 morpholinyl,  
thiomorpholinyl,  
thiomorpholinyl S-oxide,  
thiomorpholinyl S,S-dioxide,  
piperazinyl,  
homopiperazinyl,  
25 pyrrolidinyl,  
pyrrolinyl,  
tetrahydropyranyl,  
piperidinyl,  
tetrahydrofuranyl,  
30 tetrahydrothienyl,  
homopiperidinyl,  
homomorpholinyl,  
homothiomorpholinyl,

5

10

dihydropyrrolyl,

dihydropyridinyl,

dihydrofuryl,

dihydropyranyl,

tetrahydrothienyl S-oxide.

tetrahydrothienyl S,S-dioxide, and

homothiomorpholinyl S-oxide,

where the R<sub>1</sub>-heterocycle group is bonded by any atom of the parent R<sub>1</sub>.

15 heterocycle group substituted by hydrogen such that the new bond to the R<sub>1</sub>-heterocycle group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three or four:

(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above.

20 (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(5) C<sub>1</sub>-C<sub>6</sub> alkoxy,

30 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or  
three -F,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below.

(8)  $-\text{OH}$ ,

- (9)  $-C\equiv N$ ,  
 (10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,  
 5 (11)  $-CO-(C_1-C_4 \text{ alkyl})$ ,  
 (12)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  
 (13)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  
 10 (14)  $-SO_2-(C_1-C_4 \text{ alkyl})$ , or  
 (15)  $=O$ , with the proviso that when  $n_1$  is zero  $R_{1-\text{heterocycle}}$  is not bonded to the carbon chain by nitrogen;

where  $R_2$  is:

- 15 (I)  $-H$ ,  
 (II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  
 (III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-\text{aryl}}$  or  $R_{1-\text{heteroaryl}}$  where  $R_{1-\text{aryl}}$  and  $R_{1-\text{heteroaryl}}$  are as defined above;  
 20 (IV)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,  
 (V)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with  
 25 one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl, or  
 (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl;

30

where  $R_3$  is:

- (I)  $-H$ ,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds; or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is selected from the group consisting of:

- (a) -H,
- (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:
  - (i) -OH, and
  - (ii) -NH<sub>2</sub>,
- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,
- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),
- (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,
- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,
- (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and
- (k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above;

where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

- (A)  $-\text{CO}-$ ,  
 (B)  $-\text{SO}_2-$ ,  
 (C)  $-(\text{CR}'\text{R}'')_{1-6}$  where  $\text{R}'$  and  $\text{R}''$  are the same or different and are  $-\text{H}$  and  $\text{C}_1\text{-C}_4$  alkyl,  
 5 (D)  $-\text{CO}-(\text{CR}'\text{R}'')_{1-6}\text{-X}_{\text{N}-1}$  where  $\text{X}_{\text{N}-1}$  is selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $-\text{NR}'-$  and where  $\text{R}'$  and  $\text{R}''$  are as defined above, and  
 (E) a single bond;  
 where  $\text{R}_{\text{N}-1}$  is selected from the group consisting of:  
 (A)  $\text{R}_{\text{N-aryl}}$  where  $\text{R}_{\text{N-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl,  
 10 tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:  
 (1)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  
 15  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,  
 (2)  $-\text{OH}$ ,  
 (3)  $-\text{NO}_2$ ,  
 (4)  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  
 20 (5)  $-\text{CO}-\text{OH}$ ,  
 (6)  $-\text{C}\equiv\text{N}$ ,  
 (7)  $-(\text{CH}_2)_{0-4}\text{-CO-NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are selected from the group consisting of:  
 (a)  $-\text{H}$ ,  
 25 (b)  $-\text{C}_1\text{-C}_6$  alkyl optionally substituted with one substituent selected from the group consisting of:  
 (i)  $-\text{OH}$ , and  
 (ii)  $-\text{NH}_2$ ,  
 (c)  $-\text{C}_1\text{-C}_6$  alkyl optionally substituted with one,  
 30 two, or three  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,  
 (d)  $-\text{C}_3\text{-C}_7$  cycloalkyl,  
 (e)  $-(\text{C}_1\text{-C}_2 \text{ alkyl})-(\text{C}_3\text{-C}_7 \text{ cycloalkyl})$ ,  
 (f)  $-(\text{C}_1\text{-C}_6 \text{ alkyl})-\text{O}-(\text{C}_1\text{-C}_3 \text{ alkyl})$ ,

- (g)  $-C_2-C_6$  alkenyl with one or two double bonds,  
(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,  
(i)  $-C_1-C_6$  alkyl chain with one double bond and one  
triple bond,  
5 (j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and  
(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,  
(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12}$  alkyl),  
(9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12}$  alkenyl with one, two or three  
double bonds),  
10 (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12}$  alkynyl with one, two or three  
triple bonds),  
(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7$  cycloalkyl),  
(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,  
(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined  
15 above,  
(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as  
defined above,  
(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the  
group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,  
20 homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,  
homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is  
optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,  
(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the  
group consisting of:  
25 (a)  $C_1-C_6$  alkyl,  
(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined  
above,  
(c)  $C_2-C_6$  alkenyl containing one or two double  
bonds,  
30 (d)  $C_2-C_6$  alkynyl containing one or two triple  
bonds,  
(e)  $C_3-C_7$  cycloalkyl, and  
(f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as  
defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

5 (20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

10 (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

15 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  $C_1-C_4 \text{ alkyl}$ ,

20 (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

25 (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -F)$ ,

30 (35)  $C_3-C_7 \text{ cycloalkyl}$ ,

(36)  $C_2-C_6 \text{ alkenyl with one or two double bonds optionally substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above,}$



(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,  
10 pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
15 pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
20 quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
25 oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
30 benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,

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pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolyl,  
isoindolyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,

5 benzoxazinyl,  
dihydrobenzothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
10 tetrahydroquinolinyl,  
dihydroquinolinyl,  
dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
dihydrocoumarinyl,  
15 dihydroisocoumarinyl,  
isoindolinonyl,  
benzodioxanyl,  
benzoxazolinonyl,  
pyrrolyl N-oxide,  
20 pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
25 indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxaliny N-oxide,  
phthalazinyl N-oxide,  
30 imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indoliziny N-oxide,

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indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_N$ -heteroaryl group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

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(1)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1$ - $C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

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- (2) -OH,
- (3)  $-NO_2$ ,
- (4) -F, -Cl, -Br, or -I,
- (5)  $-CO-OH$ ,
- (6)  $-C\equiv N$ ,

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(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

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- (a) -H,
- (b)  $-C_1$ - $C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:
  - (i) -OH, and
  - (ii)  $-NH_2$ ,
- (c)  $-C_1$ - $C_6$  alkyl optionally substituted with one, two, or three -F, -Cl, -Br, -I,
- (d)  $-C_3$ - $C_7$  cycloalkyl,

- (e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,  
(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,  
(g)  $-C_2-C_6 \text{ alkenyl}$  with one or two double bonds,  
(h)  $-C_2-C_6 \text{ alkynyl}$  with one or two triple bonds,  
5 (i)  $-C_1-C_6 \text{ alkyl chain}$  with one double bond and one triple bond,  
(j)  $-R_{1\text{-aryl}}$  where  $R_{1\text{-aryl}}$  is as defined above,  
(k)  $-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as defined above,  
(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,  
10 (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl}$  with one, two or three double bonds),  
(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl}$  with one, two or three triple bonds),  
(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,  
15 (12)  $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$  where  $R_{1\text{-aryl}}$  is as defined above,  
(13)  $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as defined above,  
(14)  $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$  where  $R_{1\text{-heterocycle}}$  is as defined above,  
20 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1-C_6 \text{ alkyl}$ ,  
25 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:  
(a)  $C_1-C_6 \text{ alkyl}$ ,  
(b)  $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$  where  $R_{1\text{-aryl}}$  is as defined above,  
30 (c)  $C_2-C_6 \text{ alkenyl}$  containing one or two double bonds,  
(d)  $C_2-C_6 \text{ alkynyl}$  containing one or two triple bonds,  
(e)  $C_3-C_7 \text{ cycloalkyl}$ , and

(f)  $-(CH_2)_{0-2}-(R_{1-\text{heteroaryl}})$  where  $R_{1-\text{heteroaryl}}$  is as defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

5 (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

10 (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

15 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

20 (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-\text{aryl}-1})_2$  where  $R_{N-\text{aryl}-1}$  is  $-H$  or  $C_1-C_4 \text{ alkyl}$ ,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

25 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

30 (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, three, four, or five of  $-F$ ),

(35)  $C_3-C_7 \text{ cycloalkyl}$ ,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(C) R<sub>N-aryl</sub>-W-R<sub>N-aryl</sub>, where R<sub>N-aryl</sub> can be the same or different,

(D) R<sub>N-aryl</sub>-W-R<sub>N-heteroaryl</sub>,

(E) R<sub>N-aryl</sub>-W-R<sub>N-1-heterocycle</sub>, wherein R<sub>N-1-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above

(F) R<sub>N-heteroaryl</sub>-W-R<sub>N-aryl</sub>,

(G) R<sub>N-heteroaryl</sub>-W-R<sub>N-heteroaryl</sub>,

(H) R<sub>N-heteroaryl</sub>-W-R<sub>N-1-heterocycle</sub>,

(I) R<sub>N-heterocycle</sub>-W-R<sub>N-aryl</sub>, wherein R<sub>N-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above, and R<sub>N-aryl</sub> is as defined above,

(J) R<sub>N-heterocycle</sub>-W-R<sub>N-heteroaryl</sub>, and

(K) R<sub>N-heterocycle</sub>-W-R<sub>N-1-heterocycle</sub>,

where W is

(13) -(CH<sub>2</sub>)<sub>0-4</sub>-,

(14) -O-,

(15) -S(O)<sub>0-2</sub>-,

(16) -N(R<sub>N-5</sub>)- where R<sub>N-5</sub> is as defined above, or

(5) -CO-;

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one three substituents selected from the group consisting of:

(A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

5 (H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and  
10 are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are  
as defined above,

15 (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of  
-F, -Cl, -Br, or -I),

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

20 (III)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B)  $-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

(C)  $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

25 (D)  $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is -H,  $\text{C}_1-\text{C}_6 \text{ alkyl}$  or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different  
and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

30 (H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different  
and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,



(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

5 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

10 (Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

(IV)  $-CO-(C_1-C_6 \text{ alkyl})-S-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three of substituents selected from the group consisting of:

(A) -OH,

15 (B)  $-C_1-C_6 \text{ alkoxy}$ ,

(C)  $-C_1-C_6 \text{ thioalkoxy}$ ,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

20 (F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

(H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

25 (J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

30 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-\text{O}-(\text{C}_1\text{-C}_6 \text{ alkyl optionally substituted with one, two, or three of } -\text{F}, -\text{Cl}, -\text{Br}, \text{ or } -\text{I}),$

(Q)  $-\text{NH-SO}_2-(\text{C}_1\text{-C}_6 \text{ alkyl}),$  and

(R)  $-\text{F},$  or  $-\text{Cl},$

5 (V)  $-\text{CO-CH}(-(\text{CH}_2)_{0-2}-\text{O-R}_{\text{N-10}})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-aryl}}$  and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, where  $\text{R}_{\text{N-10}}$  is selected from the group consisting of:

(A)  $-\text{H},$

(B)  $\text{C}_1\text{-C}_6 \text{ alkyl},$

(C)  $\text{C}_3\text{-C}_7 \text{ cycloalkyl},$

10 (D)  $\text{C}_2\text{-C}_6 \text{ alkenyl with one double bond},$

(E)  $\text{C}_2\text{-C}_6 \text{ alkynyl with one triple bond},$

(F)  $\text{R}_{\text{1-aryl}}$  where  $\text{R}_{\text{1-aryl}}$  is as defined above, and

(G)  $\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above, or

(VI)  $-\text{CO}-(\text{C}_3\text{-C}_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one  
15 or two substituents selected from the group consisting of:

(A)  $-(\text{CH}_2)_{0-4}-\text{OH},$

(B)  $-(\text{CH}_2)_{0-4}-\text{C}_1\text{-C}_6 \text{ alkoxy},$

(C)  $-(\text{CH}_2)_{0-4}-\text{C}_1\text{-C}_6 \text{ thioalkoxy},$

(D)  $-(\text{CH}_2)_{0-4}-\text{CO-O-R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is  $-\text{H}, \text{C}_1\text{-C}_6 \text{ alkyl or phenyl},$

20 (E)  $-(\text{CH}_2)_{0-4}-\text{CO-NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-(\text{CH}_2)_{0-4}-\text{CO-R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1\text{-C}_8 \text{ alkyl}),$

(H)  $-(\text{CH}_2)_{0-4}-\text{SO}_2\text{-NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or  
25 different and are as defined above,

(I)  $-(\text{CH}_2)_{0-4}-\text{NH-CO}-(\text{C}_1\text{-C}_6 \text{ alkyl}),$

(J)  $-\text{NH-CO-O-R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or  
30 different and are as defined above,

(L)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O-CO}-(\text{C}_1\text{-C}_6 \text{ alkyl}),$

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of  
5 -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and

(R) -F, or -Cl;

where R<sub>A</sub> is:

10 (I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -  
15 C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-  
20 O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub> where R<sub>A-x</sub> and R<sub>A-y</sub> are

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -  
25 F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

30 and where R<sub>A-x</sub> and R<sub>A-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>A-aryl</sub> is the same as R<sub>N-aryl</sub>,

(IV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$  is the same as  $\text{R}_{\text{N-heteroaryl}}$  and  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(V)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

5 (VI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

10 (VIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(IX)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-aryl}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$  is defined as  $\text{R}_{\text{1-heterocycle}}$ , and where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heteroaryl}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

15 (XI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

20 (XIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XV)  $[\text{C}(\text{R}_{\text{A-1}})(\text{R}_{\text{A-2}})]_{1-3}\text{-CO-N}(\text{R}_{\text{A-3}})_2$  where  $\text{R}_{\text{A-1}}$  and  $\text{R}_{\text{A-2}}$  are the same or different and are selected from the group consisting of:

25 (A) -H,

(B)  $\text{-C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

30 (C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined for R<sub>1-aryl</sub>,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(J) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

15 (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A'-aryl</sub> where R<sub>A-4</sub> is -O-, -S- or -NR<sub>A-5</sub>- where R<sub>A-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>A'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-4</sub> and R<sub>A-heteroaryl</sub> are as defined above, and

(O) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

20 and where R<sub>A-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
25 above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (F)  $-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(G)  $-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

(H)  $-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

10 (K)  $-(C_1-C_4 \text{ alkyl})-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above, or

(XVI)  $-\text{CH}(R_{A-aryl})_2$  where  $R_{A-aryl}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(R_{A-heteroaryl})_2$  where  $R_{A-heteroaryl}$  are the same or different and are as defined above,

(XVIII)  $-\text{CH}(R_{A-aryl})(R_{A-heteroaryl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

(XIX)  $-\text{cyclopentyl}$ ,  $-\text{cyclohexyl}$ , or  $-\text{cycloheptyl}$  ring fused to  $R_{A-aryl}$ ,  $R_{A-heteroaryl}$ ,  $R_{A-heterocycle}$  where  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$  are as defined above where one carbon of cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  is optionally replaced with  $NH$ ,  $NR_{N-5}$ ,  $O$ , or  $S(=O)_{0-2}$ , and where cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  can be optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-F$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $=O$ , or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-aryl}$  where  $R_{A-aryl}$  is as defined above and  $R_{A-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  and  $R_{A-6}$  is as defined above,

(XXIII)  $-\text{CH}(-R_{A-aryl} \text{ or } R_{A-heteroaryl})-\text{CO}-O(C_1-C_4 \text{ alkyl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

5 (XXIV)  $-\text{CH}(-CH_2-OH)-CH(-OH)-\text{micro-NO}_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-\text{H}$ ,

10 (XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above; or

(XXX)

$-\text{C}=\text{OC}(\text{HR}_6)\text{NHR}_7$ , where  $R_6$  and  $R_7$  are as defined below,

$-\text{C}=\text{OR}_7$ , where  $R_7$  is as defined below,

15  $-\text{C}=\text{OOR}_7$ , where  $R_7$  is as defined below, or

$-\text{SOOR}_7$  where  $R_7$  is as defined below,

wherein  $R_6$  is:

hydrogen,

$C_1 - C_3$  alkyl,

phenyl,

20 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

25 alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

30 aminoalkyl,

(N-protected)aminoalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

5                   guanidinoalkyl,  
                   lower alkenyl,  
                   heterocyclic,  
                   (heterocyclic)alkyl),  
 10                  arylthioalkyl,  
                   arylsulfonylalkyl,  
                   (heterocyclic)thioalkyl,  
                   (heterocyclic)sulfonylalkyl,  
                   (heterocyclic)oxyalkyl,  
 15                  arylalkoxyalkyl,  
                   arylthioalkoxyalkyl,  
                   arylalkylsulfonylalkyl,  
                   (heterocyclic))alkoxyalkyl,  
                   (heterocyclic)thioalkoxyalkyl,  
                   (heterocyclic)alkylsulfonylalkyl,  
                   cycloalkyloxyalkyl,  
                   cycloalkylthioalkyl,  
                   cycloalkylsulfonylalkyl,  
                   cycloalkylalkoxyalkyl,  
 20                  cycloalkylthioalkoxyalkyl,  
                   cycloalkylalkylsulfonylalkyl,  
                   aminocarbonyl,  
                   alkylaminocarbonyl,  
                   dialkylaminocarbonyl,  
 25                  aroylalkyl,  
                   (heterocyclic)carbonylalkyl,  
                   polyhydroxyalkyl,  
                   aminocarbonylalkyl,  
                   alkylaminocarbonylalkyl,  
 30                  dialkylaminocarbonylalkyl,  
                   aryloxyalkyl, or  
                   alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and



tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

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wherein R<sub>7</sub> is:

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C<sub>1</sub> - C<sub>3</sub> alkyl,  
phenyl,  
thioalkoxyalkyl,  
(aryl)alkyl,  
cycloalkyl,  
cycloalkylalkyl,  
hydroxyalkyl,  
alkoxyalkyl,  
aryloxyalkyl,  
haloalkyl,  
carboxyalkyl,  
alkoxycarbonylalkyl,  
aminoalkyl,  
(N-protected)aminocalkyl,  
alkylaminoalkyl,  
((N-protected)(alkyl)amino)alkyl,  
dialkylaminoalkyl,  
guanidinoalkyl,  
lower alkenyl,  
heterocyclic,  
(heterocyclic)alkyl,  
arylthioalkyl,  
arylsulfonyalkyl,  
(heterocyclic)thioalkyl,  
(heterocyclic)sulfonylalkyl,  
(heterocyclic)oxyalkyl,  
arylalkoxyalkyl,  
arylthioalkoxyalkyl,  
arylalkylsulfonylalkyl,

(heterocyclic))alkoxyalkyl,  
 (heterocyclic)thioalkoxyalkyl,  
 (heterocyclic)alkylsulfonylalkyl,  
 cycloalkyloxyalkyl,  
 cycloalkylthioalkyl,  
 cycloalkylsulfonylalkyl,  
 cycloalkylalkoxyalkyl,  
 cycloalkylthioalkoxyalkyl,  
 cycloalkylalkylsulfonylalkyl,  
 aminocarbonyl,  
 alkylaminocarbonyl,  
 dialkylaminocarbonyl,  
 aroylalkyl,  
 (heterocyclic)carbonylalkyl,  
 polyhydroxyalkyl,  
 aminocarbonylalkyl,  
 alkylaminocarbonylalkyl,  
 dialkylaminocarbonylalkyl,  
 aryloxyalkyl, or  
 alkylsulfonylalkyl,  
 wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
 tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
 one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
 dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
 COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

where R<sub>B</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents  
 selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where  
 R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -

$C=O NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, and  $-S(=O)_2 NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-CO-OH$ ,  $-CO-O-$  ( $C_1-C_4$  alkyl), and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$  where  $R_{B-x}$  and  $R_{B-y}$  are

(A)  $-H$ ,

(B)  $C_1-C_4$  alkyl optionally substituted with one or two  $-OH$ ,

(C)  $C_1-C_4$  alkoxy optionally substituted with one, two, or three of  $-F$ ,

(D)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(E)  $C_2-C_6$  alkenyl containing one or two double bonds,

(F)  $C_2-C_6$  alkynyl containing one or two triple bonds, or

(G) phenyl,

and where  $R_{B-x}$  and  $R_{B-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of  $-O-$ ,  $-S-$ ,  $-SO_2-$ , and  $-NR_{N-2}$  where  $R_{N-2}$  is as defined above, and  $R_{B-aryl}$  is the same as  $R_{N-aryl}$  and is defined above

(IV)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}$  where  $R_{B-heteroaryl}$  is the same as  $R_{N-heteroaryl}$ ,  $R_{B-x}$ , and  $R_{B-y}$  are as defined above,

(V)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}-R_{B-aryl}$  where  $R_{B-aryl}$ ,  $R_{B-x}$ , and  $R_{B-y}$  are as defined above,

(VI)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}-R_{B-heteroaryl}$  where  $R_{B-aryl}$ ,  $R_{B-heteroaryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(VII)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}-R_{B-aryl}$  where  $R_{B-heteroaryl}$ ,  $R_{B-aryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(VIII)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}-R_{B-heteroaryl}$  where  $R_{B-heteroaryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(IX)  $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}-R_{B-heterocycle}$  where  $R_{B-heterocycle}$  is defined as  $R_{1-heterocycle}$ , and where  $R_{B-aryl}$ ,  $R_{B-x}$  and  $R_{B-y}$  are as defined above,

(X)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XI)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

5 (XII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XIII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

10 (XIV)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XV)  $-\text{C}(\text{R}_{\text{B-1}})(\text{R}_{\text{B-2}})]_{1-3}-\text{CO}-\text{N}(\text{R}_{\text{B-3}})_2$  where  $\text{R}_{\text{B-1}}$  and  $\text{R}_{\text{B-2}}$  are the same or different and are selected from the group consisting of:

(A) -H,

15 (B)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

20 (C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(E)  $-(\text{CH}_2)_{1-2}-\text{S}(\text{O})_{0-2}-(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,

30 (F)  $-(\text{CH}_2)_{0-4}-\text{C}_3\text{-C}_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(G)  $-(\text{C}_1\text{-C}_4 \text{ alkyl})-\text{R}_{\text{B'-aryl}}$  where  $\text{R}_{\text{B'-aryl}}$  is as defined above for  $\text{R}_{1-}$

aryl,

(H)  $-(\text{C}_1\text{-C}_4 \text{ alkyl})-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$  is as defined above,

- (I)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,
- (J)  $-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,
- (K)  $-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,
- (M)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B'\text{-aryl}}$  where  $R_{B-4}$  is  $-O-$ ,  $-S-$  or
- 5  $-NR_{B-5}-$  where  $R_{B-5}$  is  $C_1-C_6$  alkyl, and where  $R_{B'\text{-aryl}}$  is defined above,
- (N)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B\text{-heteroaryl}}$  where  $R_{B-4}$  and  $R_{B\text{-heteroaryl}}$  are as defined above, and
- (O)  $-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,
- and where  $R_{B-3}$  is the same or different and is:
- 10 (A)  $-H$ ,
- (B)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O\text{-phenyl}$ , and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- 15 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O\text{-phenyl}$ , and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally
- 20 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O\text{-phenyl}$ , and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- (E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O\text{-phenyl}$ , and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- 25 (F)  $-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,
- (G)  $-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,
- (H)  $-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,
- 30 (I)  $-(C_1-C_4 \text{ alkyl})-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,
- (J)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,
- (K)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above, or

(XVI)  $-\text{CH}(\text{R}_{\text{B-aryl}})_2$  where  $\text{R}_{\text{B-aryl}}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(\text{R}_{\text{B-heteroaryl}})_2$  where  $\text{R}_{\text{B-heteroaryl}}$  are the same or different and are as defined above,

5 (XVIII)  $-\text{CH}(\text{R}_{\text{B-aryl}})(\text{R}_{\text{B-heteroaryl}})$  where  $\text{R}_{\text{B-aryl}}$  and  $\text{R}_{\text{B-heteroaryl}}$  are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $\text{R}_{\text{B-aryl}}$  or  $\text{R}_{\text{B-heteroaryl}}$  or  $\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-aryl}}$  or  $\text{R}_{\text{B-heteroaryl}}$  or  $\text{R}_{\text{B-heterocycle}}$  are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH,  
 10  $\text{NR}_{\text{N-5}}$ , O, or  $\text{S}(=\text{O})_{0-2}$ , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two  $-\text{C}_1-\text{C}_3$  alkyl,  $-\text{F}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $=\text{O}$ , or  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XX)  $\text{C}_2-\text{C}_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$   
 15 alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $\text{C}_2-\text{C}_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$   
 20 alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{C-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-aryl}}$  is as defined above and  $\text{R}_{\text{C-6}}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

(XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{\text{B-6}}-(\text{CH}_2)_{0-1}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$  and  $\text{R}_{\text{C-6}}$  is as defined above,

25 (XXIII)  $-\text{CH}(-\text{R}_{\text{B-aryl}} \text{ or } \text{R}_{\text{B-heteroaryl}})-\text{CO}-\text{O}(\text{C}_1-\text{C}_4 \text{ alkyl})$  where  $\text{R}_{\text{B-aryl}}$  and  $\text{R}_{\text{B-heteroaryl}}$  are as defined above,

(XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{micro-NO}_2$ ,

(XXV)  $(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

30 (XXVIII)  $-\text{H}$ , or

(XXIX)  $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above; and

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N-)-H.

42. A protected compound according to claim 41 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>0-1</sub>-(R<sub>1</sub>-aryl), or

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heteroaryl);

where R<sub>A</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-aryl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-heteroaryl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-heterocycle,

-cyclopentyl or -cyclohexyl ring fused to R<sub>A</sub>-aryl or R<sub>A</sub>-heteroaryl or R<sub>A</sub>-

heterocycle; and

where R<sub>B</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-aryl,

$$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}},$$

$$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}},$$

$$-\text{cyclopentyl or -cyclohexyl ring fused to R}_{\text{A-aryl}} \text{ or } \text{R}_{\text{A-heteroaryl}} \text{ or } \text{R}_{\text{A-heterocycle}}.$$

5 43. A protected compound according to claim 42,

where  $\text{R}_1$  is:

$$-(\text{CH}_2)-(\text{R}_{1\text{-aryl}}), \text{ or}$$

$$-(\text{CH}_2)-(\text{R}_{1\text{-heteroaryl}});$$

where  $\text{R}_2$  is  $-\text{H}$ ;

10 where  $\text{R}_3$  is  $-\text{H}$ ;

where  $\text{R}_\text{N}$  is:

$$\text{R}_{\text{N-1}}-\text{X}_\text{N}- \text{ where } \text{X}_\text{N} \text{ is:}$$

$$-\text{CO}-,$$

where  $\text{R}_{\text{N-1}}$  is selected from the group consisting of:

15  $-\text{R}_{\text{N-aryl}}, \text{ and}$

$$-\text{R}_{\text{N-heteroaryl}};$$

where  $\text{R}_\text{A}$  is:

$$-\text{C}_1-\text{C}_8 \text{ alkyl},$$

$$-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7) \text{ cycloalkyl},$$

20  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}},$

$$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}},$$

$$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}},$$

$$-\text{cyclopentyl or -cyclohexyl ring fused to R}_{\text{A-aryl}} \text{ or } \text{R}_{\text{A-heteroaryl}} \text{ or } \text{R}_{\text{A-}}$$

heterocycle;

25 where  $\text{R}_\text{B}$  is:

$$-\text{C}_1-\text{C}_8 \text{ alkyl},$$

$$-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7) \text{ cycloalkyl},$$

$$-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}},$$

$$-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}},$$

30  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}},$

$$-\text{cyclopentyl or -cyclohexyl ring fused to R}_{\text{B-aryl}} \text{ or } \text{R}_{\text{B-heteroaryl}} \text{ or } \text{R}_{\text{B-}}$$

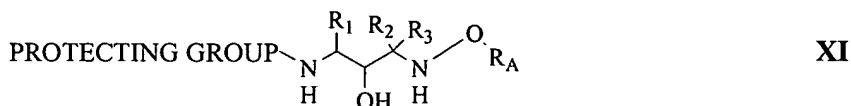
heterocycle.



44. A protected compound according to claim 41 where PROTECTING GROUP is *t*-butoxycarbonyl.

45. A protected compound according to claim 41 where PROTECTING GROUP is benzyloxycarbonyl.

46. A protected compound of the formula (XI)



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where  $R_1$  is:

(I)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_7$  alkyl (optionally substituted with  $C_1$ - $C_3$  alkyl and  $C_1$ - $C_3$  alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, -

15  $\text{NR}_{1-a}\text{R}_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O  $\text{NR}_{1-a}\text{R}_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-( $C_1$ - $C_6$  alkyl),

(III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-( $C_1$ - $C_6$  alkyl),

(IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and - $\text{NR}_{1-a}\text{R}_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, and - $\text{NR}_{1-a}\text{R}_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,

25 (VI) -(CH<sub>2</sub>) <sub>$n_1$</sub> -( $R_{1-aryl}$ ) where  $n_1$  is zero or one and where  $R_{1-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

(A)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>, and  $C_1$ - $C_3$  alkoxy, and - $\text{NR}_{1-a}\text{R}_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(B)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -

Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two or three of -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

5  
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imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyll,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyll,  
carbazolyl,  
beta-carbolinyll,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyll,  
isoindolinyll,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,

5 benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
10 imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
15 dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
20 chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
25 dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
30 benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,

quinoliny N-oxide,  
 indolyl N-oxide,  
 indoliny N-oxide,  
 isoquinolyl N-oxide,  
 5 quinazoliny N-oxide,  
 quinoxaliny N-oxide,  
 phthalaziny N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 10 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 15 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 20 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the  
 parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$   
 25 group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted  
 with one, two, three or four of:

(1)  $C_1-C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  
 $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

30 (2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  
 $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$   
 alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

10 (9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

15 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen; or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

25 thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

30 pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,  
 homopiperidinyl,  
 homomorpholinyl,  
 homothiomorpholinyl,  
 5 homothiomorpholinyl S,S-dioxide,  
 oxazolidinonyl,  
 dihydropyrazolyl,  
 dihydropyrrolyl,  
 dihydropyrazinyl,  
 10 dihydropyridinyl,  
 dihydropyrimidinyl,  
 dihydrofuryl,  
 dihydropyranyl,  
 tetrahydrothienyl S-oxide,  
 15 tetrahydrothienyl S,S-dioxide, and  
 homothiomorpholinyl S-oxide,

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_1$ .

heterocycle group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group  
 replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with  
 20 one, two, three or four:

(1)  $C_1\text{-}C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  
 -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1\text{-a}}$ R $_{1\text{-b}}$  where  $R_{1\text{-a}}$  and  $R_{1\text{-b}}$  are as defined above,

25 (2)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -  
 Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1\text{-a}}$ R $_{1\text{-b}}$  where  $R_{1\text{-a}}$  and  $R_{1\text{-b}}$  are -H or  $C_1\text{-}$   
 $C_6$  alkyl,

30 (3)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -  
 Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1\text{-}C_3$  alkoxy, and -NR $_{1\text{-a}}$ R $_{1\text{-b}}$  where  $R_{1\text{-a}}$  and  $R_{1\text{-b}}$  are -H or  $C_1\text{-}$   
 $C_6$  alkyl,

(4) -F, Cl, -Br or -I,

(5)  $C_1\text{-}C_6$  alkoxy,

(6)  $-C_1-C_6$  alkoxy optionally substituted with one, two, or three  $-F$ ,

(7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

(8)  $-OH$ ,

5

(9)  $-C\equiv N$ ,

(10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(11)  $-CO-(C_1-C_4$  alkyl),

10

(12)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined

above,

(13)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined

above,

(14)  $-SO_2-(C_1-C_4$  alkyl), or

15

(15)  $=O$ , with the proviso that when  $n_1$  is zero  $R_{1-heterocycle}$  is not bonded to the carbon chain by nitrogen;

where  $R_2$  is:

(I)  $-H$ ,

20

(II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-aryl}$  or  $R_{1-heteroaryl}$  where  $R_{1-aryl}$  and  $R_{1-heteroaryl}$  are as defined above;

25

(IV)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,

(V)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl, or

30

(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl;



where  $R_3$  is:

(I)-H,

(II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents  
 5 selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(III)  $-(CH_2)_{0-4}-R_{2-1}$  where  $R_{2-1}$  is  $R_{1-aryl}$  or  $R_{1-heteroaryl}$  where  $R_{1-aryl}$  and  $R_{1-}$   
 heteroaryl are as defined above

(IV)  $C_2-C_6$  alkenyl with one or two double bonds,

10 (V)  $C_2-C_6$  alkynyl with one or two triple bonds; or

(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or  
 three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  
 $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

and where  $R_2$  and  $R_3$  are taken together with the carbon to which they are  
 15 attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally  
 where one carbon atom is replaced by a heteroatom selected from the group consisting of  
 $-O-$ ,  $-S-$ ,  $-SO_2-$ , and  $-NR_{N-2}-$ , where  $R_{N-2}$  is selected from the group consisting of:

(a) -H,

(b)  $C_1-C_6$  alkyl optionally substituted with one  
 20 substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $C_1-C_6$  alkyl optionally substituted with one,  
 two, or three -F, -Cl, -Br, or -I,

25 (d)  $-C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $-C_2-C_6$  alkenyl with one or two double bonds,

(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,

30 (i)  $-C_1-C_6$  alkyl chain with one double bond and one  
 triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above;

where  $R_N$  is:

(I)  $R_{N-1}-X_N$ - where  $X_N$  is selected from the group consisting of:

(A)  $-\text{CO}-$ ,

(B)  $-\text{SO}_2-$ ,

(C)  $-(\text{CR}'\text{R}'')_{1-6}$  where  $\text{R}'$  and  $\text{R}''$  are the same or different and are  $-\text{H}$  and  $\text{C}_1-\text{C}_4$  alkyl,

(D)  $-\text{CO}-(\text{CR}'\text{R}'')_{1-6}-X_{N-1}$  where  $X_{N-1}$  is selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $-\text{NR}'-$  and where  $\text{R}'$  and  $\text{R}''$  are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N-\text{aryl}}$  where  $R_{N-\text{aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $\text{C}_1-\text{C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(2)  $-\text{OH}$ ,

(3)  $-\text{NO}_2$ ,

(4)  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,

(5)  $-\text{CO}-\text{OH}$ ,

(6)  $-\text{C}\equiv\text{N}$ ,

(7)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are the same or different and are selected from the group consisting of:

(a)  $-\text{H}$ ,

(b)  $\text{C}_1-\text{C}_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i)  $-\text{OH}$ , and

(ii)  $-\text{NH}_2$ ,

(c)  $\text{C}_1-\text{C}_6$  alkyl optionally substituted with one, two, or three  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,  
(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and  
(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,  
(8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),  
(9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),  
(10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or three triple bonds),  
(11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
(12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above,  
(13) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,  
(14) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-heterocycle</sub> where R<sub>1-heterocycle</sub> is as defined above,  
(15) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C<sub>1</sub>-C<sub>6</sub> alkyl,  
(16) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> is selected from the group consisting of:  
(a) C<sub>1</sub>-C<sub>6</sub> alkyl,  
(b) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is as defined above,  
(c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,  
(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

(f)  $-(\text{CH}_2)_{0-2}-(\text{R}_{1\text{-heteroaryl}})$  where  $\text{R}_{1\text{-heteroaryl}}$  is as

5 defined above,

(17)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are as

10 the same or different and is as defined above.

(22)  $-(\text{CH}_2)_{0-4}-\text{N}(\text{H or R}_{\text{N-5}})-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$ , where  $\text{R}_{\text{N-5}}$  can be as defined above.

(23)  $-(\text{CH}_2)_{0-4}-\text{N}-\text{CS}-\text{N}(\text{R}_{\text{N}-5})_2$ , where  $\text{R}_{\text{N}-5}$  can be the same or different and is as defined above.

15 (24)  $-(\text{CH}_2)_{0-4}-\text{N}(-\text{H or R}_{\text{N-5}})-\text{CO}-\text{R}_{\text{N-2}}$  where  $\text{R}_{\text{N-5}}$  and  $\text{R}_{\text{N-2}}$   
can be the same or different and are as defined above.

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above.

(26)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above.

20 (27)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl}),$

(28)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{P}(\text{O})-(\text{OR}_{\text{N-aryl-1}})_2$  where  $\text{R}_{\text{N-aryl-1}}$  is  $-\text{H}$  or

C<sub>1</sub>-C<sub>4</sub> alkyl,

(29)  $-(\text{CH}_2)_{0-4}-\text{O}-\text{CO}-\text{N}(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined

above,

25 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined

above,

(31)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2$  where  $\text{R}_{\text{N-5}}$  is as defined above,

(32)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{R}_{\text{N-5}})_2-\text{COOH}$  where  $\text{R}_{\text{N-5}}$  is as defined

above,

30 (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(\text{CH}_2)_{0-4}-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl optionally substituted with one, two, three, four, or five of }-\text{F})$ ,

(35) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,

5 benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
10 oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyll,  
15 carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyll,  
20 isoindolinyll,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
25 pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
30 triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,

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imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl,  
dihydroquinolinyl,  
dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
dihydrocoumarinyl,  
dihydroisocoumarinyl,  
isoindolinonyl,  
benzodioxanyl,  
benzoxazolinonyl,  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,

5 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 10 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide

15 where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_{N\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

20 (1)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (2) -OH,  
 (3) -NO<sub>2</sub>,  
 (4) -F, -Cl, -Br, or -I,  
 (5) -CO-OH,  
 (6) -C $\equiv$ N,  
 (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

30 (a) -H,  
 (b)  $C_1\text{-}C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and  
 (ii) -NH<sub>2</sub>,



(c)  $-C_1-C_6$  alkyl optionally substituted with one,  
two, or three  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,

(d)  $-C_3-C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

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(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $-C_2-C_6$  alkenyl with one or two double bonds,

(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,

triple bond,

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(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,

double bonds),

15

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three$

triple bonds),

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined

20

above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as

defined above,

(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the  
group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

25

homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,

homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is  
optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the

group consisting of:

30

(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined

above,

(c)  $C_2-C_6$  alkenyl containing one or two double

bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and

(f) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-heteroaryl</sub>) where R<sub>1-heteroaryl</sub> is as defined above;

(17) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,

(18) -(CH<sub>2</sub>)<sub>0-4</sub>-SO-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(19) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>12</sub> alkyl),

(20) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(21) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> can be the same or different and is as defined above,

(22) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same or different and is as defined above,

(23) -(CH<sub>2</sub>)<sub>0-4</sub>-N-CS-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same or different and is as defined above,

(24) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-CO-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above,

(25) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> can be the same or different and are as defined above,

(26) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(27) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(28) -(CH<sub>2</sub>)<sub>0-4</sub>-O-P(O)-(OR<sub>N-aryl-1</sub>)<sub>2</sub> where R<sub>N-aryl-1</sub> is -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(29) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(30) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CS-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(31) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(32) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub>-COOH where R<sub>N-5</sub> is as defined above,

(33) -(CH<sub>2</sub>)<sub>0-4</sub>-S-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

(34) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above, or

10 (39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(C) R<sub>N-aryl</sub>-W-R<sub>N-aryl</sub>, where R<sub>N-aryl</sub> can be the same or different,

(D) R<sub>N-aryl</sub>-W-R<sub>N-heteroaryl</sub>,

(E) R<sub>N-aryl</sub>-W-R<sub>N-1-heterocycle</sub>, wherein R<sub>N-1-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above

15 (F) R<sub>N-heteroaryl</sub>-W-R<sub>N-aryl</sub>,

(G) R<sub>N-heteroaryl</sub>-W-R<sub>N-heteroaryl</sub>,

(H) R<sub>N-heteroaryl</sub>-W-R<sub>N-1-heterocycle</sub>,

(I) R<sub>N-heterocycle</sub>-W-R<sub>N-aryl</sub>, wherein R<sub>N-heterocycle</sub> is the same as R<sub>1-heterocycle</sub>, and R<sub>1-heterocycle</sub> is as defined above, and R<sub>N-aryl</sub> is as defined above,

20 (J) R<sub>N-heterocycle</sub>-W-R<sub>N-heteroaryl</sub>, and

(K) R<sub>N-heterocycle</sub>-W-R<sub>N-1-heterocycle</sub>,

where W is

(17) -(CH<sub>2</sub>)<sub>0-4</sub>-,

(18) -O-,

25 (19) -S(O)<sub>0-2</sub>-,

(20) -N(R<sub>N-5</sub>)- where R<sub>N-5</sub> is as defined above, or

(5) -CO-;

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one three substituents selected from the group consisting of:

30 (A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

5 (H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

10 (K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are as defined above,

15 (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

20 (III)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B)  $-\text{C}_1-\text{C}_6$  alkoxy,

(C)  $-\text{C}_1-\text{C}_6$  thioalkoxy,

25 (D)  $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is -H,  $\text{C}_1-\text{C}_6$  alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

30 (H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

5 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

10 (Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

(IV)  $-CO-(C_1-C_6 \text{ alkyl})-S-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three of substituents selected from the group consisting of:

(A) -OH,

15 (B)  $-C_1-C_6 \text{ alkoxy}$ ,

(C)  $-C_1-C_6 \text{ thioalkoxy}$ ,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

20 (F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

(H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

25 (J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

30 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-\text{O}-(\text{C}_1\text{-C}_6 \text{ alkyl optionally substituted with one, two, or three of } -\text{F}, -\text{Cl}, -\text{Br}, \text{ or } -\text{I}),$

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1\text{-C}_6 \text{ alkyl}),$  and

(R)  $-\text{F}, \text{ or } -\text{Cl},$

5 (V)  $-\text{CO}-\text{CH}((\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N-10}})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-aryl}}$  and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, where  $\text{R}_{\text{N-10}}$  is selected from the group consisting of:

(A)  $-\text{H},$

(B)  $\text{C}_1\text{-C}_6 \text{ alkyl},$

(C)  $\text{C}_3\text{-C}_7 \text{ cycloalkyl},$

10 (D)  $\text{C}_2\text{-C}_6 \text{ alkenyl with one double bond},$

(E)  $\text{C}_2\text{-C}_6 \text{ alkynyl with one triple bond},$

(F)  $\text{R}_{1\text{-aryl}}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above, and

(G)  $\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above, or

(VI)  $-\text{CO}-(\text{C}_3\text{-C}_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one  
15 or two substituents selected from the group consisting of:

(A)  $-(\text{CH}_2)_{0-4}-\text{OH},$

(B)  $-(\text{CH}_2)_{0-4}-\text{C}_1\text{-C}_6 \text{ alkoxy},$

(C)  $-(\text{CH}_2)_{0-4}-\text{C}_1\text{-C}_6 \text{ thioalkoxy},$

(D)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is  $-\text{H}, \text{C}_1\text{-C}_6 \text{ alkyl or phenyl},$

20 (E)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1\text{-C}_8 \text{ alkyl}),$

(H)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or  
25 different and are as defined above,

(I)  $-(\text{CH}_2)_{0-4}-\text{NH}-\text{CO}-(\text{C}_1\text{-C}_6 \text{ alkyl}),$

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

(K)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or  
different and are as defined above,

30 (L)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1\text{-C}_6 \text{ alkyl}),$

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of  
5 -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and

(R) -F, or -Cl;

where R<sub>A</sub> is:

10 (I) -C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -  
15 C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-  
20 O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub> where R<sub>A-x</sub> and R<sub>A-y</sub> are

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -  
25 F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

30 and where R<sub>A-x</sub> and R<sub>A-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>A-aryl</sub> is the same as R<sub>N-aryl</sub>,

(V)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above.

(IX)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$  is defined as  $\text{R}_1$ , heterocycle, and where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

15 (XI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above.

(XIII)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}-R_{A-heterocycle}$  where  $R_{A-heterocycle}$ ,  $R_{A-x}$  and  $R_{A-y}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}\text{-R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XV)  $-[C(R_{A-1})(R_{A-2})]_{1-3}-CO-N-(R_{A-3})_2$  where  $R_{A-1}$  and  $R_{A-2}$  are the same or different and are selected from the group consisting of:

25 (A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,



(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined for R<sub>1-aryl</sub>,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(J) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

15 (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A'-aryl</sub> where R<sub>A-4</sub> is -O-, -S- or -NR<sub>A-5</sub>- where R<sub>A-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>A'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-4</sub> and R<sub>A-heteroaryl</sub> are as defined above, and

(O) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

20 and where R<sub>A-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
25 above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (F)  $-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(G)  $-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

(H)  $-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

10 (K)  $-(C_1-C_4 \text{ alkyl})-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above, or

(XVI)  $-\text{CH}(R_{A-aryl})_2$  where  $R_{A-aryl}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(R_{A-heteroaryl})_2$  where  $R_{A-heteroaryl}$  are the same or different and are  
15 as defined above,

(XVIII)  $-\text{CH}(R_{A-aryl})(R_{A-heteroaryl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

(XIX)  $-\text{cyclopentyl}$ ,  $-\text{cyclohexyl}$ , or  $-\text{cycloheptyl}$  ring fused to  $R_{A-aryl}$ ,  $R_{A-heteroaryl}$ ,  $R_{A-heterocycle}$  where  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$  are as defined above where  
20 one carbon of cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  is optionally replaced with  $NH$ ,  $NR_{N-5}$ ,  $O$ , or  $S(=O)_{0-2}$ , and where cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  can be optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-F$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $=O$ , or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally  
25 substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally  
substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
30 alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-aryl}$  where  $R_{A-aryl}$  is as defined above and  $R_{A-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  and  $R_{A-6}$  is as defined above,

(XXIII)  $-\text{CH}(-R_{A-aryl} \text{ or } R_{A-heteroaryl})-\text{CO}-O(C_1-C_4 \text{ alkyl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

5 (XXIV)  $-\text{CH}(-CH_2-OH)-\text{CH}(-OH)-\text{micro-NO}_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-H$ ,

10 (XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above; or

(XXX)

$-\text{C}=\text{OC}(\text{HR}_6)\text{NHR}_7$ , where  $R_6$  and  $R_7$  are as defined below,

$-\text{C}=\text{OR}_7$ , where  $R_7$  is as defined below,

15  $-\text{C}=\text{OOR}_7$ , where  $R_7$  is as defined below, or

$-\text{SOOR}_7$  where  $R_7$  is as defined below,

wherein  $R_6$  is:

hydrogen,

$C_1 - C_3$  alkyl,

phenyl,

20 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

25 alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

30 aminoalkyl,

(N-protected)aminoalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

5  
10  
15  
20  
25  
30

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and

tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

5

wherein R<sub>7</sub> is:

10

C<sub>1</sub> - C<sub>3</sub> alkyl,  
phenyl,  
thioalkoxyalkyl,

15

(aryl)alkyl,  
cycloalkyl,  
cycloalkylalkyl,  
hydroxyalkyl,

20

alkoxyalkyl,  
aryloxyalkyl,  
haloalkyl,  
carboxyalkyl,  
alkoxycarbonylalkyl,  
aminoalkyl,  
(N-protected)aminocalkyl,  
alkylaminoalkyl,  
((N-protected)(alkyl)amino)alkyl,  
dialkylaminoalkyl,  
guanidinoalkyl,

25

lower alkenyl,  
heterocyclic,  
(heterocyclic)alkyl),  
arylthioalkyl,

30

arylsulfonyalkyl,  
(heterocyclic)thioalkyl,  
(heterocyclic)sulfonylalkyl,  
(heterocyclic)oxyalkyl,  
arylalkoxyalkyl,  
arylthioalkoxyalkyl,  
arylalkylsulfonylalkyl,

(heterocyclic))alkoxyalkyl,  
 (heterocyclic)thioalkoxyalkyl,  
 (heterocyclic)alkylsulfonylalkyl,  
 cycloalkyloxyalkyl,  
 cycloalkylthioalkyl,  
 cycloalkylsulfonylalkyl,  
 cycloalkylalkoxyalkyl,  
 cycloalkylthioalkoxyalkyl,  
 cycloalkylalkylsulfonylalkyl,  
 aminocarbonyl,  
 alkylaminocarbonyl,  
 dialkylaminocarbonyl,  
 aroylalkyl,  
 (heterocyclic)carbonylalkyl,  
 polyhydroxyalkyl,  
 aminocarbonylalkyl,  
 alkylaminocarbonylalkyl,  
 dialkylaminocarbonylalkyl,  
 aryloxyalkyl, or  
 alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
 tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
 one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
 dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
 COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl; and

where PROTECTING GROUP is selected from the group consisting of *t*-  
 butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl,  
 chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-  
 methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-  
 chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-  
 dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-  
 nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-  
 diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-

yloxy carbonyl, 2-(*p*-toluyl)prop-2-yloxy carbonyl, cyclopentanyloxy carbonyl, 1-  
 methylcyclopentanyloxy carbonyl, cyclohexanyloxy carbonyl, 1-  
 methylcyclohexanyloxy carbonyl, 2-methylcyclohexanyloxy carbonyl, 2-(4-  
 toluylsulfonyl)ethoxy carbonyl, 2-(methylsulfonyl)ethoxy carbonyl, 2-  
 5 (triphenylphosphino)ethoxy carbonyl, fluorenylmethoxy carbonyl, 2-  
 (trimethylsilyl)ethoxy carbonyl, allyloxy carbonyl, 1-(trimethylsilylmethyl)prop-1-  
 enyloxy carbonyl, 5-benzisoxalylmethoxy carbonyl, 4-acetoxybenzyloxy carbonyl, 2,2,2-  
 trichloroethoxy carbonyl, 2-ethynyl-2-propoxy carbonyl, cyclopropylmethoxy carbonyl, 4-  
 (decyloxy)benzyloxy carbonyl, isobornyloxy carbonyl and 1-piperidyloxy carbonyl, 9-  
 10 fluorenylmethyl carbonate,  $-\text{CH}=\text{CH}=\text{CH}_2$  and phenyl- $\text{C}(=\text{N})-\text{H}$ .

47. A protected compound according to claim 46,

where  $R_1$  is:

$$-(\text{CH}_2)_{0-1}-(\text{R}_{1\text{-aryl}}), \text{ or}$$
$$-(\text{CH}_2)_{n1}-(\text{R}_1\text{-heteroaryl});$$

where  $R_A$  is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

$$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}},$$
$$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}},$$
$$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle},$$
-cyclopentyl or -cyclohexyl ring fused to R<sub>A</sub>-aryl or R<sub>A</sub>-heteroaryl or R<sub>A</sub>-

**heterocycle.**

25 48. A protected compound according to claim 47

where  $R_1$  is:

 $-(\text{CH}_2)-(\text{R}_{1-\text{aryl}}), \text{ or}$ 
$$-(\text{CH}_2)-(\text{R}_1\text{-heteroaryl});$$

where  $R_2$  is  $-H$ ;

where  $R_3$  is  $-H$ ;

where  $R_A$  is:

**-C<sub>1</sub>-C<sub>8</sub> alkyl,**

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

$$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}},$$

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub>,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub>,

-cyclopentyl or -cyclohexyl ring fused to R<sub>A-aryl</sub> or R<sub>A-heteroaryl</sub> or R<sub>A-</sub>

heterocycle.

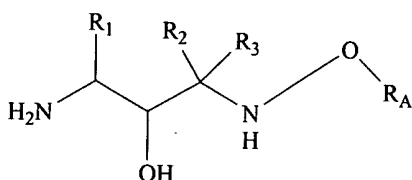
5

49. A protected compound according to claim 46 where PROTECTING GROUP is *t*-butoxycarbonyl.

50. A protected compound according to claim 46 where PROTECTING GROUP is benzyloxycarbonyl.

10

51. A compound of the formula (XII)



XII

15

where R<sub>1</sub> is:

(I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20

(II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

25

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,



(VI)  $-(CH_2)_{n_1}-(R_{1-aryl})$  where  $n_1$  is zero or one and where  $R_{1-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

(A)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, and  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(B)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(C)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(D) -F, Cl, -Br or -I,

(F)  $-C_1-C_6$  alkoxy optionally substituted with one, two or three of -F,

(G)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

(H) -OH,

(I) -C≡N,

(J)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1-C_6$  alkyl,

(K) -CO-( $C_1-C_4$  alkyl),

(L)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(M)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, or

(N)  $-SO_2-(C_1-C_4$  alkyl),

(VII)  $-(CH_2)_{n_1}-(R_{1-heteroaryl})$  where  $n_1$  is as defined above and where  $R_{1-heteroaryl}$  is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothieryl,

indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
5 isoquinolyl,  
quinazoliny,   
quinoxaliny,   
phthalazinyl,  
imidazolyl,  
10 isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indoliziny,   
15 indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
20 thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
25 tetrazolyl,  
oxazolopyridiny,   
imidazopyridiny,   
isothiazolyl,  
naphthyridiny,   
30 cinnoliny,   
carbazolyl,  
beta-carboliny,   
isochromanyl,  
chromanyl,

5 tetrahydroisoquinoliny,  
isoindoliny,  
isobenzotetrahydrofurany,  
isobenzotetrahydrothieny,  
isobenzothiény,  
benzoxazolyl,  
pyridopyridiny,  
benzotetrahydrofurany,  
benzotetrahydrothieny,  
10 puriny,  
benzodioxolyl,  
triaziny,  
phenoxaziny,  
phenothiaziny,  
15 pteridiny,  
benzothiazolyl,  
imidazopyridiny,  
imidazothiazolyl,  
dihydrobenzisoaxaziny,  
20 benzisoaxaziny,  
benzoxaziny,  
dihydrobenzisothiaziny,  
benzopyrany,  
benzothiopyrany,  
25 coumariny,  
isocoumariny,  
chromony,  
chromanony,  
pyridiny-N-oxide,  
30 tetrahydroquinoliny  
dihydroquinoliny  
dihydroquinolinony  
dihydroisoquinolinony  
dihydrocoumariny

dihydroisocoumarinyl  
 isoindolinonyl  
 benzodioxanyl  
 benzoxazolinonyl  
 5 pyrrolyl N-oxide,  
 pyrimidinyl N-oxide,  
 pyridazinyl N-oxide,  
 pyrazinyl N-oxide,  
 10 quinolinyl N-oxide,  
 indolyl N-oxide,  
 indolinyl N-oxide,  
 isoquinolyl N-oxide,  
 quinazolinyl N-oxide,  
 quinoxalinyl N-oxide,  
 15 phthalazinyl N-oxide,  
 imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 20 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 25 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 30 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen; or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,  
thiomorpholinyl,  
thiomorpholinyl S-oxide,  
thiomorpholinyl S,S-dioxide,

piperazinyl,  
 homopiperazinyl,  
 pyrrolidinyl,  
 pyrrolinyl,  
 5 tetrahydropyranyl,  
 piperidinyl,  
 tetrahydrofuranyl,  
 tetrahydrothienyl,  
 homopiperidinyl,  
 10 homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide,  
 oxazolidinonyl,  
 dihydropyrazolyl,  
 15 dihydropyrrolyl,  
 dihydropyrazinyl,  
 dihydropyridinyl,  
 dihydropyrimidinyl,  
 dihydrofuryl,  
 20 dihydropyranyl,  
 tetrahydrothienyl S-oxide,  
 tetrahydrothienyl S,S-dioxide, and  
 homothiomorpholinyl S-oxide,

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_1$ .

25 heterocycle group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group  
 replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with  
 one, two, three or four:

(1)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  
 30 - $C\equiv N$ , - $CF_3$ ,  $C_1$ - $C_3$  alkoxy, and - $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -

Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(5) C<sub>1</sub>-C<sub>6</sub> alkoxy,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or

(15) =O, with the proviso that when n<sub>1</sub> is zero R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;

25

where R<sub>2</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds; or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,



- (d)  $-C_3-C_7$  cycloalkyl,  
 (e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,  
 (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,  
 (g)  $-C_2-C_6$  alkenyl with one or two double bonds,  
 (h)  $-C_2-C_6$  alkynyl with one or two triple bonds,  
 (i)  $-C_1-C_6$  alkyl chain with one double bond and one  
 triple bond,

- (j)  $-R_{1\text{-aryl}}$  where  $R_{1\text{-aryl}}$  is as defined above, and  
 (k)  $-R_{1\text{-heteroaryl}}$  where  $R_{1\text{-heteroaryl}}$  is as defined above;

where  $R_N$  is:

- (I)  $R_{N-1}-X_N$  where  $X_N$  is selected from the group consisting of:  
 (A)  $-\text{CO}-$ ,  
 (B)  $-\text{SO}_2-$ ,  
 (C)  $-(\text{CR}'\text{R}'')_{1-6}$  where  $\text{R}'$  and  $\text{R}''$  are the same or different and are  
 $-\text{H}$  and  $\text{C}_1-\text{C}_4$  alkyl,  
 (D)  $-\text{CO}-(\text{CR}'\text{R}'')_{1-6}-X_{N-1}$  where  $X_{N-1}$  is selected from the group  
 consisting of  $-\text{O}-$ ,  $-\text{S}-$  and  $-\text{NR}'-$  and where  $\text{R}'$  and  $\text{R}''$  are as defined above, and  
 (E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N\text{-aryl}}$  where  $R_{N\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

- (1)  $\text{C}_1-\text{C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_3$  alkoxy, and  $-\text{NR}_{1\text{-a}}\text{R}_{1\text{-b}}$  where  $\text{R}_{1\text{-a}}$  and  $\text{R}_{1\text{-b}}$  are as defined above,

- (2)  $-\text{OH}$ ,  
 (3)  $-\text{NO}_2$ ,  
 (4)  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  
 (5)  $-\text{CO}-\text{OH}$ ,  
 (6)  $-\text{C}\equiv\text{N}$ ,

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

- (a) -H,
- (b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:
  - (i) -OH, and
  - (ii)  $-NH_2$ ,
  - (c)  $-C_1-C_6$  alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,
  - (d)  $-C_3-C_7$  cycloalkyl,
  - (e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,
  - (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,
  - (g)  $-C_2-C_6$  alkenyl with one or two double bonds,
  - (h)  $-C_2-C_6$  alkynyl with one or two triple bonds,
  - (i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,
  - (j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and
  - (k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,
- (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,
- (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,
- (11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,
- (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,
- (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,
- (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

- 5 above,
- (a)  $C_1-C_6$  alkyl,
- (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined
- bonds,
- (c)  $C_2-C_6$  alkenyl containing one or two double
- bonds,
- (d)  $C_2-C_6$  alkynyl containing one or two triple
- 10 bonds,
- (e)  $C_3-C_7$  cycloalkyl, and
- (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

- 15 (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,
- (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,
- (20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,
- (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

- 20 (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

- 25 (24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

- (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,
- (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,
- 30 (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  $C_1-C_4$  alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -F),$

(35)  $C_3-C_7$  cycloalkyl,

(36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or

(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(B)  $-R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

5

imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

indoliziny,

indazolyl,

benzothiazolyl,

benzimidazolyl,

10

benzofuranyl,

furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

15

thiadiazolyl,

triazolyl,

tetrazolyl,

oxazolopyridinyl,

imidazopyridinyl,

20

isothiazolyl,

naphthyridinyl,

cinnolinyl,

carbazolyl,

beta-carbolinyl,

25

isochromanyl,

chromanyl,

tetrahydroisoquinolinyl,

isoindolinyl,

isobenzotetrahydrofuranyl,

30

isobenzotetrahydrothienyl,

isobenzothieryl,

benzoxazolyl,

pyridopyridinyl,

benzotetrahydrofuranyl,

5 benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
10 imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
15 dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
20 chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl,  
dihydroquinolinyl,  
25 dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
dihydrocoumarinyl,  
dihydroisocoumarinyl,  
isoindolinonyl,  
30 benzodioxanyl,  
benzoxazolinonyl,  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,

5 quinoliny N-oxide,  
 indolyl N-oxide,  
 indoliny N-oxide,  
 isoquinolyl N-oxide,  
 quinazolinyl N-oxide,  
 quinoxaliny N-oxide,  
 phthalazinyl N-oxide,  
 imidazolyl N-oxide,  
 10 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 15 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 20 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_{N\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group  
 25 replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or  
 three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I,  
 -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1\text{-}C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
 30 above,

(2) -OH,

(3)  $-NO_2$ ,

(4) -F, -Cl, -Br, or -I,

same or different and are selected from the group consisting of:

substituent selected from the group consisting of:

(i) -OH, and

(ii)  $\text{-NH}_2$ ,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(h)  $\text{—C}_2\text{—C}_6$  alkynyl with one or two triple bonds,

triple bond,

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,

(9)  $-(\text{CH}_2)_{0-4}-\text{CO}-(\text{C}_2-\text{C}_{12}$  alkenyl with one, two or three

(10)  $-(\text{CH}_2)_{0-4}-\text{CO}-(\text{C}_2-\text{C}_{12}$  alkynyl with one, two or three

(11)  $-(\text{CH}_2)_{0-4}-\text{CO}-(\text{C}_3-\text{C}_7 \text{ cycloalkyl})$ ,

(13)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{1-\text{heteroaryl}}$  where  $\text{R}_{1-\text{heteroaryl}}$  is as defined

30 (14)  $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$  where  $R_{1\text{-heterocycle}}$  is as

(15)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is selected from the

group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,



homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C<sub>1</sub>-C<sub>6</sub> alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where R<sub>N-5</sub> is selected from the

5 group consisting of:

(a) C<sub>1</sub>-C<sub>6</sub> alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where R<sub>1-aryl</sub> is as defined

above,

(c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double

10 bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple

bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and

(f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where R<sub>1-heteroaryl</sub> is as

15 defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> are as

defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

20

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where R<sub>N-5</sub> can be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where R<sub>N-5</sub> can

be the same or different and is as defined above,

25

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where R<sub>N-5</sub> can be the same

or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$  where R<sub>N-5</sub> and R<sub>N-2</sub>

can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> can be the

30 same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where R<sub>N-4</sub> is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where R<sub>N-aryl-1</sub> is -H or

C<sub>1</sub>-C<sub>4</sub> alkyl,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

5 (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

10 (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -F),$

(35)  $C_3-C_7$  cycloalkyl,

(36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

15 (37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above, or

20 (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  can be the same or different,

(D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ ,

(E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , wherein  $R_{N-1-heterocycle}$  is the same as  $R_{1-heterocycle}$ , and  $R_{1-heterocycle}$  is as defined above

25 (F)  $R_{N-heteroaryl}-W-R_{N-aryl}$ ,

(G)  $R_{N-heteroaryl}-W-R_{N-heteroaryl}$ ,

(H)  $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$ ,

(I)  $R_{N-heterocycle}-W-R_{N-aryl}$ , wherein  $R_{N-heterocycle}$  is the same as  $R_{1-heterocycle}$ , and  $R_{1-heterocycle}$  is as defined above, and  $R_{N-aryl}$  is as defined above,

30 (J)  $R_{N-heterocycle}-W-R_{N-heteroaryl}$ , and

(K)  $R_{N-heterocycle}-W-R_{N-1-heterocycle}$ ,

where W is

(21)  $-(CH_2)_{0-4}-$ ,

(22)  $-O-$ ,

(23)  $-S(O)_{0-2}-$ ,

(24)  $-N(R_{N-5})-$  where  $R_{N-5}$  is as defined above, or

(5)  $-CO-$ ;

5 (II)  $-CO-(C_1-C_{10} \text{ alkyl})$  where alkyl is optionally substituted with one three substituents selected from the group consisting of:

(A)  $-OH$ ,

(B)  $-C_1-C_6 \text{ alkoxy}$ ,

(C)  $-C_1-C_6 \text{ thioalkoxy}$ ,

10 (D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6 \text{ alkyl}$  or  $-phenyl$ ,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

15 (H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

20 (K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

25 (O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R)  $-F$ , or  $-Cl$ ,

30 (III)  $-CO-(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A)  $-OH$ ,

- (B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,  
(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
5 and are as defined above,  
(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
and are as defined above,  
10 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and  
are as defined above,  
(L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
15 (M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are  
as defined above,  
(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of  
20 -F, -Cl, -Br, or -I),  
(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
(R) -F, or -Cl,  
(IV) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-S-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally  
substituted with one, two, or three of substituents selected from the group consisting of:  
25 (A) -OH,  
(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
30 and are as defined above,  
(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(H)  $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is as defined above,

5 (K)  $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

10 (N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  are the same or different and are as defined above,

(O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

15 (R)  $-\text{F}$ , or  $-\text{Cl}$ ,

(V)  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N-10}})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-aryl}}$  and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, where  $\text{R}_{\text{N-10}}$  is selected from the group consisting of:

(A)  $-\text{H}$ ,

(B)  $\text{C}_1-\text{C}_6 \text{ alkyl}$ ,

20 (C)  $\text{C}_3-\text{C}_7 \text{ cycloalkyl}$ ,

(D)  $\text{C}_2-\text{C}_6 \text{ alkenyl}$  with one double bond,

(E)  $\text{C}_2-\text{C}_6 \text{ alkynyl}$  with one triple bond,

(F)  $\text{R}_{\text{I-aryl}}$  where  $\text{R}_{\text{I-aryl}}$  is as defined above, and

(G)  $\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above, or

25 (VI)  $-\text{CO}-(\text{C}_3-\text{C}_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

(A)  $-(\text{CH}_2)_{0-4}-\text{OH}$ ,

(B)  $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

(C)  $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

30 (D)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$  where  $\text{R}_{\text{N-8}}$  is  $-\text{H}$ ,  $\text{C}_1-\text{C}_6 \text{ alkyl}$  or phenyl,

(E)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above,

(F)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N-4}}$  where  $\text{R}_{\text{N-4}}$  is as defined above,

(G)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,  
 (H)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$  where  $\text{R}_{\text{N-2}}$  and  $\text{R}_{\text{N-3}}$  are the same or different and are as defined above.

different and are as defined above,

5

(I)  $-(\text{CH}_2)_{0-4}-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,  
 (J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,  
 (K)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above.

different and are as defined above,

10

(L)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
 (M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,  
 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above.

as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

-F, -Cl, -Br, or -I),

15

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
(R) -F, or -Cl;

where R<sub>A</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkCyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-CO-OH$ ,  $-CO-O-(C_1-C_4 \text{ alkyl})$ , and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above.

alkCyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30

(A) -H,  
(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of - F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

5 (F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

and where R<sub>A-x</sub> and R<sub>A-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group  
10 consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>A-aryl</sub> is the same as R<sub>N-aryl</sub>,

(IV) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub> and R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(V) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub>-R<sub>A-aryl</sub> where R<sub>A-aryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

15 (VI) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-aryl</sub>, R<sub>A-heteroaryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(VII) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub>-R<sub>A-aryl</sub> where R<sub>A-heteroaryl</sub>, R<sub>A-aryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(VIII) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,  
20

(IX) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub>-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is defined as R<sub>1</sub>-heterocycle, and where R<sub>A-aryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(X) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub>-R<sub>A-heterocycle</sub> where R<sub>A-heteroaryl</sub>, R<sub>A-heterocycle</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

25 (XI) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub>-R<sub>A-aryl</sub> where R<sub>A-heterocycle</sub>, R<sub>A-aryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(XII) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-heterocycle</sub>, R<sub>A-heteroaryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(XIII) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub>-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,  
30

(XIV) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(XV) -[C(R<sub>A-1</sub>)(R<sub>A-2</sub>)]<sub>1-3</sub>-CO-N-(R<sub>A-3</sub>)<sub>2</sub> where R<sub>A-1</sub> and R<sub>A-2</sub> are the same or different and are selected from the group consisting of:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 (F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined for R<sub>1-aryl</sub>,  
 (H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,  
 (I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,  
 (J) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,  
 (K) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,  
 (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A'-aryl</sub> where R<sub>A-4</sub> is -O-, -S- or -NR<sub>A-5</sub>- where R<sub>A-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>A'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-4</sub> and R<sub>A-heteroaryl</sub> are as defined above, and

(O) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

and where R<sub>A-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,



-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

(G) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(H) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

(J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above, or

(XVI) -CH(R<sub>A-aryl</sub>)<sub>2</sub> where R<sub>A-aryl</sub> are the same or different and are as defined above,

(XVII) -CH(R<sub>A-heteroaryl</sub>)<sub>2</sub> where R<sub>A-heteroaryl</sub> are the same or different and are as defined above,

(XVIII) -CH(R<sub>A-aryl</sub>)(R<sub>A-heteroaryl</sub>) where R<sub>A-aryl</sub> and R<sub>A-heteroaryl</sub> are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>A-aryl</sub>, R<sub>A-heteroaryl</sub>, R<sub>A-heterocycle</sub> where R<sub>A-aryl</sub> or R<sub>A-heteroaryl</sub> or R<sub>A-heterocycle</sub> are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-aryl}$  where  $R_{A-aryl}$  is as defined above  
10 and  $R_{A-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  and  $R_{A-6}$  is as defined above,

(XXIII)  $-CH(-R_{A-aryl} \text{ or } R_{A-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

15 (XXIV)  $-CH(-CH_2-OH)-CH(-OH)-micro-NO_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-H$ ,

(XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
20 above; or

(XXX)

$-C=OC(HR_6)NHR_7$ , where  $R_6$  and  $R_7$  are as defined below,

$-C=OR_7$ , where  $R_7$  is as defined below,

$-C=OOR_7$ , where  $R_7$  is as defined below, or

25  $-SOOR_7$  where  $R_7$  is as defined below,

wherein  $R_6$  is:

hydrogen,

$C_1 - C_3$  alkyl,

phenyl,

30 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,  
alkoxyalkyl,  
aryloxyalkyl,  
haloalkyl,  
5 carboxyalkyl,  
alkoxycarbonylalkyl,  
aminoalkyl,  
(N-protected)aminoalkyl,  
alkylaminoalkyl,  
10 ((N-protected)(alkyl)amino)alkyl,  
dialkylaminoalkyl,  
guanidinoalkyl,  
lower alkenyl,  
heterocyclic,  
15 (heterocyclic)alkyl),  
arylthioalkyl,  
arylsulfonylalkyl,  
(heterocyclic)thioalkyl,  
(heterocyclic)sulfonylalkyl,  
20 (heterocyclic)oxyalkyl,  
arylalkoxyalkyl,  
arylthioalkoxyalkyl,  
arylalkylsulfonylalkyl,  
(heterocyclic))alkoxyalkyl,  
25 (heterocyclic)thioalkoxyalkyl,  
(heterocyclic)alkylsulfonylalkyl,  
cycloalkyloxyalkyl,  
cycloalkylthioalkyl,  
cycloalkylsulfonylalkyl,  
30 cycloalkylalkoxyalkyl,  
cycloalkylthioalkoxyalkyl,  
cycloalkylalkylsulfonylalkyl,  
aminocarbonyl,  
alkylaminocarbonyl,

5  
dialkylaminocarbonyl,  
aroylalkyl,  
(heterocyclic)carbonylalkyl,  
polyhydroxyalkyl,  
aminocarbonylalkyl,  
alkylaminocarbonylalkyl,  
dialkylaminocarbonylalkyl,  
aryloxyalkyl, or  
alkylsulfonylalkyl,

10  
wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
15 COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

wherein R<sub>7</sub> is:

20  
C<sub>1</sub> - C<sub>3</sub> alkyl,  
phenyl,  
thioalkoxyalkyl,  
(aryl)alkyl,  
cycloalkyl,  
cycloalkylalkyl,  
hydroxyalkyl,  
alkoxyalkyl,  
25 aryloxyalkyl,  
haloalkyl,  
carboxyalkyl,  
alkoxycarbonylalkyl,  
aminoalkyl,  
30 (N-protected)aminocalkyl,  
alkylaminoalkyl,  
((N-protected)(alkyl)amino)alkyl,  
dialkylaminoalkyl,  
guanidinoalkyl,

lower alkenyl,  
heterocyclic,  
(heterocyclic)alkyl),  
arylthioalkyl,  
5 arylsulfonylalkyl,  
(heterocyclic)thioalkyl,  
(heterocyclic)sulfonylalkyl,  
(heterocyclic)oxyalkyl,  
arylalkoxyalkyl,  
10 arylthioalkoxyalkyl,  
arylalkylsulfonylalkyl,  
(heterocyclic))alkoxyalkyl,  
(heterocyclic)thioalkoxyalkyl,  
(heterocyclic)alkylsulfonylalkyl,  
15 cycloalkyloxyalkyl,  
cycloalkylthioalkyl,  
cycloalkylsulfonylalkyl,  
cycloalkylalkoxyalkyl,  
cycloalkylthioalkoxyalkyl,  
20 cycloalkylalkylsulfonylalkyl,  
aminocarbonyl,  
alkylaminocarbonyl,  
dialkylaminocarbonyl,  
aroalkyl,  
25 (heterocyclic)carbonylalkyl,  
polyhydroxyalkyl,  
aminocarbonylalkyl,  
alkylaminocarbonylalkyl,  
dialkylaminocarbonylalkyl,  
30 aryloxyalkyl, or  
alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with

one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl.

5 52 A compound according to claim 51 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>0-1</sub>-(R<sub>1</sub>-aryl), or

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heteroaryl);

where R<sub>A</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

10 -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-aryl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-heteroaryl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-heterocycle,

-cyclopentyl or -cyclohexyl ring fused to R<sub>A</sub>-aryl or R<sub>A</sub>-heteroaryl or R<sub>A</sub>-

15 heterocycle.

53. A protected compound according to claim 51

where R<sub>1</sub> is:

-(CH<sub>2</sub>)-(R<sub>1</sub>-aryl), or

20 -(CH<sub>2</sub>)-(R<sub>1</sub>-heteroaryl);

where R<sub>2</sub> is -H;

where R<sub>3</sub> is -H;

where R<sub>A</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

25 -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-aryl,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-heteroaryl,

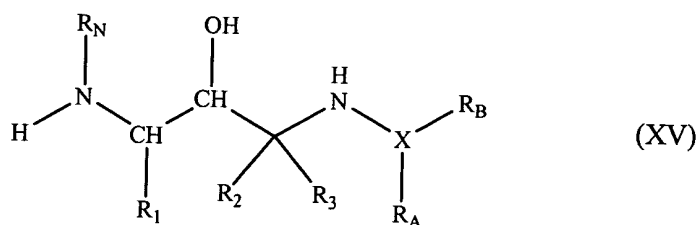
-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A</sub>-heterocycle,

-cyclopentyl or -cyclohexyl ring fused to R<sub>A</sub>-aryl or R<sub>A</sub>-heteroaryl or R<sub>A</sub>-

30 heterocycle.

54. A method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild

- cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e.
- 5 single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a
- 10 therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (XV)



where  $R_1$  is:

- 15 (I)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_7$  alkyl (optionally substituted with  $C_1$ - $C_3$  alkyl and  $C_1$ - $C_3$  alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl, and -OC=O NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,
- 20 (II) -CH $_2$ -S(O) $_{0-2}$ -( $C_1$ - $C_6$  alkyl),  
 (III) -CH $_2$ -CH $_2$ -S(O) $_{0-2}$ -( $C_1$ - $C_6$  alkyl),  
 (IV)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,
- 25 (V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1$ - $C_6$  alkyl,  
 (VI) -(CH $_2$ ) $_{n1}$ -(R $_{1-aryl}$ ) where  $n_1$  is zero or one and where R $_{1-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally
- 30 substituted with one, two, three or four of the following substituents on the aryl ring:

(A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, and C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two or three of -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothieryl,

indolyl,

indolinyl,

pyridazinyl,



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pyrazinyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,

isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
5 benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
10 phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
15 imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
20 benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
25 chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
30 dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl

5 benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
10 isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxaliny N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
15 isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indoliziny N-oxide,  
indazolyl N-oxide,  
20 benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
25 triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide, and  
benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen; or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,  
thiomorpholinyl,  
thiomorpholinyl S-oxide,  
thiomorpholinyl S,S-dioxide,

piperazinyl,  
 homopiperazinyl,  
 pyrrolidinyl,  
 pyrrolinyl,  
 5 tetrahydropyranyl,  
 piperidinyl,  
 tetrahydrofuranyl,  
 tetrahydrothienyl,  
 homopiperidinyl,  
 10 homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide,  
 oxazolidinonyl,  
 dihydropyrazolyl,  
 15 dihydropyrrolyl,  
 dihydropyrazinyl,  
 dihydropyridinyl,  
 dihydropyrimidinyl,  
 dihydrofuryl,  
 20 dihydropyranyl,  
 tetrahydrothienyl S-oxide,  
 tetrahydrothienyl S,S-dioxide, and  
 homothiomorpholinyl S-oxide,

where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_1$ .

25 heterocycle group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group  
 replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with  
 one, two, three or four:

(1)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  
 30 - $C\equiv N$ , - $CF_3$ ,  $C_1$ - $C_3$  alkoxy, and - $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -

Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(5) C<sub>1</sub>-C<sub>6</sub> alkoxy,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or

(15) =O, with the proviso that when n<sub>1</sub> is zero R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;

25

where R<sub>2</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds; or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,

- 5
- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
 (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
 (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
 (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
 (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one  
 triple bond,
- 10
- (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and  
 (k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above;

where R<sub>N</sub> is:

- (I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:
- 15
- (A) -CO-,  
 (B) -SO<sub>2</sub>-,  
 (C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and are  
 -H and C<sub>1</sub>-C<sub>4</sub> alkyl,  
 (D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group  
 consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and  
 (E) a single bond;

20 where R<sub>N-1</sub> is selected from the group consisting of:

- (A) R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl,  
 tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl  
 optionally substituted with one, two or three of the following substituents which can be  
 the same or different and are:
- 25
- (1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or  
 three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I,  
 -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,
- 30
- (2) -OH,  
 (3) -NO<sub>2</sub>,  
 (4) -F, -Cl, -Br, -I,  
 (5) -CO-OH,  
 (6) -C≡N,



(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

- (a) -H,
- (b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:
  - (i) -OH, and
  - (ii)  $-NH_2$ ,
- (c)  $-C_1-C_6$  alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,
- (d)  $-C_3-C_7$  cycloalkyl,
- (e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,
- (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,
- (g)  $-C_2-C_6$  alkenyl with one or two double bonds,
- (h)  $-C_2-C_6$  alkynyl with one or two triple bonds,
- (i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,
- (j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and
- (k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,
- (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,
- (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,
- (11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,
- (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,
- (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,
- (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

- (a)  $C_1-C_6$  alkyl,
- (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,
- (c)  $C_2-C_6$  alkenyl containing one or two double bonds,
- (d)  $C_2-C_6$  alkynyl containing one or two triple bonds,
- (e)  $C_3-C_7$  cycloalkyl, and
- (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,
- (17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,
- (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,
- (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,
- (20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,
- (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,
- (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- (24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,
- (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,
- (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,
- (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,
- (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  $C_1-C_4$  alkyl,
- (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

above,  
(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined

above,  
(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,  
(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined  
5 above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,  
(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -F),$

(35)  $C_3-C_7$  cycloalkyl,  
10 (36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,  
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(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or

(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,  
(B)  $-R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is selected from the group  
20 consisting of:

pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
25 indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoindolyl,  
30 isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,

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imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyI,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothieryl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,

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benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyll,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisoithiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl,  
pyridinyl-N-oxide,  
tetrahydroquinolinyl,  
dihydroquinolinyl,  
dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
dihydrocoumarinyl,  
dihydroisocoumarinyl,  
isoindolinonyl,  
benzodioxanyl,  
benzoxazolinonyl,  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,

5 quinoliny N-oxide,  
 indolyl N-oxide,  
 indoliny N-oxide,  
 isoquinolyl N-oxide,  
 quinazoliny N-oxide,  
 quinoxaliny N-oxide,  
 phthalaziny N-oxide,  
 imidazolyl N-oxide,  
 10 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 indoliziny N-oxide,  
 indazolyl N-oxide,  
 15 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 20 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 benzothiopyranyl S,S-dioxide

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_N$ -heteroaryl group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1$ - $C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,

(2) -OH,

(3) -NO $_2$ ,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

5

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

10

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three -F, -Cl, -Br, -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

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(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one

triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above,

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(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,

(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,

(9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three$

double bonds),

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three$

25 triple bonds),

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,

(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where R<sub>1-aryl</sub> is as defined above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where R<sub>1-heteroaryl</sub> is as defined

above,

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(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where R<sub>1-heterocycle</sub> is as defined above,

(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where R<sub>N-4</sub> is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

homomorpholiny, homothiomorpholiny, homothiomorpholiny S-oxide,  
homothiomorpholiny S,S-dioxide, pyrroliny and pyrrolidiny where each group is  
optionally substituted with one, two, three, or four of C<sub>1</sub>-C<sub>6</sub> alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where R<sub>N-5</sub> is selected from the  
5 group consisting of:

(a) C<sub>1</sub>-C<sub>6</sub> alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where R<sub>1-aryl</sub> is as defined

above,

(c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double

10 bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple

bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and

(f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where R<sub>1-heteroaryl</sub> is as

15 defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> are as

defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

20

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where R<sub>N-5</sub> can be  
the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where R<sub>N-5</sub> can  
be the same or different and is as defined above,

25

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where R<sub>N-5</sub> can be the same  
or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where R<sub>N-5</sub> and R<sub>N-2</sub>  
can be the same or different and are as defined above,

(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> can be the  
30 same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where R<sub>N-4</sub> is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where R<sub>N-aryl-1</sub> is -H or  
C<sub>1</sub>-C<sub>4</sub> alkyl,



(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

5 (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

10 (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five of } -F),$

(35)  $C_3-C_7$  cycloalkyl,

(36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

15 (37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above, or

20 (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  can be the same or different,

(D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ ,

(E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , wherein  $R_{N-1-heterocycle}$  is the same as  $R_{1-heterocycle}$ , and  $R_{1-heterocycle}$  is as defined above

25 (F)  $R_{N-heteroaryl}-W-R_{N-aryl}$ ,

(G)  $R_{N-heteroaryl}-W-R_{N-heteroaryl}$ ,

(H)  $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$ ,

(I)  $R_{N-heterocycle}-W-R_{N-aryl}$ , wherein  $R_{N-heterocycle}$  is the same as  $R_{1-heterocycle}$ , and  $R_{1-heterocycle}$  is as defined above, and  $R_{N-aryl}$  is as defined above,

30 (J)  $R_{N-heterocycle}-W-R_{N-heteroaryl}$ , and

(K)  $R_{N-heterocycle}-W-R_{N-1-heterocycle}$ ,

where W is

(25)  $-(CH_2)_{0-4}-$ ,

(26)  $-O-$ ,

(27)  $-S(O)_{0-2}-$ ,

(28)  $-N(R_{N-5})-$  where  $R_{N-5}$  is as defined above, or

(5)  $-CO-$ ;

5 (II)  $-CO-(C_1-C_{10} \text{ alkyl})$  where alkyl is optionally substituted with one three substituents selected from the group consisting of:

(A)  $-OH$ ,

(B)  $-C_1-C_6 \text{ alkoxy}$ ,

(C)  $-C_1-C_6 \text{ thioalkoxy}$ ,

10 (D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6 \text{ alkyl}$  or  $-phenyl$ ,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

15 (H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

20 (K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

25 (O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R)  $-F$ , or  $-Cl$ ,

30 (III)  $-CO-(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A)  $-OH$ ,

- (B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
 (D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,  
 (E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
 5 and are as defined above,  
 (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
 (G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
 (H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
 and are as defined above,  
 10 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 (J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
 (K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and  
 are as defined above,  
 (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
 15 (M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 (N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are  
 as defined above,  
 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
 (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl) optionally substituted with one, two, or three of  
 20 -F, -Cl, -Br, or -I),  
 (Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
 (R) -F, or -Cl,  
 (IV) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-S-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally  
 substituted with one, two, or three of substituents selected from the group consisting of:  
 25 (A) -OH,  
 (B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
 (D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
 (E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
 30 and are as defined above,  
 (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
 (G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(H)  $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,

5 (K)  $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  are the same or different and are  
10 as defined above,

(O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ),

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

15 (R)  $-\text{F}$ , or  $-\text{Cl}$ ,

(V)  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N}-10})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-aryl}}$  and  $\text{R}_{\text{N-heteroaryl}}$  are as defined above, where  $\text{R}_{\text{N}-10}$  is selected from the group consisting of:

(A)  $-\text{H}$ ,

(B)  $\text{C}_1-\text{C}_6 \text{ alkyl}$ ,

20 (C)  $\text{C}_3-\text{C}_7 \text{ cycloalkyl}$ ,

(D)  $\text{C}_2-\text{C}_6 \text{ alkenyl}$  with one double bond,

(E)  $\text{C}_2-\text{C}_6 \text{ alkynyl}$  with one triple bond,

(F)  $\text{R}_{\text{I-aryl}}$  where  $\text{R}_{\text{I-aryl}}$  is as defined above, and

(G)  $\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is as defined above, or

25 (VI)  $-\text{CO}-(\text{C}_3-\text{C}_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

(A)  $-(\text{CH}_2)_{0-4}-\text{OH}$ ,

(B)  $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

(C)  $-(\text{CH}_2)_{0-4}-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

30 (D)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is  $-\text{H}$ ,  $\text{C}_1-\text{C}_6 \text{ alkyl}$  or phenyl,

(E)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(F)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(G)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

(H)  $-(\text{CH}_2)_{0-4}-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(I)  $-(\text{CH}_2)_{0-4}-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

5 (J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,

(K)  $-(\text{CH}_2)_{0-4}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(L)  $-(\text{CH}_2)_{0-4}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

10 (N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  are the same or different and are as defined above,

(O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ , or  $-\text{I}$ ,

15 (Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

(R)  $-\text{F}$ , or  $-\text{Cl}$ ;

where  $\text{R}_\text{A}$  is:

(I)  $\text{C}_1-\text{C}_{10}$  alkyl optionally substituted with one, two or three substituents  
 20 selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  
 $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $-\text{O}-\text{phenyl}$ ,  $-\text{NR}_{1-\text{a}}\text{R}_{1-\text{b}}$  where  $\text{R}_{1-\text{a}}$  and  $\text{R}_{1-\text{b}}$  are as defined  
 above,  $-\text{OC}=\text{O NR}_{1-\text{a}}\text{R}_{1-\text{b}}$  where  $\text{R}_{1-\text{a}}$  and  $\text{R}_{1-\text{b}}$  are as defined above,  $-\text{S}(=\text{O})_{0-2} \text{R}_{1-\text{a}}$  where  
 $\text{R}_{1-\text{a}}$  is as defined above,  $-\text{NR}_{1-\text{a}}\text{C}=\text{O NR}_{1-\text{a}}\text{R}_{1-\text{b}}$  where  $\text{R}_{1-\text{a}}$  and  $\text{R}_{1-\text{b}}$  are as defined above,  $-\text{C}=\text{O NR}_{1-\text{a}}\text{R}_{1-\text{b}}$  where  $\text{R}_{1-\text{a}}$  and  $\text{R}_{1-\text{b}}$  are as defined above, and  $-\text{S}(=\text{O})_2 \text{NR}_{1-\text{a}}\text{R}_{1-\text{b}}$  where  $\text{R}_{1-\text{a}}$   
 25  $\text{a}$  and  $\text{R}_{1-\text{b}}$  are as defined above,

(II)  $-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy,  $-\text{O}-\text{phenyl}$ ,  $-\text{CO}-\text{OH}$ ,  $-\text{CO}-\text{O}-(\text{C}_1-\text{C}_4 \text{ alkyl})$ , and  $-\text{NR}_{1-\text{a}}\text{R}_{1-\text{b}}$  where  $\text{R}_{1-\text{a}}$  and  $\text{R}_{1-\text{b}}$  are as defined above,

30 (III)  $-(\text{CR}_{\text{A}-\text{x}}\text{R}_{\text{A}-\text{y}})_{0-4}-\text{R}_{\text{A}-\text{aryl}}$  where  $\text{R}_{\text{A}-\text{x}}$  and  $\text{R}_{\text{A}-\text{y}}$  are

(A)  $-\text{H}$ ,

(B)  $\text{C}_1-\text{C}_4$  alkyl optionally substituted with one or two  $-\text{OH}$ ,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -  
F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

5 (F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

and where R<sub>A-x</sub> and R<sub>A-y</sub> are taken together with the carbon to which they  
are attached to form a carbocycle of three, four, five, six or seven carbon atoms,  
optionally where one carbon atom is replaced by a heteroatom selected from the group  
10 consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>A-aryl</sub> is the same as R<sub>N-aryl</sub>,

(IV) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub>  
and R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(V) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub>-R<sub>A-aryl</sub> where R<sub>A-aryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined  
above,

15 (VI) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-aryl</sub>, R<sub>A-heteroaryl</sub>, R<sub>A-x</sub> and  
R<sub>A-y</sub> are as defined above,

(VII) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub>-R<sub>A-aryl</sub> where R<sub>A-heteroaryl</sub>, R<sub>A-aryl</sub>, R<sub>A-x</sub> and  
R<sub>A-y</sub> are as defined above,

(VIII) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub>, R<sub>A-x</sub> and R<sub>A-</sub>  
20 <sub>y</sub> are as defined above,

(IX) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub>-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is defined as R<sub>1-</sub>  
heterocycle, and where R<sub>A-aryl</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(X) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub>-R<sub>A-heterocycle</sub> where R<sub>A-heteroaryl</sub>, R<sub>A-heterocycle</sub>,  
R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

25 (XI) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub>-R<sub>A-aryl</sub> where R<sub>A-heterocycle</sub>, R<sub>A-aryl</sub>, R<sub>A-x</sub> and  
R<sub>A-y</sub> are as defined above,

(XII) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-heterocycle</sub>, R<sub>A-heteroaryl</sub>,  
R<sub>A-x</sub> and R<sub>A-y</sub> are as defined above,

(XIII) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub>-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub>, R<sub>A-x</sub> and  
30 R<sub>A-y</sub> are as defined above,

(XIV) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub>, R<sub>A-x</sub> and R<sub>A-y</sub> are as  
defined above,

(XV) -[C(R<sub>A-1</sub>)(R<sub>A-2</sub>)]<sub>1-3</sub>-CO-N-(R<sub>A-3</sub>)<sub>2</sub> where R<sub>A-1</sub> and R<sub>A-2</sub> are the same or  
different and are selected from the group consisting of:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined for R<sub>1-aryl</sub>,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(J) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A'-aryl</sub> where R<sub>A-4</sub> is -O-, -S- or -NR<sub>A-5</sub>- where R<sub>A-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>A'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-4</sub> and R<sub>A-heteroaryl</sub> are as defined above, and

(O) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

and where R<sub>A-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

(G) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(H) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

(J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above, or

(XVI) -CH(R<sub>A-aryl</sub>)<sub>2</sub> where R<sub>A-aryl</sub> are the same or different and are as defined above,

(XVII) -CH(R<sub>A-heteroaryl</sub>)<sub>2</sub> where R<sub>A-heteroaryl</sub> are the same or different and are as defined above,

(XVIII) -CH(R<sub>A-aryl</sub>)(R<sub>A-heteroaryl</sub>) where R<sub>A-aryl</sub> and R<sub>A-heteroaryl</sub> are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>A-aryl</sub>, R<sub>A-heteroaryl</sub>, R<sub>A-heterocycle</sub> where R<sub>A-aryl</sub> or R<sub>A-heteroaryl</sub> or R<sub>A-heterocycle</sub> are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,



(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy, -O-phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-aryl}$  where  $R_{A-aryl}$  is as defined above  
10 and  $R_{A-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  and  $R_{A-6}$  is as defined above,

(XXIII)  $-\text{CH}-(R_{A-aryl} \text{ or } R_{A-heteroaryl})-\text{CO}-O(C_1-C_4 \text{ alkyl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

15 (XXIV)  $-\text{CH}(-CH_2-OH)-\text{CH}(-OH)-\text{micro-NO}_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-O-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII)  $-\text{H}$ ,

(XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
20 above; or

(XXX)

$-\text{C}=\text{OC}(\text{HR}_6)\text{NHR}_7$ , where  $R_6$  and  $R_7$  are as defined below,

$-\text{C}=\text{OR}_7$ , where  $R_7$  is as defined below,

$-\text{C}=\text{OOR}_7$ , where  $R_7$  is as defined below, or

25  $-\text{SOOR}_7$  where  $R_7$  is as defined below,

wherein  $R_6$  is:

hydrogen,

$C_1 - C_3$  alkyl,

phenyl,

30 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,  
alkoxyalkyl,  
aryloxyalkyl,  
haloalkyl,  
5 carboxyalkyl,  
alkoxycarbonylalkyl,  
aminoalkyl,  
(N-protected)aminoalkyl,  
alkylaminoalkyl,  
10 ((N-protected)(alkyl)amino)alkyl,  
dialkylaminoalkyl,  
guanidinoalkyl,  
lower alkenyl,  
heterocyclic,  
15 (heterocyclic)alkyl),  
arylthioalkyl,  
arylsulfonyalkyl,  
(heterocyclic)thioalkyl,  
(heterocyclic)sulfonylalkyl,  
20 (heterocyclic)oxyalkyl,  
arylalkoxyalkyl,  
arylthioalkoxyalkyl,  
arylalkylsulfonylalkyl,  
(heterocyclic))alkoxyalkyl,  
25 (heterocyclic)thioalkoxyalkyl,  
(heterocyclic)alkylsulfonylalkyl,  
cycloalkyloxyalkyl,  
cycloalkylthioalkyl,  
cycloalkylsulfonylalkyl,  
30 cycloalkylalkoxyalkyl,  
cycloalkylthioalkoxyalkyl,  
cycloalkylalkylsulfonylalkyl,  
aminocarbonyl,  
alkylaminocarbonyl,

dialkylaminocarbonyl,  
aroylalkyl,  
(heterocyclic)carbonylalkyl,  
polyhydroxyalkyl,  
5 aminocarbonylalkyl,  
alkylaminocarbonylalkyl,  
dialkylaminocarbonylalkyl,  
aryloxyalkyl, or  
alkylsulfonylalkyl,

10 wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
15 COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

wherein R<sub>7</sub> is:

C<sub>1</sub> - C<sub>3</sub> alkyl, .  
phenyl,  
thioalkoxyalkyl,  
20 (aryl)alkyl,  
cycloalkyl,  
cycloalkylalkyl,  
hydroxyalkyl,  
alkoxyalkyl,  
25 aryloxyalkyl,  
haloalkyl,  
carboxyalkyl,  
alkoxycarbonylalkyl,  
aminoalkyl,  
30 (N-protected)aminocalkyl,  
alkylaminoalkyl,  
((N-protected)(alkyl)amino)alkyl,  
dialkylaminoalkyl,  
guanidinoalkyl,

lower alkenyl,  
heterocyclic,  
(heterocyclic)alkyl),  
arylthioalkyl,  
5 arylsulfonylalkyl,  
(heterocyclic)thioalkyl,  
(heterocyclic)sulfonylalkyl,  
(heterocyclic)oxyalkyl,  
arylalkoxyalkyl,  
10 arylthioalkoxyalkyl,  
arylalkylsulfonylalkyl,  
(heterocyclic))alkoxyalkyl,  
(heterocyclic)thioalkoxyalkyl,  
(heterocyclic)alkylsulfonylalkyl,  
15 cycloalkyloxyalkyl,  
cycloalkylthioalkyl,  
cycloalkylsulfonylalkyl,  
cycloalkylalkoxyalkyl,  
cycloalkylthioalkoxyalkyl,  
20 cycloalkylalkylsulfonylalkyl,  
aminocarbonyl,  
alkylaminocarbonyl,  
dialkylaminocarbonyl,  
aroylalkyl,  
25 (heterocyclic)carbonylalkyl,  
polyhydroxyalkyl,  
aminocarbonylalkyl,  
alkylaminocarbonylalkyl,  
dialkylaminocarbonylalkyl,  
30 aryloxyalkyl, or  
alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with

one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

5 where X is -N, or -O, with the proviso that when X is O, R<sub>B</sub> is absent;

and when X is N,

R<sub>B</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 10 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15 (II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub> where R<sub>B-x</sub> and R<sub>B-y</sub> are

20

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -

F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

25

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

and where R<sub>B-x</sub> and R<sub>B-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon  
 30 atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub> where R<sub>N-2</sub> is as defined above, and R<sub>B-aryl</sub> is the same as R<sub>N-aryl</sub> and is defined above

(IV)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$  is the same as  $\text{R}_{\text{N-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$ , and  $\text{R}_{\text{B-y}}$  are as defined above,

(V)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$ , and  $\text{R}_{\text{B-y}}$  are as defined above,

5 (VI)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

10 (VIII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(IX)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$  is defined as  $\text{R}_{\text{I-}}$  heterocycle, and where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

15 (XI)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

20 (XIII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XV)  $[\text{C}(\text{R}_{\text{B-1}})(\text{R}_{\text{B-2}})]_{1-3}-\text{CO}-\text{N}-(\text{R}_{\text{B-3}})_2$  where  $\text{R}_{\text{B-1}}$  and  $\text{R}_{\text{B-2}}$  are the same or different and are selected from the group consisting of:

25 (A) -H,

(B)  $-\text{C}_1-\text{C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

30 (C)  $\text{C}_2-\text{C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B'-aryl</sub> where R<sub>B'-aryl</sub> is as defined above for R<sub>1-aryl</sub>,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B-heterocycle</sub> where R<sub>B-heterocycle</sub> is as defined above,

(J) -R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is as defined above,

15 (K) -R<sub>B-heterocycle</sub> where R<sub>B-heterocycle</sub> is as defined above,

(M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>B-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>B'-aryl</sub> where R<sub>B-4</sub> is -O-, -S- or -NR<sub>B-5</sub>- where R<sub>B-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>B'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>B-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-4</sub> and R<sub>B-heteroaryl</sub> are as defined above, and

20 (O) -R<sub>B'-aryl</sub> where R<sub>B'-aryl</sub> is as defined above,

and where R<sub>B-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub>

alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F) -R<sub>B'-aryl</sub> where R<sub>B'-aryl</sub> is as defined above,

(G) -R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is as defined above,

(H) -R<sub>B-heterocycle</sub> where R<sub>B-heterocycle</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B'-aryl</sub> where R<sub>B'-aryl</sub> is as defined above,

(J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is as defined above,

(K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>B-heterocycle</sub> where R<sub>B-heterocycle</sub> is as defined

above, or

(XVI) -CH(R<sub>B-aryl</sub>)<sub>2</sub> where R<sub>B-aryl</sub> are the same or different and are as defined above,

(XVII) -CH(R<sub>B-heteroaryl</sub>)<sub>2</sub> where R<sub>B-heteroaryl</sub> are the same or different and are as defined above,

(XVIII) -CH(R<sub>B-aryl</sub>)(R<sub>B-heteroaryl</sub>) where R<sub>B-aryl</sub> and R<sub>B-heteroaryl</sub> are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>B-aryl</sub> or R<sub>B-heteroaryl</sub> or R<sub>B-heterocycle</sub> where R<sub>B-aryl</sub> or R<sub>B-heteroaryl</sub> or R<sub>B-heterocycle</sub> are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,



(XXI)  $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-R_{B-aryl}$  where  $R_{B-aryl}$  is as defined above and  $R_{C-6}$  is  $-(CH_2)_{0-6}-OH$ ,

(XXII)  $-(CH_2)_{0-1}-CHR_{B-6}-(CH_2)_{0-1}-R_{B-heteroaryl}$  where  $R_{B-heteroaryl}$  and  $R_{C-6}$  is as defined above,

5 (XXIII)  $-\text{CH}(-R_{B-aryl} \text{ or } R_{B-heteroaryl})-\text{CO}-\text{O}(\text{C}_1-\text{C}_4 \text{ alkyl})$  where  $R_{B-aryl}$  and  $R_{B-heteroaryl}$  are as defined above,

(XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{micro-NO}_2$ ,

(XXV)  $(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

10 (XXVIII)  $-\text{H}$ , or

(XXIX)  $-(CH_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above;

or a pharmaceutically acceptable salt thereof.

15 55. A method of treatment according to claim 54 where the disease is Alzheimer's disease.

56. A method of treatment according to claim 54 where the method is helping prevent or delay the onset of Alzheimer's disease.

20 57. A method of treatment according to claim 54 where the disease is mild cognitive impairment.

58. A method of treatment according to claim 54 where the disease is Down's syndrome.

25 59. A method of treatment according to claim 54 where the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

30 60. A method of treatment according to claim 54 where the disease is cerebral amyloid angiopathy.

61. A method of treatment according to claim 54 where the disease is degenerative dementias.

62. A method of treatment according to claim 54 where the disease is diffuse Lewy body type of Alzheimer's disease.

63. A method of treatment according to claim 54 where the method is treating an existing disease.

64. A method of treatment according to claim 54 where the method is preventing a disease from developing.

65. A method of treatment according to claim 54 where the therapeutically effective amount for oral administration is from about 0.1 mg/day to about 1,000 mg/day; for parenteral, sublingual, intranasal, intrathecal administration is from about 0.5 to about 100 mg/day; for depo administration and implants is from about 0.5 mg/day to about 50 mg/day; for topical administration is from about 0.5 mg/day to about 200 mg/day; for rectal administration is from about 0.5 mg to about 500 mg.

66. A method of treatment according to claim 65 where the therapeutically effective amount is for oral administration is from about 1 mg/day to about 100 mg/day and for parenteral administration is from about 5 to about 50 mg daily.

67. A method of treatment according to claim 66 where the therapeutically effective amount for oral administration is from about 5 mg/day to about 50 mg/day.

68. A method of treatment according to claim 54:

where  $R_1$  is:

$-(CH_2)_{0-1}-(R_{1-aryl})$

$-(CH_2)_{n1}-(R_{1-heteroaryl})$ ;

where  $R_N$  is:

$R_{N-1}-X_N$ , where  $X_N$  is selected from the group consisting of:

$-CO-$ , and

$-SO_2-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

$-R_{N-aryl}$ , and

$-R_{N-heteroaryl}$ , or

$-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{\text{N}-10})-(\text{CH}_2)_{0-2}-\text{R}_{\text{N-aryl}}/\text{R}_{\text{N-heteroaryl}});$  and

where  $\text{R}_\text{A}$  and  $\text{R}_\text{B}$  are each independently:

$-\text{C}_1-\text{C}_8$  alkyl,

$-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7)$  cycloalkyl,

5

$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}},$

$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}},$

$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}},$

-cyclopentyl or -cyclohexyl ring fused to  $\text{R}_{\text{A-aryl}}$  or  $\text{R}_{\text{A-heteroaryl}}$  or  $\text{R}_{\text{A-}}$

heterocycle; and

10

where X is:

-N, or

-O, with the proviso that if X is O,  $\text{R}_\text{B}$  is absent.

69. A method of treatment according to claim-68:

15

where  $\text{R}_1$  is:

$-(\text{CH}_2)-(\text{R}_{1-\text{aryl}}),$  or

$-(\text{CH}_2)-(\text{R}_{1-\text{heteroaryl}});$

where  $\text{R}_2$  is -H;

where  $\text{R}_3$  is -H;

20

where  $\text{R}_\text{N}$  is:

$\text{R}_{\text{N-1}}-\text{X}_\text{N}$ - where  $\text{X}_\text{N}$  is:

$-\text{CO}-,$

where  $\text{R}_{\text{N-1}}$  is selected from the group consisting of:

$-\text{R}_{\text{N-aryl}},$  and

25

$-\text{R}_{\text{N-heteroaryl}};$

where  $\text{R}_\text{A}$  and  $\text{R}_\text{B}$  are each independently:

$-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7)$  cycloalkyl,

$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}},$

$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}},$

30

$-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}},$  or

-cyclopentyl or -cyclohexyl ring fused to a  $\text{R}_{\text{A-aryl}}$  or  $\text{R}_{\text{A-heteroaryl}}$  or  $\text{R}_{\text{A-}}$

heterocycle; and

where X is:

-N, or

-O with the proviso that if X is O, R<sub>B</sub> is absent.

70. A method of treatment according to claim 69 where R<sub>A</sub> and R<sub>B</sub> are each independently:

- 5                   -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>,  
                   -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>,  
                   -cyclopentyl or -cyclohexyl ring fused to a R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub>.

71. A method of treatment according to claim 54 where R<sub>1</sub> is:

- 10               -(CH<sub>2</sub>)-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is phenyl.

72. A method of treatment according to claim 71 where R<sub>1</sub> is:

                  -(CH<sub>2</sub>)-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is phenyl substituted with two -F.

- 15   73. A method of treatment according to claim 72 where the -F substitution is 3,5-difluorobenzyl.

74. A method of treatment according to claim 54 where R<sub>2</sub> is -H.

- 20   75. A method of treatment according to claim 54 where R<sub>3</sub> is -H.

76. A method of treatment according to claim 54 where R<sub>N</sub> is

                  R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3-.

25

77. A method of treatment according to claim 76 where R<sub>N-2</sub> and R<sub>N-3</sub> are the same and are C<sub>3</sub> alkyl.

78. A method of treatment according to claim 54 where R<sub>N</sub> is

- 30               R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on the phenyl is 1,3,5-.

79. A method of treatment according to claim 78 where  $R_{N-2}$  and  $R_{N-3}$  are the same and are  $C_3$  alkyl.

80. A method of treatment according to claim 54 where  $R_N$  is

5  $R_{N-1}-X_N-$  where  $X_N$  is  $-CO-$ , where  $R_{N-1}$  is  $R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is substituted with one  $-CO-NR_{N-2}R_{N-3}$ .

81. A method of treatment according to claim 80 where  $R_{N-2}$  and  $R_{N-3}$  are the same and are  $-C_3$  alkyl.

10

82. A method of treatment according to claim 54, where  $R_A$  is:

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-aryl}$  is phenyl,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

-cyclopentyl or -cyclohexyl ring fused to a  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$ .

15

83. A method of treatment according to claim 82, where  $R_A$  is:

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-aryl}$  is phenyl.

20

84. A method of treatment according to claim 83, where phenyl is substituted in the 3-position or 3,5-positions.

85. A method of treatment according to claim 82, where  $R_A$  is

$-(CH_2)-R_{A-heteroaryl}$ .

25

86. A method of treatment according to claim 82, where  $R_A$  is:

$-(CH_2)-R_{A-heterocycle}$ .

87. A method of treatment according to claim 86, where  $R_A$  is:

-cyclohexyl ring fused to a phenyl ring.

30

88. A method of treatment according to claim 54, where  $R_B$  is:

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$  where  $R_{B-aryl}$  is phenyl,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}$ ,

-cyclopentyl or -cyclohexyl ring fused to a  $R_{B-aryl}$  or  $R_{B-heteroaryl}$  or  $R_{B-heterocycle}$ .

89. A method of treatment according to claim 88, where  $R_B$  is:

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$  where  $R_{B-aryl}$  is phenyl.

5 90. A method of treatment according to claim 89 where phenyl is substituted in the 3-position or 3,5-positions.

91. A method of treatment according to claim 88 where  $R_B$  is:

$-(CH_2)-R_{B-heteroaryl}$ .

10

92. A method of treatment according to claim 88 where  $R_B$  is:

$-(CH_2)-R_{B-heterocycle}$ .

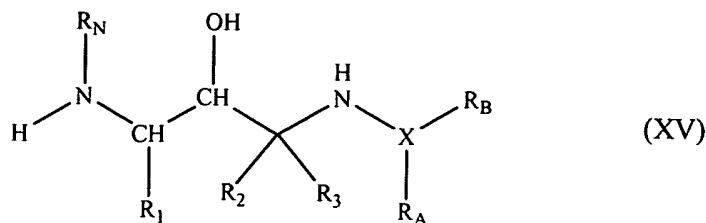
93. A method of treatment according to claim 88 where  $R_B$  is:

15  $-\text{cyclohexyl ring fused to a phenyl ring.}$

94. A method of treatment according to claim 54 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsyllic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

95. A method for inhibiting beta-secretase activity, comprising exposing said beta-secretase to an effective inhibitory amount of a compound of the formula XV

30



where  $\text{R}_1$  is:

- (I)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $\text{C}_1\text{-C}_7$  alkyl (optionally substituted with  $\text{C}_1\text{-C}_3$  alkyl and  $\text{C}_1\text{-C}_3$  alkoxy),  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy,  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl, and  $-\text{OC}=\text{O NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(II)  $-\text{CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(III)  $-\text{CH}_2\text{-CH}_2\text{-S(O)}_{0-2}\text{-(C}_1\text{-C}_6\text{ alkyl)}$ ,

- (IV)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

- (V)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

(VI)  $-(\text{CH}_2)_{n1}\text{-(R}_{1\text{-aryl}})$  where  $n_1$  is zero or one and where  $\text{R}_{1\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

- (A)  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ , and  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

- (B)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

(C)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are  $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

- (D)  $-\text{F}$ ,  $\text{Cl}$ ,  $-\text{Br}$  or  $-\text{I}$ ,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two or three of  
- F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

5 (I) -C≡N,

(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three  
substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub>  
alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

10 (L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1</sub>.

heteroaryl is selected from the group consisting of:

15 pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
20 indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoquinolyl,  
quinazolinyl,  
25 quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
30 oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,



5      benzothiazolyl,  
        benzimidazolyl,  
        benzofuranyl,  
        furanyl,  
        thienyl,  
        pyrrolyl,  
        oxadiazolyl,  
        thiadiazolyl,  
10      triazolyl,  
        tetrazolyl,  
        oxazolopyridinyl,  
        imidazopyridinyl,  
        isothiazolyl,  
        naphthyridinyl,  
15      cinnolinyl,  
        carbazolyl,  
        beta-carbolinyl,  
        isochromanyl,  
        chromanyl,  
20      tetrahydroisoquinolinyl,  
        isoindolinyl,  
        isobenzotetrahydrofuranlyl,  
        isobenzotetrahydrothienyl,  
        isobenzothienyl,  
25      benzoxazolyl,  
        pyridopyridinyl,  
        benzotetrahydrofuranlyl,  
        benzotetrahydrothienyl,  
        purinyl,  
30      benzodioxolyl,  
        triazinyl,  
        phenoxazinyl,  
        phenothiazinyl,  
        pteridinyl,

5 benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenziso-thiazinyl,  
benzopyranyl,  
10 benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl,  
15 pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
20 dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
25 pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
30 indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxaliny N-oxide,  
phthalazinyl N-oxide,

imidazolyl N-oxide,  
 isoxazolyl N-oxide,  
 oxazolyl N-oxide,  
 thiazolyl N-oxide,  
 5 indoliziny N-oxide,  
 indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 10 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 15 benzothiopyranyl S,S-dioxide,

where the  $R_{1\text{-heteroaryl}}$  group is bonded to  $-(CH_2)_{n1}-$  by any ring atom of the parent  $R_{1\text{-heteroaryl}}$  group substituted by hydrogen such that the new bond to the  $R_{1\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

- 20 (1)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1-C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are as defined above,
- (2)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1-C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1-C_6$  alkyl,
- 25 (3)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C $\equiv$ N, -CF $_3$ ,  $C_1-C_3$  alkoxy, and -NR $_{1-a}$ R $_{1-b}$  where R $_{1-a}$  and R $_{1-b}$  are -H or  $C_1-C_6$  alkyl,
- 30 (4) -F, Cl, -Br or -I,
- (6)  $-C_1-C_6$  alkoxy optionally substituted with one, two, or three of -F,

- (7)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,  
(8)  $-OH$ ,  
(9)  $-C\equiv N$ ,  
(10)  $C_3-C_7$  cycloalkyl, optionally substituted with one, two  
5 or three substituents selected from the group consisting of  $-F$ ,  $-Cl$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  
 $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  $-H$  or  $C_1-C_6$  alkyl,  
(11)  $-CO-(C_1-C_4 \text{ alkyl})$ ,  
(12)  $-SO_2-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
above,  
10 (13)  $-CO-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined  
above, or  
(14)  $-SO_2-(C_1-C_4 \text{ alkyl})$ , with the proviso that when  $n_1$  is  
zero  $R_{1-\text{heteroaryl}}$  is not bonded to the carbon chain by nitrogen; or  
(VIII)  $-(CH_2)_{n_1}-(R_{1-\text{heterocycle}})$  where  $n_1$  is as defined above and  $R_{1-\text{heterocycle}}$   
15 is selected from the group consisting of:  
morpholinyl,  
thiomorpholinyl,  
thiomorpholinyl S-oxide,  
thiomorpholinyl S,S-dioxide,  
20 piperazinyl,  
homopiperazinyl,  
pyrrolidinyl,  
pyrrolinyl,  
tetrahydropyranyl,  
25 piperidinyl,  
tetrahydrofuranyl,  
tetrahydrothienyl,  
homopiperidinyl,  
homomorpholinyl,  
30 homothiomorpholinyl,  
homothiomorpholinyl S,S-dioxide,  
oxazolidinonyl,  
dihydropyrazolyl,

dihydropyrrolyl,  
 dihydropyrazinyl,  
 dihydropyridinyl,  
 dihydropyrimidinyl,  
 dihydrofuryl,  
 dihydropyranyl,  
 tetrahydrothienyl S-oxide,  
 tetrahydrothienyl S,S-dioxide, and  
 homothiomorpholinyl S-oxide,

5  
 10 where the  $R_{1\text{-heterocycle}}$  group is bonded by any atom of the parent  $R_1$ .  
 heterocycle group substituted by hydrogen such that the new bond to the  $R_{1\text{-heterocycle}}$  group  
 replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with  
 one, two, three or four:

15 (1)  $C_1$ - $C_6$  alkyl optionally substituted with one, two or three  
 substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  
 - $C\equiv N$ , - $CF_3$ ,  $C_1$ - $C_3$  alkoxy, and - $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

20 (2)  $C_2$ - $C_6$  alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -  
 Cl, -OH, -SH, - $C\equiv N$ , - $CF_3$ ,  $C_1$ - $C_3$  alkoxy, and - $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ -  
 $C_6$  alkyl,

(3)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of -F, -  
 Cl, -OH, -SH, - $C\equiv N$ , - $CF_3$ ,  $C_1$ - $C_3$  alkoxy, and - $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are -H or  $C_1$ -  
 $C_6$  alkyl,

25 (4) -F, Cl, -Br or -I,

(5)  $C_1$ - $C_6$  alkoxy,

(6) - $C_1$ - $C_6$  alkoxy optionally substituted with one, two, or  
 three -F,

(7) - $NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined below,

30 (8) -OH,

(9) - $C\equiv N$ .

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

5 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or

10 (15) =O, with the proviso that when n<sub>1</sub> is zero R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;

where R<sub>2</sub> is:

(I)-H,

15 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

20 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

25 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

30 where R<sub>3</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

5 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds; or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
15 substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one,  
two, or three -F, -Cl, -Br, or -I,

20 (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

25 (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above;

30 where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

(A) -CO-,

(B) -SO<sub>2</sub>-,

(C)  $-(CR'R'')_{1-6}$  where  $R'$  and  $R''$  are the same or different and are  $-H$  and  $C_1-C_4$  alkyl,

(D)  $-\text{CO}-(CR'R'')_{1-6}-X_{N-1}$  where  $X_{N-1}$  is selected from the group consisting of  $-O-$ ,  $-S-$  and  $-\text{NR}'-$  and where  $R'$  and  $R''$  are as defined above, and

5 (E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N\text{-aryl}}$  where  $R_{N\text{-aryl}}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

15 (2)  $-OH$ ,

(3)  $-\text{NO}_2$ ,

(4)  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,

(5)  $-\text{CO}-OH$ ,

(6)  $-C\equiv N$ ,

20 (7)  $-(\text{CH}_2)_{0-4}-\text{CO}-\text{NR}_{N-2}\text{R}_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a)  $-H$ ,

(b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

25 (i)  $-OH$ , and

(ii)  $-\text{NH}_2$ ,

(c)  $-C_1-C_6$  alkyl optionally substituted with one, two, or three  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,

(d)  $-C_3-C_7$  cycloalkyl,

30 (e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,

(f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,

(g)  $-C_2-C_6$  alkenyl with one or two double bonds,

(h)  $-C_2-C_6$  alkynyl with one or two triple bonds,



(i)  $-C_1-C_6$  alkyl chain with one double bond and one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

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(8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12}$  alkyl),

double bonds),

(10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12}$  alkenyl with one, two or three

triple bonds),

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(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7$  cycloalkyl),

(12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined

above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as

15 defined above,

(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the

group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,

homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is

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optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the

group consisting of:

(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined

25 above,

(c)  $C_2-C_6$  alkenyl containing one or two double

bonds,

(d)  $C_2-C_6$  alkynyl containing one or two triple

bonds,

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(e)  $C_3-C_7$  cycloalkyl, and

(f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as

defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as

defined above,

- (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,  
 (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,  
 (20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,  
 (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be  
 5 the same or different and is as defined above,  
 (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can  
 be the same or different and is as defined above,  
 (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same  
 or different and is as defined above,  
 10 (24)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$   
 can be the same or different and are as defined above,  
 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the  
 same or different and are as defined above,  
 (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
 15 (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,  
 (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  
 $C_1-C_4 \text{ alkyl}$ ,  
 (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined  
 above,  
 20 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined  
 above,  
 (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,  
 (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined  
 above,  
 25 (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,  
 (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with}$   
 one, two, three, four, or five of  $-F$ ),  
 (35)  $C_3-C_7 \text{ cycloalkyl}$ ,  
 (36)  $C_2-C_6 \text{ alkenyl with one or two double bonds optionally}$   
 30  $\text{substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -$   
 $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,

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pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,

5 benzoxazinyl,  
dihydrobenzothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl,  
10 pyridinyl-N-oxide,  
tetrahydroquinolinyl,  
dihydroquinolinyl,  
dihydroquinolinonyl,  
dihydroisoquinolinonyl,  
15 dihydrocoumarinyl,  
dihydroisocoumarinyl,  
isoindolinonyl,  
benzodioxanyl,  
benzoxazolinonyl,  
20 pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
25 indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
30 phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,

indazolyl N-oxide,  
 benzothiazolyl N-oxide,  
 benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 5 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide, and  
 10 benzothiopyranyl S,S-dioxide

where the  $R_{N\text{-heteroaryl}}$  group is bonded by any atom of the parent  $R_N$ -heteroaryl group substituted by hydrogen such that the new bond to the  $R_{N\text{-heteroaryl}}$  group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

15 (1)  $C_1$ - $C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1$ - $C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

20 (2) -OH,  
 (3)  $-NO_2$ ,  
 (4) -F, -Cl, -Br, or -I,  
 (5)  $-CO-OH$ ,  
 (6)  $-C\equiv N$ ,  
 (7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the  
 25 same or different and are selected from the group consisting of:

(a) -H,  
 (b)  $-C_1$ - $C_6$  alkyl optionally substituted with one  
 substituent selected from the group consisting of:  
 30 (i) -OH, and  
 (ii)  $-NH_2$ ,  
 (c)  $-C_1$ - $C_6$  alkyl optionally substituted with one,  
 two, or three -F, -Cl, -Br, -I,  
 (d)  $-C_3$ - $C_7$  cycloalkyl,

(e)  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ ,  
 (f)  $-(C_1-C_6 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ,  
 (g)  $-C_2-C_6 \text{ alkenyl}$  with one or two double bonds,  
 (h)  $-C_2-C_6 \text{ alkynyl}$  with one or two triple bonds,  
 5 (i)  $-C_1-C_6 \text{ alkyl chain}$  with one double bond and one triple bond,

(j)  $-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,  
 (k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,  
 (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,  
 10 (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl}$  with one, two or three double bonds),  
 (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl}$  with one, two or three triple bonds),

(11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,  
 15 (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,  
 (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,  
 (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,

20 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1-C_6 \text{ alkyl}$ ,  
 25 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

(a)  $C_1-C_6 \text{ alkyl}$ ,  
 (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,  
 30 (c)  $C_2-C_6 \text{ alkenyl}$  containing one or two double bonds,  
 (d)  $C_2-C_6 \text{ alkynyl}$  containing one or two triple bonds,  
 (e)  $C_3-C_7 \text{ cycloalkyl}$ , and

(f)  $-(CH_2)_{0-2}-(R_{1-\text{heteroaryl}})$  where  $R_{1-\text{heteroaryl}}$  is as defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

5 (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,

(19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

10 (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

15 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

(26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,

20 (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-\text{aryl}-1})_2$  where  $R_{N-\text{aryl}-1}$  is  $-H$  or  $C_1-C_4 \text{ alkyl}$ ,

(29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

25 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,

30 (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, three, four, or five of  $-F$ ,

(35)  $C_3-C_7 \text{ cycloalkyl}$ ,



(36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or - $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or - $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above, or

(39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  can be the same or different,

(D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ ,

(E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , wherein  $R_{N-1-heterocycle}$  is the same as  $R_{1-heterocycle}$ , and  $R_{1-heterocycle}$  is as defined above

(F)  $R_{N-heteroaryl}-W-R_{N-aryl}$ ,

(G)  $R_{N-heteroaryl}-W-R_{N-heteroaryl}$ ,

(H)  $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$ ,

(I)  $R_{N-heterocycle}-W-R_{N-aryl}$ , wherein  $R_{N-heterocycle}$  is the same as  $R_{1-heterocycle}$ , and  $R_{1-heterocycle}$  is as defined above, and  $R_{N-aryl}$  is as defined above,

(J)  $R_{N-heterocycle}-W-R_{N-heteroaryl}$ , and

(K)  $R_{N-heterocycle}-W-R_{N-1-heterocycle}$ ,

where W is

(29)  $-(CH_2)_{0-4}-$ ,

(30)  $-O-$ ,

(31)  $-S(O)_{0-2}-$ ,

(32)  $-N(R_{N-5})-$  where  $R_{N-5}$  is as defined above, or

(5)  $-CO-$ ;

(II)  $-CO-(C_1-C_{10} \text{ alkyl})$  where alkyl is optionally substituted with one three substituents selected from the group consisting of:

(A) -OH,

(B)  $-C_1-C_6$  alkoxy,

(C)  $-C_1-C_6$  thioalkoxy,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is -H,  $C_1-C_6$  alkyl or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

5 (H)  $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,

10 (K)  $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(L)  $-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(M)  $-\text{O}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(N)  $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  are the same or different and are as defined above,

15 (O)  $-\text{O}-(\text{C}_1-\text{C}_5 \text{ alkyl})-\text{COOH}$ ,

(P)  $-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

(Q)  $-\text{NH}-\text{SO}_2-(\text{C}_1-\text{C}_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

20 (III)  $-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})-\text{O}-(\text{C}_1-\text{C}_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) -OH,

(B)  $-\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,

(C)  $-\text{C}_1-\text{C}_6 \text{ thioalkoxy}$ ,

25 (D)  $-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is -H,  $\text{C}_1-\text{C}_6 \text{ alkyl}$  or -phenyl,

(E)  $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(F)  $-\text{CO}-\text{R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,

(G)  $-\text{SO}_2-(\text{C}_1-\text{C}_8 \text{ alkyl})$ ,

30 (H)  $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  are the same or different and are as defined above,

(I)  $-\text{NH}-\text{CO}-(\text{C}_1-\text{C}_6 \text{ alkyl})$ ,

(J)  $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$  where  $\text{R}_{\text{N}-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

5 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

10 (Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl})$ , and

(R) -F, or -Cl,

(IV)  $-CO-(C_1-C_6 \text{ alkyl})-S-(C_1-C_6 \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three of substituents selected from the group consisting of:

(A) -OH,

15 (B)  $-C_1-C_6$  alkoxy,

(C)  $-C_1-C_6$  thioalkoxy,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

20 (F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

(H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

25 (J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

30 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, or three of } -F, -Cl, -Br, \text{ or } -I),$

(Q)  $-NH-SO_2-(C_1-C_6 \text{ alkyl}),$  and

(R)  $-F,$  or  $-Cl,$

5 (V)  $-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl})$  where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above, where  $R_{N-10}$  is selected from the group consisting of:

(A)  $-H,$

(B)  $C_1-C_6 \text{ alkyl},$

(C)  $C_3-C_7 \text{ cycloalkyl},$

10 (D)  $C_2-C_6 \text{ alkenyl with one double bond},$

(E)  $C_2-C_6 \text{ alkynyl with one triple bond},$

(F)  $R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above, and

(G)  $R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is as defined above, or

15 (VI)  $-CO-(C_3-C_8 \text{ cycloalkyl})$  where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

(A)  $-(CH_2)_{0-4}-OH,$

(B)  $-(CH_2)_{0-4}-C_1-C_6 \text{ alkoxy},$

(C)  $-(CH_2)_{0-4}-C_1-C_6 \text{ thioalkoxy},$

(D)  $-(CH_2)_{0-4}-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H,$   $C_1-C_6 \text{ alkyl}$  or  $\text{phenyl},$

20 (E)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(F)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-(CH_2)_{0-4}-SO_2-(C_1-C_8 \text{ alkyl}),$

25 (H)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-(CH_2)_{0-4}-NH-CO-(C_1-C_6 \text{ alkyl}),$

(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

30 (L)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl}),$

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of  
5 -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and

(R) -F, or -Cl;

where R<sub>A</sub> is:

10 (I) -C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -  
15 C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-  
20 O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub> where R<sub>A-x</sub> and R<sub>A-y</sub> are

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -  
25 F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

30 and where R<sub>A-x</sub> and R<sub>A-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>A-aryl</sub> is the same as R<sub>N-aryl</sub>,

(IV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$  is the same as  $\text{R}_{\text{N-heteroaryl}}$  and  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(V)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

5 (VI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(VII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

10 (VIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(IX)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-aryl}}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$  is defined as  $\text{R}_{\text{1-heterocycle}}$ , and where  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heteroaryl}}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

15 (XI)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-aryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-aryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-heteroaryl}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-heteroaryl}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

20 (XIII)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{A-x}}\text{R}_{\text{A-y}})_{0-4}-\text{R}_{\text{A-heterocycle}}$  where  $\text{R}_{\text{A-heterocycle}}$ ,  $\text{R}_{\text{A-x}}$  and  $\text{R}_{\text{A-y}}$  are as defined above,

(XV)  $-[\text{C}(\text{R}_{\text{A-1}})(\text{R}_{\text{A-2}})]_{1-3}-\text{CO}-\text{N}(\text{R}_{\text{A-3}})_2$  where  $\text{R}_{\text{A-1}}$  and  $\text{R}_{\text{A-2}}$  are the same or different and are selected from the group consisting of:

25 (A) -H,

(B)  $\text{C}_1-\text{C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

30 (C)  $\text{C}_2-\text{C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1-\text{C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1-\text{C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

5 (E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined for R<sub>1-aryl</sub>,

(H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

(J) -R<sub>A-heteroaryl</sub> where R<sub>A-heteroaryl</sub> is as defined above,

(K) -R<sub>A-heterocycle</sub> where R<sub>A-heterocycle</sub> is as defined above,

15 (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A'-aryl</sub> where R<sub>A-4</sub> is -O-, -S- or -NR<sub>A-5</sub>- where R<sub>A-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>A'-aryl</sub> is defined above,

(N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>A-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub> where R<sub>A-4</sub> and R<sub>A-heteroaryl</sub> are as defined above, and

(O) -R<sub>A'-aryl</sub> where R<sub>A'-aryl</sub> is as defined above,

20 and where R<sub>A-3</sub> is the same or different and is:

(A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
25 above,

(C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

5 (F)  $-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(G)  $-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

(H)  $-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{A'-aryl}$  where  $R_{A'-aryl}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  is as defined above,

10 (K)  $-(C_1-C_4 \text{ alkyl})-R_{A-heterocycle}$  where  $R_{A-heterocycle}$  is as defined above, or

(XVI)  $-\text{CH}(R_{A-aryl})_2$  where  $R_{A-aryl}$  are the same or different and are as defined above,

(XVII)  $-\text{CH}(R_{A-heteroaryl})_2$  where  $R_{A-heteroaryl}$  are the same or different and are as defined above,

(XVIII)  $-\text{CH}(R_{A-aryl})(R_{A-heteroaryl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

(XIX)  $-\text{cyclopentyl}$ ,  $-\text{cyclohexyl}$ , or  $-\text{cycloheptyl}$  ring fused to  $R_{A-aryl}$ ,  $R_{A-heteroaryl}$ ,  $R_{A-heterocycle}$  where  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$  are as defined above where one carbon of cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  is optionally replaced with  $NH$ ,  $NR_{N-5}$ ,  $O$ , or  $S(=O)_{0-2}$ , and where cyclopentyl, cyclohexyl, or  $-\text{cycloheptyl}$  can be optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-F$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $=O$ , or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl,  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-aryl}$  where  $R_{A-aryl}$  is as defined above and  $R_{A-6}$  is  $-(CH_2)_{0-6}-OH$ ,



(XXII)  $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$  where  $R_{A-heteroaryl}$  and  $R_{A-6}$  is as defined above,

(XXIII)  $-CH(-R_{A-aryl} \text{ or } R_{A-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$  where  $R_{A-aryl}$  and  $R_{A-heteroaryl}$  are as defined above,

5 (XXIV)  $-CH(-CH_2-OH)-CH(-OH)-micro-NO_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-H$ ,

10 (XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above; or

(XXX)

$-C=OC(HR_6)NHR_7$ , where  $R_6$  and  $R_7$  are as defined below,

$-C=OR_7$ , where  $R_7$  is as defined below,

15  $-C=OOR_7$ , where  $R_7$  is as defined below, or

$-SOOR_7$  where  $R_7$  is as defined below,

wherein  $R_6$  is:

hydrogen,

$C_1 - C_3$  alkyl,

phenyl,

20 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

25 alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

30 aminoalkyl,

(N-protected)aminoalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

5  
 10  
 15  
 20  
 25  
 30

guanidinoalkyl,  
 lower alkenyl,  
 heterocyclic,  
 (heterocyclic)alkyl),  
 arylthioalkyl,  
 arylsulfonylalkyl,  
 (heterocyclic)thioalkyl,  
 (heterocyclic)sulfonylalkyl,  
 (heterocyclic)oxyalkyl,  
 arylalkoxyalkyl,  
 arylthioalkoxyalkyl,  
 arylalkylsulfonylalkyl,  
 (heterocyclic)alkoxyalkyl,  
 (heterocyclic)thioalkoxyalkyl,  
 (heterocyclic)alkylsulfonylalkyl,  
 cycloalkyloxyalkyl,  
 cycloalkylthioalkyl,  
 cycloalkylsulfonylalkyl,  
 cycloalkylalkoxyalkyl,  
 cycloalkylthioalkoxyalkyl,  
 cycloalkylalkylsulfonylalkyl,  
 aminocarbonyl,  
 alkylaminocarbonyl,  
 dialkylaminocarbonyl,  
 aroylalkyl,  
 (heterocyclic)carbonylalkyl,  
 polyhydroxyalkyl,  
 aminocarbonylalkyl,  
 alkylaminocarbonylalkyl,  
 dialkylaminocarbonylalkyl,  
 aryloxyalkyl, or  
 alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and

tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

5

wherein R<sub>7</sub> is:

10

C<sub>1</sub> - C<sub>3</sub> alkyl,  
phenyl,  
thioalkoxyalkyl,

(aryl)alkyl,  
cycloalkyl,  
cycloalkylalkyl,

15

hydroxyalkyl,  
alkoxyalkyl,  
aryloxyalkyl,

haloalkyl,  
carboxyalkyl,  
alkoxycarbonylalkyl,  
aminoalkyl,

20

(N-protected)aminocalkyl,  
alkylaminoalkyl,  
((N-protected)(alkyl)amino)alkyl,  
dialkylaminoalkyl,  
guanidinoalkyl,

25

lower alkenyl,  
heterocyclic,  
(heterocyclic)alkyl),

arylthioalkyl,  
arylsulfonyalkyl,  
(heterocyclic)thioalkyl,

30

(heterocyclic)sulfonylalkyl,  
(heterocyclic)oxyalkyl,  
arylalkoxyalkyl,  
arylthioalkoxyalkyl,  
arylalkylsulfonylalkyl,

(heterocyclic))alkoxyalkyl,  
 (heterocyclic)thioalkoxyalkyl,  
 (heterocyclic)alkylsulfonylalkyl,  
 cycloalkyloxyalkyl,  
 5 cycloalkylthioalkyl,  
 cycloalkylsulfonylalkyl,  
 cycloalkylalkoxyalkyl,  
 cycloalkylthioalkoxyalkyl,  
 cycloalkylalkylsulfonylalkyl,  
 10 aminocarbonyl,  
 alkylaminocarbonyl,  
 dialkylaminocarbonyl,  
 aroylalkyl,  
 (heterocyclic)carbonylalkyl,  
 15 polyhydroxyalkyl,  
 aminocarbonylalkyl,  
 alkylaminocarbonylalkyl,  
 dialkylaminocarbonylalkyl,  
 aryloxyalkyl, or  
 20 alkylsulfonylalkyl,  
 wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,  
 oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl and  
 tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with  
 one to three substituents independently selected from hydroxy, halo, amino, alkylamino,  
 25 dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl,  
 COOH, -SO<sub>3</sub>H, lower alkenyl or lower alkyl;

where X is -N, or -O, with the proviso that when X is O, R<sub>B</sub> is absent;

and when X is N,

30

R<sub>B</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents  
 selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub> where R<sub>B-x</sub> and R<sub>B-y</sub> are

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of -F,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or

(G) phenyl,

and where R<sub>B-x</sub> and R<sub>B-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub> where R<sub>N-2</sub> is as defined above, and R<sub>B-aryl</sub> is the same as R<sub>N-aryl</sub> and is defined above

(IV) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub>, R<sub>B-x</sub>, and R<sub>B-y</sub> are as defined above,

(V) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>-R<sub>B-aryl</sub> where R<sub>B-aryl</sub>, R<sub>B-x</sub>, and R<sub>B-y</sub> are as defined above,

(VI) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-aryl</sub>, R<sub>B-heteroaryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(VII) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub>-R<sub>B-aryl</sub> where R<sub>B-heteroaryl</sub>, R<sub>B-aryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(VIII) -(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub>-R<sub>B-heteroaryl</sub> where R<sub>B-heteroaryl</sub>, R<sub>B-x</sub> and R<sub>B-y</sub> are as defined above,

(IX)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-aryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$  is defined as  $\text{R}_{\text{L-heterocycle}}$ , and where  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(X)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heteroaryl}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

5 (XI)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-aryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-aryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heteroaryl}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-heteroaryl}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

10 (XIII)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XIV)  $-(\text{CR}_{\text{B-x}}\text{R}_{\text{B-y}})_{0-4}-\text{R}_{\text{B-heterocycle}}$  where  $\text{R}_{\text{B-heterocycle}}$ ,  $\text{R}_{\text{B-x}}$  and  $\text{R}_{\text{B-y}}$  are as defined above,

(XV)  $-[\text{C}(\text{R}_{\text{B-1}})(\text{R}_{\text{B-2}})]_{1-3}-\text{CO}-\text{N}(\text{R}_{\text{B-3}})_2$  where  $\text{R}_{\text{B-1}}$  and  $\text{R}_{\text{B-2}}$  are the same or different and are selected from the group consisting of:

15 (A) -H,

(B)  $\text{C}_1\text{-C}_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

20 (C)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

25 (D)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(E)  $-(\text{CH}_2)_{1-2}-\text{S}(\text{O})_{0-2}-(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,

30 (F)  $-(\text{CH}_2)_{0-4}-\text{C}_3\text{-C}_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $\text{-C}\equiv\text{N}$ ,  $\text{-CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkoxy, -O-phenyl, and  $\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,

(G)  $-(C_1-C_4 \text{ alkyl})-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above for  $R_1$ ,  
aryl,

(H)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,

5 (J)  $-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(K)  $-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,

(M)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B'\text{-aryl}}$  where  $R_{B-4}$  is  $-O-$ ,  $-S-$  or  
 $-NR_{B-5}-$  where  $R_{B-5}$  is  $C_1-C_6$  alkyl, and where  $R_{B'\text{-aryl}}$  is defined above,

(N)  $-(CH_2)_{1-4}-R_{B-4}-(CH_2)_{0-4}-R_{B\text{-heteroaryl}}$  where  $R_{B-4}$  and  $R_{B\text{-heteroaryl}}$   
10 are as defined above, and

(O)  $-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,

and where  $R_{B-3}$  is the same or different and is:

(A)  $-H$ ,

(B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three  
15 substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  
 $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as  
defined above,

(C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
20 alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$   
where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$   
25 where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one,  
two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  
 $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_6$  alkoxy,  $-O$ -phenyl, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are  
as defined above,

30 (F)  $-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,

(G)  $-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(H)  $-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{B'\text{-aryl}}$  where  $R_{B'\text{-aryl}}$  is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  is as defined above,

(K)  $-(C_1-C_4 \text{ alkyl})-R_{B\text{-heterocycle}}$  where  $R_{B\text{-heterocycle}}$  is as defined

above, or

(XVI)  $-\text{CH}(R_{B\text{-aryl}})_2$  where  $R_{B\text{-aryl}}$  are the same or different and are as  
5 defined above,

(XVII)  $-\text{CH}(R_{B\text{-heteroaryl}})_2$  where  $R_{B\text{-heteroaryl}}$  are the same or different and are  
as defined above,

(XVIII)  $-\text{CH}(R_{B\text{-aryl}})(R_{B\text{-heteroaryl}})$  where  $R_{B\text{-aryl}}$  and  $R_{B\text{-heteroaryl}}$  are as  
defined above,

10 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{B\text{-aryl}}$  or  $R_{B\text{-heteroaryl}}$  or  $R_{B\text{-heterocycle}}$  where  $R_{B\text{-aryl}}$  or  $R_{B\text{-heteroaryl}}$  or  $R_{B\text{-heterocycle}}$  are as defined above where  
one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with  $\text{NH}$ ,  
 $\text{NR}_{N-5}$ , O, or  $\text{S}(=\text{O})_{0-2}$ , and where cyclopentyl, cyclohexyl, or -cycloheptyl can be  
optionally substituted with one or two  $-C_1-C_3$  alkyl,  $-\text{F}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$   
15 alkoxy,  $=\text{O}$ , or  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XX)  $C_2-C_{10}$  alkenyl containing one or two double bonds optionally  
substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}\text{R}_{1-b}$   
where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

20 (XXI)  $C_2-C_{10}$  alkynyl containing one or two triple bonds optionally  
substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$   
alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $C_1-C_6$  alkoxy,  $-\text{O-phenyl}$ , and  $-\text{NR}_{1-a}\text{R}_{1-b}$   
where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(XXI)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{C-6}-(\text{CH}_2)_{0-1}-R_{B\text{-aryl}}$  where  $R_{B\text{-aryl}}$  is as defined above  
25 and  $R_{C-6}$  is  $-(\text{CH}_2)_{0-6}-\text{OH}$ ,

(XXII)  $-(\text{CH}_2)_{0-1}-\text{CHR}_{B-6}-(\text{CH}_2)_{0-1}-R_{B\text{-heteroaryl}}$  where  $R_{B\text{-heteroaryl}}$  and  $R_{C-6}$  is  
as defined above,

(XXIII)  $-\text{CH}(-R_{B\text{-aryl}} \text{ or } R_{B\text{-heteroaryl}})-\text{CO}-\text{O}(C_1-C_4 \text{ alkyl})$  where  $R_{B\text{-aryl}}$  and  
 $R_{B\text{-heteroaryl}}$  are as defined above,

30 (XXIV)  $-\text{CH}(-\text{CH}_2-\text{OH})-\text{CH}(-\text{OH})-\text{micro-NO}_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-\text{O}-(C_1-C_6 \text{ alkyl})-\text{OH}$ ,

(XXVII)  $-\text{CH}_2-\text{NH}-\text{CH}_2-\text{CH}(-\text{O}-\text{CH}_2-\text{CH}_3)_2$ ,

(XXVIII)  $-\text{H}$ , or



(XXIX)  $-(\text{CH}_2)_{0-6}-\text{C}(=\text{NR}_{1-a})(\text{NR}_{1-a}\text{R}_{1-b})$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above.

96. A method of treatment according to claim 95,

5 where  $\text{R}_1$  is:

$-(\text{CH}_2)_{0-1}-(\text{R}_{1-\text{aryl}})$ , or

$-(\text{CH}_2)_{n1}-(\text{R}_{1-\text{heteroaryl}})$ ;

where  $\text{R}_N$  is:

$\text{R}_{N-1}-\text{X}_N$ -, where  $\text{X}_N$  is selected from the group consisting of:

10  $-\text{CO}-$ , and

$-\text{SO}_2-$ ,

where  $\text{R}_{N-1}$  is selected from the group consisting of:

$-\text{R}_{N-\text{aryl}}$ , and

$-\text{R}_{N-\text{heteroaryl}}$ , or

15  $-\text{CO}-\text{CH}(-(\text{CH}_2)_{0-2}-\text{O}-\text{R}_{N-10})-(\text{CH}_2)_{0-2}-\text{R}_{N-\text{aryl}}/\text{R}_{N-\text{heteroaryl}}$ ;

where  $\text{R}_A$  is:

$-\text{C}_1-\text{C}_8$  alkyl,

$-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7)$  cycloalkyl,

$-(\text{CR}_{A-x}\text{R}_{A-y})_{0-4}-\text{R}_{A-\text{aryl}}$ ,

20  $-(\text{CR}_{A-x}\text{R}_{A-y})_{0-4}-\text{R}_{A-\text{heteroaryl}}$ ,

$-(\text{CR}_{A-x}\text{R}_{A-y})_{0-4}-\text{R}_{A-\text{heterocycle}}$ ,

$-\text{cyclopentyl}$  or  $-\text{cyclohexyl}$  ring fused to  $\text{R}_{A-\text{aryl}}$  or  $\text{R}_{A-\text{heteroaryl}}$  or  $\text{R}_{A-}$

heterocycle;

where  $\text{X}$  is  $-\text{N}$  or  $-\text{O}$ , with the proviso that when  $\text{X}$  is  $\text{O}$ ,  $\text{R}_B$  is absent;

25 and when  $\text{X}$  is  $\text{N}$ ,

$\text{R}_B$  is:

$-\text{C}_1-\text{C}_8$  alkyl,

$-(\text{CH}_2)_{0-3}-(\text{C}_3-\text{C}_7)$  cycloalkyl,

$-(\text{CR}_{A-x}\text{R}_{A-y})_{0-4}-\text{R}_{A-\text{aryl}}$ ,

30  $-(\text{CR}_{A-x}\text{R}_{A-y})_{0-4}-\text{R}_{A-\text{heteroaryl}}$ ,

$-(\text{CR}_{A-x}\text{R}_{A-y})_{0-4}-\text{R}_{A-\text{heterocycle}}$ ,

$-\text{cyclopentyl}$  or  $-\text{cyclohexyl}$  ring fused to  $\text{R}_{A-\text{aryl}}$  or  $\text{R}_{A-\text{heteroaryl}}$  or  $\text{R}_{A-}$

heterocycle.

97. A method of treatment according to claim 96, ✓

where  $R_1$  is:

$-(CH_2)-(R_{1-aryl})$ , or

$-(CH_2)-(R_{1-heteroaryl})$ ;

5 where  $R_2$  is  $-H$ ;

where  $R_3$  is  $-H$ ;

where  $R_N$  is:

$R_{N-1}-X_N$ - where  $X_N$  is:

$-CO-$ ,

10 where  $R_{N-1}$  is selected from the group consisting of:

$-R_{N-aryl}$ , and

$-R_{N-heteroaryl}$ ;

where  $R_A$  is:

$-C_1-C_8$  alkyl,

15  $-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$ ,

20  $-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$ ;

where  $X$  is  $-N$  or  $-O$ , with the proviso that when  $X$  is  $O$ ,  $R_B$  is absent;

and when  $X$  is  $N$ ,

$R_B$  is:

$-C_1-C_8$  alkyl,

25  $-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$ ,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}$ ,

$-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}$ ,

30  $-cyclopentyl$  or  $-cyclohexyl$  ring fused to  $R_{B-aryl}$  or  $R_{B-heteroaryl}$  or  $R_{B-heterocycle}$ .

98. A method of treatment according to claim 97 ✓

where  $R_A$  is:

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ ,

-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-heteroaryl</sub>, or

-cyclopentyl or -cyclohexyl ring fused to a R<sub>A-aryl</sub> or R<sub>A-heteroaryl</sub> or R<sub>A-heterocycle</sub>; and

where R<sub>B</sub> is:

5

-(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>,

-(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-heteroaryl</sub>, or

-cyclopentyl or -cyclohexyl ring fused to R<sub>B-aryl</sub> or R<sub>B-heteroaryl</sub> or R<sub>B-heterocycle</sub>.

10 99. A method of treatment according to claim 95 where R<sub>1</sub> is

-(CH<sub>2</sub>)-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is phenyl.

100. A method of treatment according to claim 95, where R<sub>1</sub> is

-(CH<sub>2</sub>)-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is phenyl substituted with two -F.

15

101. A method of treatment according to claim 100 where the -F substitutions are at the 3- and 5- positions.

102. A method of treatment according to claim 95 where R<sub>2</sub> is -H.

20

103. A method of treatment according to claim 95 where R<sub>3</sub> is -H.

104. A method of treatment according to claim 95 where R<sub>N</sub> is

25 R<sub>N-1</sub>-X<sub>N</sub>-, where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3-.

105. A method of treatment according to claim 104 where R<sub>N-2</sub> and R<sub>N-3</sub> are the same and are C<sub>3</sub> alkyl.

30 106. A method of treatment according to claim 95 where R<sub>N</sub> is

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, and where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on the phenyl is 1,3,5-.

107. A method of treatment according to claim 106 where  $R_{N-2}$  and  $R_{N-3}$  are the same and are  $C_3$  alkyl.

108. A method of treatment according to claim 95 where  $R_N$  is  
5  $R_{N-1}-X_N$ -, where  $X_N$  is  $-CO-$ , and where  $R_{N-1}$  is  $R_{N-heteroaryl}$  where  $R_{N-heteroaryl}$  is substituted with one  $-CO-NR_{N-2}R_{N-3}$ .

109. A method of treatment according to claim 108 where  $R_{N-2}$  and  $R_{N-3}$  are the same and are  $-C_3$  alkyl.

10

110. A method of treatment according to claim 95 where  $R_A$  and  $R_B$  are each independently:

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-aryl}$  is phenyl,

$-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ ,

15

-cyclopentyl or -cyclohexyl ring fused to a  $R_{A-aryl}$  or  $R_{A-heteroaryl}$  or  $R_{A-heterocycle}$ .

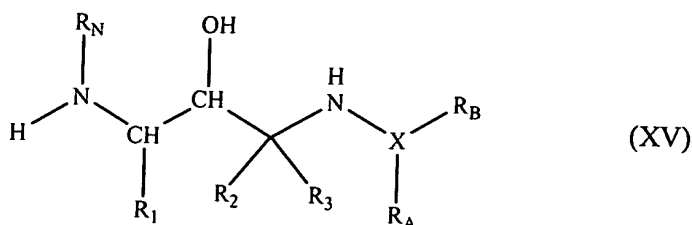
111. The method of claim 95, wherein said beta-secretase is exposed to said compound *in vitro*.

20 112. The method of claim 95, wherein said beta-secretase is exposed to said compound in a cell.

113. The method of claim 95, wherein said cell is in an animal.

25 114. The method of claim 113, wherein said animal is a human.

115. A method for inhibiting cleavage of amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype; or at a corresponding site of an isotype or mutant thereof, comprising exposing  
30 said reaction mixture to an effective inhibitory amount of a compound of formula XV



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_\text{N}$ ,  $\text{R}_\text{A}$ ,  $\text{R}_\text{B}$ , and  $\text{X}$  are as defined in claim 1.

116. The method of claim 115, wherein said cleavage site is between Met652 and Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672, numbered for the APP-770 isotype; between Leu596 and Asp597 of the APP-695 Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish Mutation; or between Leu671 and Asp672 of the APP-770 Swedish Mutation.

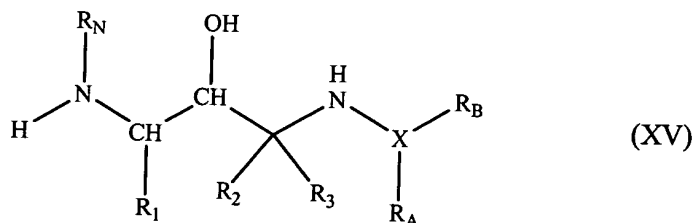
117. The method of claim 115, wherein said reaction mixture is exposed *in vitro*.

118. The method of claim 115, wherein said reaction mixture is exposed in a cell.

119. The method of claim 118, wherein said cell is an animal cell.

120. The method of claim 119, wherein said cell is a human cell.

121. A method for inhibiting production of amyloid beta peptide (A beta) in a cell, comprising administering to said cell an effective inhibitory amount of a compound of the formula XV

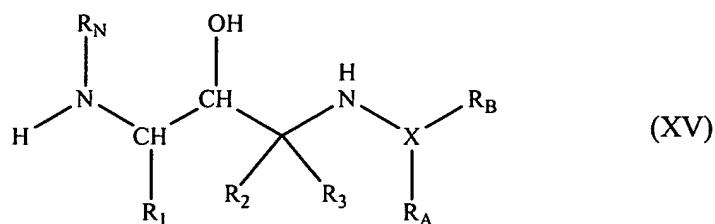


where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_\text{N}$ ,  $\text{R}_\text{A}$ ,  $\text{R}_\text{B}$ , and  $\text{X}$  are as defined in claim 1.

122. The method of claim 121, wherein said administering is to an animal.

123. The method of claim 122, wherein said administering is to a human.

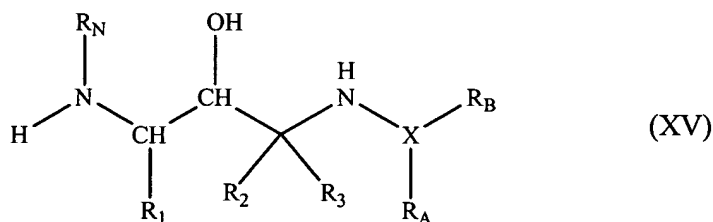
124. A method for inhibiting the production of beta-amyloid plaque in an animal, comprising administering to said animal an effective inhibitory amount of a compound of the formula XV



5 where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub>, R<sub>A</sub>, R<sub>B</sub>, and X are as defined in claim 1.

125. The method of claim 124, wherein said animal is a human.

126. A method for treating or preventing a disease characterized by beta-amyloid deposits in the brain comprising administering to a patient an effective therapeutic amount of a hydroxyethylene compound of the formula XV



where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub>, R<sub>A</sub>, R<sub>B</sub>, and X are as defined in claim 1.

127. The method of claim 126, wherein said therapeutic amount is in the range of from about 0.1 to about 1000 mg/day.

128. The method of claim 126, wherein said therapeutic amount is in the range of from about 15 to about 1500 mg/day.

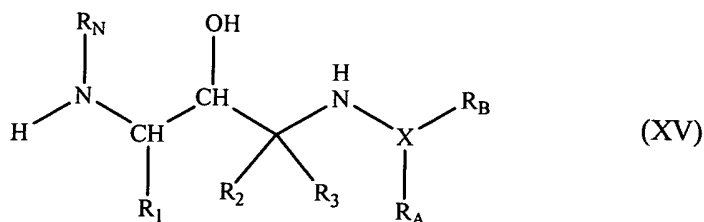
129. The method of claim 128, wherein said therapeutic amount is in the range of from about 1 to about 100 mg/day.

130. The method of claim 129, wherein said therapeutic amount is in the range of from about 5 to about 50 mg/day.

131. The method of claim 126, wherein said disease is Alzheimer's disease.

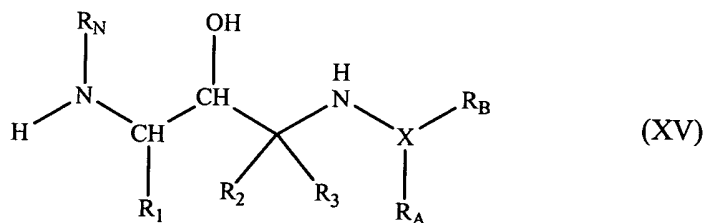
132. The method of claim 126, wherein said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type.

133. A composition comprising beta-secretase complexed with a compound of the formula XV



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and X are as defined in claim 1.

134. A method for producing a beta-secretase complex comprising: exposing beta-secretase to a compound of the formula XV

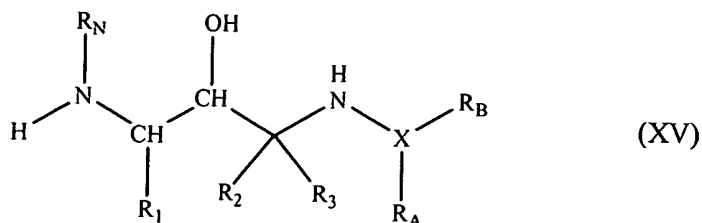


where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and X are as defined in claim 1, or a pharmaceutically acceptable salt thereof in a reaction mixture under conditions suitable for the production of said complex.

135. The method of claim 134, where said exposing is *in vitro*.

136. The method of claim 133, wherein said reaction mixture is a cell.

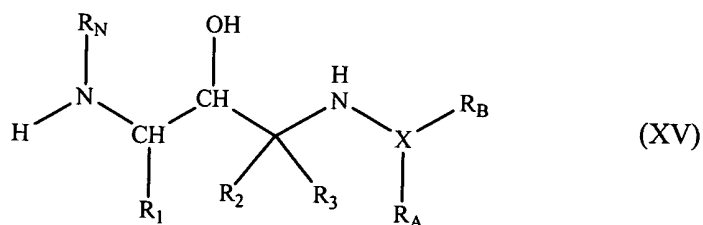
137. A kit comprising component parts capable of being assembled, wherein at least one component part comprises a compound of formula XV



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and  $\text{X}$  are as defined in claim 1, enclosed in a container.

138. The kit of claim 137, wherein said compound is lyophilized and at least one further  
5 component part comprises a diluent.

139. A kit comprising a plurality of containers, each container comprising one or more  
unit dose of a compound of formula XV



10 where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and  $\text{X}$  are as defined in claim 1.

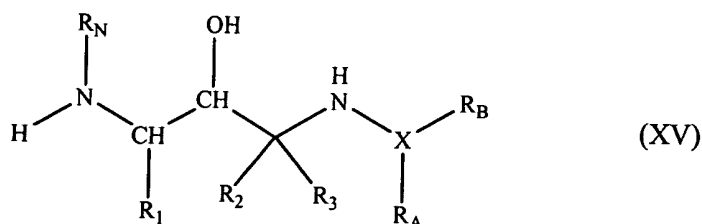
140. The kit of claim 139, wherein each container is adapted for oral delivery and  
comprises a tablet, gel, or capsule.

15 141. The kit of claim 140, wherein each container is adapted for parenteral delivery and  
comprises a depot product, syringe, ampoule, or vial.

142. The kit of claim 141, wherein each container is adapted for topical delivery and  
comprises a patch, medipad, ointment, or cream.

20

143. A kit comprising a compound of formula XV

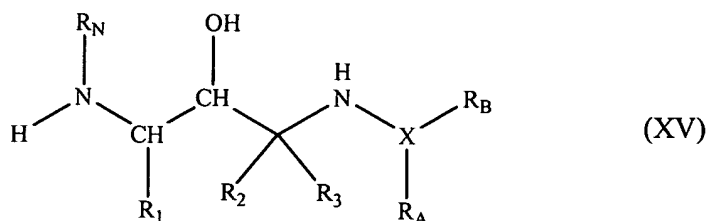


where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and  $\text{X}$  are as defined in claim 1;



and one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetylcholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta antibody.

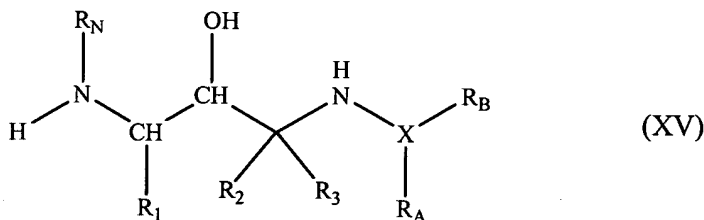
- 5 144. A composition comprising  
a compound of formula XV



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and X are as defined in claim 1;  
and an inert diluent or edible carrier.

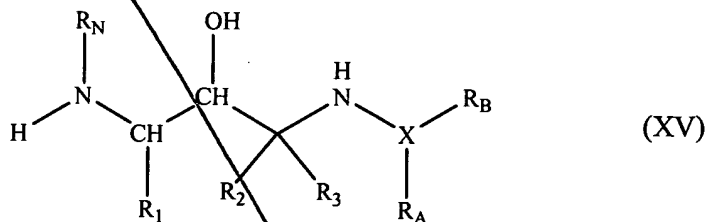
- 10 145. The composition of claim 144, wherein said carrier is an oil.

146. A composition comprising  
a compound of formula XV



15 where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and X are as defined in claim 1; and  
and a binder, excipient, disintegrating agent, lubricant, or gildant.

- 20 147. A composition comprising  
a compound of formula XV



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and X are as defined in claim 1, disposed in a cream, ointment, or patch.